```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2
                 "Ask CAS" for self-help around the clock
NEWS 3 Feb 24 PCTGEN now available on STN
NEWS 4 Feb 24 TEMA now available on STN
NEWS 5 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 6 Feb 26 PCTFULL now contains images
NEWS 7 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8 Mar 24 PATDPAFULL now available on STN
NEWS 9 Mar 24 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 10 Apr 11 Display formats in DGENE enhanced NEWS 11 Apr 14 MEDLINE Reload
NEWS 12 Apr 17 Polymer searching in REGISTRY enhanced
NEWS 13 Jun 13 Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14 Apr 21 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 15 Apr 28 RDISCLOSURE now available on STN
NEWS 16 May 05 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 17 May 15 MEDLINE file segment of TOXCENTER reloaded
NEWS 18 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19 May 19 Simultaneous left and right truncation added to WSCA
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22 Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21 Identification of STN records implemented NEWS 27 Jul 21 Polymer class term count added to REGISTRY
NEWS 28 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS
             STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN
             Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:42:48 ON 27 JUL 2003

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.63 0.63

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:44:18 ON 27 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by ${\tt InfoChem}$.

STRUCTURE FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8 DICTIONARY FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

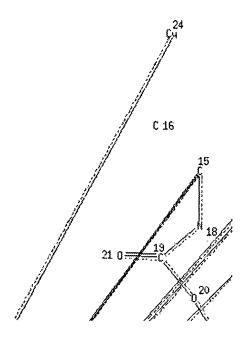
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

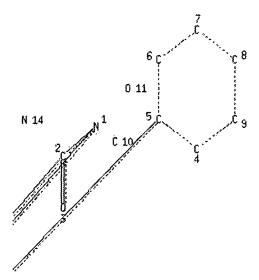
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> L1 STRUCTURE UPLOADED

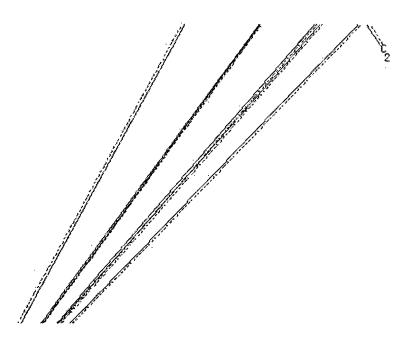
=> d ll L1 HAS NO ANSWERS L1 STR



Page 1-A



Page 1-B



Page 2-A

2

Page 2-B

```
12<sub>13</sub> 17
17 17 23
```

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Page 3-A
REP G17=(0-6) 16-15 16-24
REP G18=(0-3) 14-2 14-15
REP G19=(0-1) 11-5 11-12
REP G20=(0-6) 1-1 3-13
NODE ATTRIBUTES:
NSPEC IS C
                   AΤ
                   AT
NSPEC IS C
                         2
NSPEC IS C AT 3
NSPEC IS R
                  AT 4
NSPEC IS R
                 AT 5
NSPEC IS R
                 AT 6
NSPEC IS R AT 7

NSPEC IS R AT 7

NSPEC IS R AT 8

NSPEC IS R AT 9

NSPEC IS C AT 10

NSPEC IS C AT 11

NSPEC IS C AT 12

NSPEC IS C AT 13

NSPEC IS C AT 13
NSPEC
                 AT 14
AT 15
AT 16
AT 17
NSPEC
        IS C
       IS C
NSPEC
       IS C
NSPEC
NSPEC IS C
NSPEC IS C
                 AT 18
NSPEC IS C
                 AT 19
NSPEC IS C
                 AT 20
NSPEC IS C
                  AT 21
NSPEC IS C
                  AT 22
NSPEC IS C
                  AT 23
NSPEC
        IS C
                  AT 24
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 1 2 3 10 11 14 15 16 18 19 20 21 22
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

=> s 11

SAMPLE SEARCH INITIATED 17:52:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 0.1% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01</pre>

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH

PROJECTED ITERATIONS:

INCOMPLETE EXCEEDS 1000000

PROJECTED ANSWERS:

EXCEEDS 1000000

L2

50 SEA SSS SAM L1

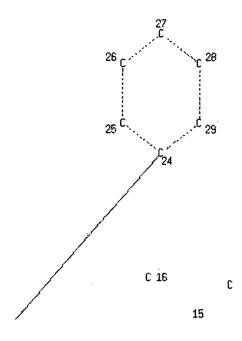
=> L3

STRUCTURE UPLOADED

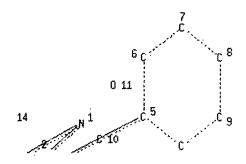
=> d 13

L3 HAS NO ANSWERS

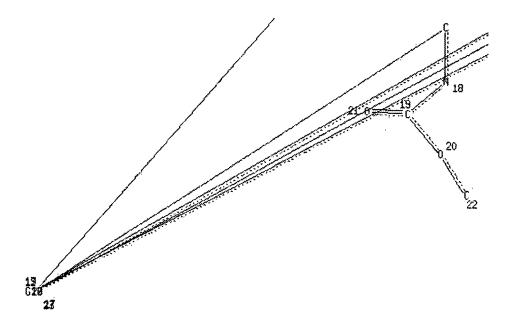
L3



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Page 1-B



Page 2-A

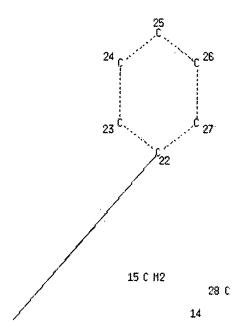


Page 2-B REP G17=(0-6) 16-15 16-24 REP G18=(0-3) 14-2 14-15 REP G19=(0-1) 11-5 11-12 REP G20=(0-6) 1-1 3-13 NODE ATTRIBUTES: NSPEC IS C ΑT 1 NSPEC IS C NSPEC IS C ΑT 3 NSPEC AΤ IS R 4 NSPEC IS R AΤ 5 NSPEC AΤ IS R 6 NSPEC IS R AΤ 7 NSPEC IS R AΤ 8 NSPEC IS R AT 9 NSPEC IS C AΤ 10 NSPEC IS C ΑT 11 NSPEC IS C ΑT 12 NSPEC IS C ΑT 13 NSPEC IS C ΑT 14 NSPEC IS C AT 15 NSPEC IS C AT 16 NSPEC IS C AT 17 NSPEC IS C AT 18 NSPEC IS C AT 19 NSPEC IS C AT 20 NSPEC IS C AT 21 NSPEC IS C AT 22

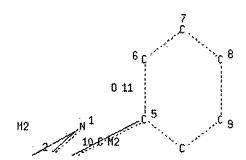
NSPEC IS C AT 23 NSPEC IS R AT 24 NSPEC IS R AT 25 NSPEC IS R AT 26 NSPEC IS R AT 27 NSPEC IS R AT 28 NSPEC IS R AT 29 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 1 2 3 10 11 14 15 16 18 19 20 21 22 DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 29 STEREO ATTRIBUTES: NONE => s 13 SAMPLE SEARCH INITIATED 17:54:07 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE < 0.1% PROCESSED 1000 ITERATIONS 50 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS: ONLINE **INCOMPLETE** BATCH **INCOMPLETE** PROJECTED ITERATIONS: EXCEEDS 1000000 PROJECTED ANSWERS: EXCEEDS 1000000 L450 SEA SSS SAM L3 **≈>** L5 STRUCTURE UPLOADED => d 15 L5 HAS NO ANSWERS

L5

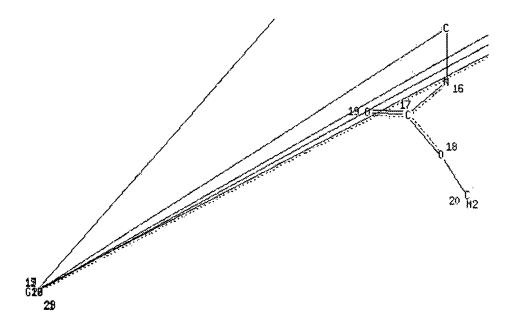
STR



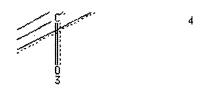
Page 1-A



Page 1-B



Page 2-A



```
Page 2-B
REP G17=(0-1) 28-14 28-2
REP G18=(0-6) 15-14 15-22
REP G19=(0-1) 11-5 11-12
REP G20=(0-6) 0-1 0-13
NODE ATTRIBUTES:
HCOUNT IS M2
                  AT 10
HCOUNT IS M2
                  AT 15
HCOUNT IS M2
                  AT 20
HCOUNT IS M2
                  AT 28
NSPEC
        IS C
                  AΤ
                      1
NSPEC
                  AΤ
        IS C
                       2
NSPEC
        IS C
                  ΑT
                       3
NSPEC
        IS R
                  ΑT
                       4
NSPEC
        IS R
                  AΤ
                       5
NSPEC
        IS R
                  AΤ
                       6
                       7
NSPEC
        IS R
                  AT
        IS R
                       8
NSPEC
                  ΑT
NSPEC
        IS R
                  ΑT
                       9
NSPEC
        IS C
                  \mathtt{AT}
                      10
NSPEC
        IS C
                  ΑT
                      11
NSPEC
        IS C
                  AΤ
                     12
NSPEC
        IS C
                  AT 13
NSPEC
        IS C
                  AT 14
NSPEC
        IS C
                  AT 15
NSPEC
        IS C
                  AΤ
                     16
NSPEC
        IS C
                  AΤ
                      17
NSPEC
        IS C
                  AT 18
```

```
NSPEC
       IS C
                 AT 19
NSPEC
       IS C
                 AT
                     20
       IS C
                 ΑT
NSPEC
                     21
       IS R
                 AΤ
NSPEC
                     22
NSPEC
       IS R
                 AT 23
                 AT 24
NSPEC
       IS R
NSPEC
       IS R
                 AT 25
                 AT 26
NSPEC
       IS R
NSPEC
       IS R
                 AT 27
NSPEC
       IS C
                 AT 28
NSPEC
       IS C
                 AT 29
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 1 2 3 10 11 14 15 16 17 18 19 20 28
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 29

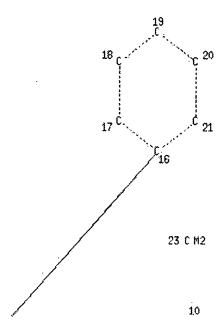
STEREO ATTRIBUTES: NONE

=> s 15

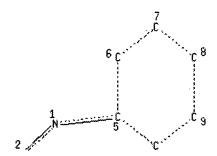
SEARCH FAILED DUE TO A STRUCTURE QUERY ERROR
The structure query could not be searched. Please review and revise
your structure query, especially checking the variable definitions and
attachments. In rare instances the failure may be due to a system
problem. Please contact your local STN Help Desk if you need
assistance.

=> L6 STRUCTURE UPLOADED

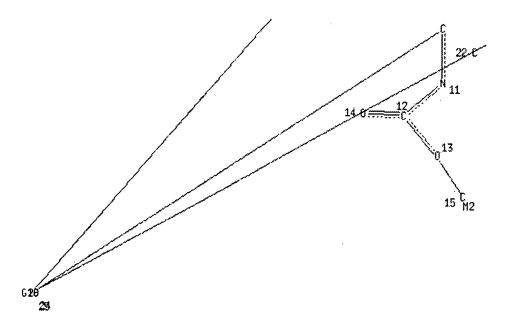
=> d 16 L6 HAS NO ANSWERS L6 STR



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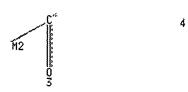


Page 2-A

Page 2-B

NSPEC

NSPEC



REP G20=(0-1) 22-10 22-2 NODE ATTRIBUTES: HCOUNT IS M2 AT 15 HCOUNT IS M2 22 HCOUNT IS M2 23 ΤA NSPEC IS C ΑT 1 NSPEC IS C ΑТ 2 NSPEC IS C AΤ 3 NSPEC IS R AΤ 4 NSPEC IS R ΑT 5

AT

AT

6

7

IS R

IS R

REP G19=(0-1) 23-16 23-10

```
AT
NSPEC
      IS R
      IS R
               AT
NSPEC
     IS C
              AT 10
NSPEC
     IS C
               AT 11
NSPEC
NSPEC IS C
              AT 12
              AT 13
NSPEC IS C
NSPEC IS C
              AT 14
NSPEC IS C
              AT 15
NSPEC IS R
              AT 16
NSPEC IS R
              AT 17
NSPEC IS R
              AT 18
NSPEC IS R
              AT 19
NSPEC IS R
              AT 20
NSPEC IS R
              AT 21
              AT 22
NSPEC IS C
NSPEC IS C
              AT 23
              AT 24
     IS C
NSPEC
      IS C
NSPEC
               AT 25
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 1 2 3 10 11 12 13 14 15 22 23
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25
STEREO ATTRIBUTES: NONE
=> s 16
SAMPLE SEARCH INITIATED 18:02:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 657 TO ITERATE
100.0% PROCESSED
                 657 ITERATIONS
                                                        13 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                     BATCH **COMPLETE**
                    11603 TO 14677
PROJECTED ITERATIONS:
                            44 TO
PROJECTED ANSWERS:
                                   476
1.7
           13 SEA SSS SAM L6
=> search 16
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full
FULL SEARCH INITIATED 18:02:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15041 TO ITERATE
100.0% PROCESSED 15041 ITERATIONS
                                                      297 ANSWERS
SEARCH TIME: 00.00.01
         297 SEA SSS FUL L6
=> d 18 1-40
L8 ANSWER 1 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 553642-67-4 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS 3D CONCORD
MF C20 H22 N2 O6
SR CA
```

LC STN Files: CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

- L8 ANSWER 2 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 528603-58-9 REGISTRY
- CN Carbamic acid, [(1S)-2-[[(3S)-3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1,4-benzodiazepin-7-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C40 H40 N4 O6
- SR CA
- LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 3 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 527678-04-2 REGISTRY
- CN Carbamic acid, [(1S)-2-[[4-[[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]amino]phenyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C44 H41 N3 O11

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

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- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 1 REFERENCES IN FILE CA (1947 TO DATE)
 - 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 4 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 508186-94-5 REGISTRY
- CN Carbamic acid, [3-[(5-chloro-2-methoxyphenyl)amino]-3-oxo-1-phenylpropyl], ethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C19 H21 Cl N2 O4
- SR Chemical Library
- LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L8 ANSWER 5 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 501034-12-4 REGISTRY
- CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C45 H44 N2 O8
- SR CA
- LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 6 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 501034-09-9 REGISTRY
- CN Carbamic acid, [(1S)-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C41 H36 N2 O7

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 7 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-31-9 REGISTRY
- CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C31 H28 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 8 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-30-8 REGISTRY

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C24 H24 N2 O3

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 9 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-29-5 REGISTRY

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C29 H24 N2 O3

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 10 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-28-4 REGISTRY
- CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C31 H28 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

Absolute stereochemistry.

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 11 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-27-3 REGISTRY
- CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C24 H24 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 12 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-24-0 REGISTRY
- CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C29 H24 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 13 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-23-9 REGISTRY
- CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C22 H20 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 14 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-21-7 REGISTRY
- CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C31 H28 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 15 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-20-6 REGISTRY
- CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C24 H24 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 16 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-18-2 REGISTRY
- CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C29 H24 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 17 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 499782-17-1 REGISTRY
- FS 3D CONCORD
- MF C22 H20 N2 O3
- SR CA
- LC STN Files: CA, CAPLUS

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 18 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499772-58-6 REGISTRY

FS 3D CONCORD

MF C33 H29 C1 N4 O4 S2

SR Chemical Library

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 19 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 497820-32-3 REGISTRY

CN Carbamic acid, [2-[(3,4-dimethylphenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C32 H32 N2 O4

SR Chemical Library

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 20 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 497820-27-6 REGISTRY

CN Carbamic acid, [2-[(4-iodophenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H27 I N2 O4

SR Chemical Library

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L8 ANSWER 21 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 496789-84-5 REGISTRY
- CN Carbamic acid, [2-[(2,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C25 H26 N2 O3
- SR Chemical Library
- LC STN Files: CHEMCATS

- L8 ANSWER 22 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 496789-83-4 REGISTRY
- CN Carbamic acid, [2-[(2,5-dimethylphenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C32 H32 N2 O4
- SR Chemical Library
- LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L8 ANSWER 23 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 485828-44-2 REGISTRY
- CN Carbamic acid, [2-[(3,4-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C25 H26 N2 O3
- SR Chemical Library
- LC STN Files: CHEMCATS

- L8 ANSWER 24 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 485828-42-0 REGISTRY
- CN Carbamic acid, [2-[(4-iodophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C23 H21 I N2 O3
- SR Chemical Library
- LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 25 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 457060-98-9 REGISTRY

CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[4-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C48 H64 N4 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

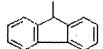
PAGE 1-A

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

- L8 ANSWER 26 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 457060-59-2 REGISTRY
- CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[2 (1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester
 (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C48 H64 N4 O6
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A

PAGE 2-A



- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 1 REFERENCES IN FILE CA (1947 TO DATE)
 - 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 27 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 457060-52-5 REGISTRY
- CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[3-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C48 H64 N4 O6
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 28 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 438056-03-2 REGISTRY
- CN Carbamic acid, [(1R)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C30 H28 N2 O5 S
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 29 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 422309-15-7 REGISTRY
- CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C38 H36 N2 O6
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 30 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 403519-12-0 REGISTRY
- CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-oxoethyl]-,
 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH

MF C39 H37 N3 O7

SR CA

LC STN Files: CA, CAPLUS, CASREACT

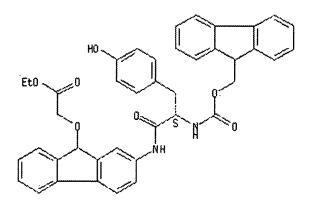
Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 31 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 403519-07-3 REGISTRY
- CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C35 H29 N3 O6
- SR CA
- LC STN Files: CA, CAPLUS, CASREACT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 32 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 401643-06-9 REGISTRY
- CN Acetic acid, [[2-[[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-9H-fluoren-9-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C41 H36 N2 O7
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL



- **PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
 - 1 REFERENCES IN FILE CA (1947 TO DATE)
 - 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 33 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

```
RN 395062-80-3 REGISTRY

CN Carbamic acid, [(1S)-2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]ph
enyl]amino]-2-oxo-1-[[4-(4-pyridinylmethoxy)phenyl]methyl]ethyl]-,
9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C42 H42 N4 O6

SR CA

LC STN Files: CA, CAPLUS
```

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L8 ANSWER 34 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 373826-30-3 REGISTRY
CN Carbamic acid, [(1S)-2-[[(4-fluorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-,
9H-fluoren-9-ylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C43 H42 F N3 O5 . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
```

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

CM 1
CRN 373826-29-0

CMF C43 H42 F N3 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 35 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 373826-29-0 REGISTRY
- CN Carbamic acid, [(1S)-2-[[(4-fluorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C43 H42 F N3 O5
- CI COM
- SR CA

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 36 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN RN 352621-27-3 REGISTRY

```
CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-
[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]methyl-, ethyl ester,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C27 H27 N5 O4 . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 352621-26-2
CMF C27 H27 N5 O4
```

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- 1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)
- L8 ANSWER 37 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN RN 352621-26-2 REGISTRY
- CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C27 H27 N5 O4
- CI COM
- SR CA

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 38 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN L8 352621-23-9 REGISTRY RN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-CN [(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]ethyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME) FS STEREOSEARCH MF C28 H29 N5 O4 . C2 H F3 O2 SR STN Files: CA, CAPLUS, USPATFULL LC CM 1 CRN 352621-22-8

Absolute stereochemistry.

CMF C28 H29 N5 O4

CRN 76-05-1 CMF C2 H F3 O2

- 1 REFERENCES IN FILE CA (1947 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 39 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 352621-22-8 REGISTRY
CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]ethyl-, ethyl ester
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H29 N5 O4
CI COM
SR CA

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L8
    ANSWER 40 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
    352620-69-0 REGISTRY
RN
CN
    Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-
     cyano(1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]methyl-, ethyl ester,
     mono(trifluoroacetate) (9CI) (CA INDEX NAME)
FS
    STEREOSEARCH
MF
    C27 H27 N5 O3 . C2 H F3 O2
SR
LC
     STN Files:
                CA, CAPLUS, USPATFULL
     CM
         1
     CRN 352620-68-9
     CMF C27 H27 N5 O3
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Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 227.75 228.38

FULL ESTIMATED COST

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FILE COVERS 1907 - 27 Jul 2003 VOL 139 ISS 5 FILE LAST UPDATED: 25 Jul 2003 (20030725/ED)

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=> d his

(FILE 'HOME' ENTERED AT 17:42:48 ON 27 JUL 2003)

FILE 'REGISTRY' ENTERED AT 17:44:18 ON 27 JUL 2003 L1 STRUCTURE UPLOADED 50 S L1 L2 STRUCTURE UPLOADED L3 L450 S L3 L5 STRUCTURE UPLOADED STRUCTURE UPLOADED L6 L7 13 S L6 297 SEARCH L6 FULL

FILE 'CAPLUS' ENTERED AT 18:03:27 ON 27 JUL 2003

=> s 18 L9 175 L8

=> d 19 fbib ab hitstr 1-175

L9 ANSWER 1 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:512083 CAPLUS

TI Preparation of amino acid derivatives as probes for drug discovery

IN Mjalli, Adnan M. M.; Wysong, Chris; Baudry, Jerome; Yokum, Thomas Scott; Andrews, Rob; Banner, William K.

PA USA

SO U.S. Pat. Appl. Publ., 165 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2003125315 A1 20030703 US 2002-120278 20020411
US 2001-282759PP 20010410

Aspects of the invention include probes, methods, and systems that have stand-alone utility and may comprise features of a drug discovery system or method. An embodiment of the invention utilizes sets of probes and a new approach to computational chem. in a drug discovery method having increased focus in comparison to previously utilized combinatorial chem. The claims describe probes which comprise a framework, an input fragment, and a recognition element, e.g., R9R10CHCHR1R2-G2 [R1, R2 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, or H; or R1R2 = :0; R9 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, or H; R10 = any group given for R9 except H or the side chain of a natural or non-natural α -amino acid in which any functional groups may be protected; G2 = -O-L15-R20 or -N(L16-R22)L17-R21, where L15, L16, and L17 are alk(en)(yn)ylene, cycloalk(en)ylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylarylene, fused heterocyclylheteroarylene, or a direct bond and R20, R21, and R22 are alk(en)(yn)yl, cycloalk(en)yl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, amino groups, H, etc.]. The synthesis of a thrombin inhibitory library is described. Probe 3-indazolecarboxylic acid [[(\alpha-methylbenzyl)amino]carbonyl](4-piperidinyl)methylamide (claimed compd.) was prepd. from N-Fmoc-amino(N-Boc-4-piperidinyl)acetic acid (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), methylbenzylamine, and 3-indazolecarboxylic acid and showed 40-74% inhibition of thrombin at 100 µM.

IT 553642-67-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as probes for drug discovery)

RN 553642-67-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

```
Full Text
    2003:390845 CAPLUS
DN 138:385216
TI Preparation of etoposide amino acid analogs as DNA topoisomerase II
    inhibitors
IN Lee, Kuo-Hsiung; Xiao, Zhiyan; Bastow, Kenneth F.
    The University of North Carolina At Chapel Hill, USA
SO U.S., 17 pp.
    CODEN: USXXAM
DT
   Patent
   English
LA
FAN.CNT 1
                                       APPLICATION NO. DATE
    PATENT NO.
                   KIND DATE
    _____
    US 6566393 B1 20030520
                                        US 2002-177147
                                                        20020621
                                        US 2002-177147 20020621
OS
    MARPAT 138:385216
AB
    Etoposide amino acid analogs I (X = O, S, NH, CO, CH:N, CH2NH; R1 =
    covalent linkage between X and Y, alkyl, alkenyl, (un) substituted Ph; Y =
    NHCO, CONH; Z = CHR2(CH2)nR3, R2 = CO2H, NH2, ester, etc., R3 = alkyl,
    alkenyl, aryl, n=0-2; D=CH2OC(0), CH2OC(:CH2), CH2CH2C(0), CH2OCH2,
    CH2OC(S), CH2O(SO2)OCH2, etc.) were prepd. as DNA topoisomerase II
    inhibitors. Thus, 4'-O-demethyl-4\beta-[4''-(methyl-L-tyrosine-N-
    carbonyl)anilino]-4-desoxy-podophyllotoxin (II) and 4'-0-demethyl-4\beta-
     [4''-(methyl-L-tryptophan-N-carbonyl)anilino]-4-desoxypodophyllotoxin were
    prepd. from podophyllotoxin and their pharmaceutical activity evaluated.
    The antitumor ED50 of II against A 549 human cell line was 2.4 \mu M.
IT 527678-04-2P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
       (prepn. of etoposide amino acid analogs as DNA topoisomerase II
       inhibitors)
RN
    527678-04-2 CAPLUS
CN
    Carbamic acid, [(1S)-2-[[4-[[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-
    hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-
    5-yl]amino]phenyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-,
    phenylmethyl ester (9CI) (CA INDEX NAME)
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Absolute stereochemistry. Rotation (-).

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PAGE 2-A

W

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:242698 CAPLUS

DN 138:385412

TI Solid-Phase Synthesis of 7-Acylamino-1,4-benzodiazepine-2,5-diones

AU Ettmayer, Peter; Chloupek, Stefan; Weigand, Klaus

CS Novartis Forschungsinstitut, Vienna, A-1235, Austria

SO Journal of Combinatorial Chemistry (2003), 5(3), 253-259 CODEN: JCCHFF; ISSN: 1520-4766

PB American Chemical Society

DT Journal

LA English

AB A method for the synthesis of polymer-bound 7-acylamino-benzodiazepine-2,5-diones is described. The amino group of an α-amino acid is linked to polystyrene or TentaGel resin via reductive amination of polymer-bound 4-alkoxy-2,6-dimethoxybenzaldehyde. Acylation with unprotected 5-nitroanthranilic acid is followed by base-catalyzed ring closure. Redn. of the nitro group yields enantiomerically pure 7-aminobenzodiazepin-2,5-dione attached via the N-4 atom to the resin. Acylation of the amino group on the arom. ring with acid chlorides in N-methylpyrrolidone (no DMF, no base) followed by cleavage from the resin using TFA/Me2S/water (90:5:5) provides the acylated benzodiazepinones in 52-69% (PS resin) and 41-48% (TG resin) yield (based on the theor. loading) and >70% purity (HPLC, 210 nm). Using Fmoc-protected tyrosine fluoride in NMP gives the amino acid-coupled benzodiazepinones in 24% (PS resin) and 31% (TG resin) yield.

IT 528603-58-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (solid-phase synthesis of 7-acylamino-1,4-benzodiazepine-2,5-diones)

RN 528603-58-9 CAPLUS

CN Carbamic acid, [(1S)-2-[[(3S)-3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1,4-benzodiazepin-7-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2003:45408 CAPLUS
- DN 138:233880
- TI Fairly Marked Enantioselectivity for the Hydrolysis of Amino Acid Esters by Chemically Modified Enzymes
- AU Yano, Yoshihiro; Shimada, Kenji; Okai, Jiro; Goto, Koichi; Matsumoto, Yoko; Ueoka, Ryuichi
- CS Division of Applied Chemistry, Graduate School, Sojo University, Kumamoto, 860-0082, Japan
- SO Journal of Organic Chemistry (2003), 68(4), 1314-1318 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 138:233880
- The hydrolysis (deacylation) of enantiomeric substrates by the chem. modified enzymes decanoyl- α -chymotrypsin and decanoyl-trypsin was studied. Reaction activity for decanoyl- α -chymotrypsin was lower than that for the native enzyme, although intriguingly the enantioselectivity was markedly enhanced as compared with the native enzyme. In particular, the apparently complete enantioselective catalysis was attained for the hydrolytic cleavage of p-nitrophenyl N-dodecanoyl-D(L)-phenylalaninates. The enhancement of enantioselectivity, however, was not obsd. for decanoyl-trypsin. These results suggest that the chem. modified α -chymotrypsin by addn. of hydrophobic groups has promoted enantioselectivity for the hydrolysis of hydrophobic esters.

IT 14235-15-5P 19647-71-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(decanoyl- modified α -chymotrypsin exhibits enhanced enantioselectivity for hydrolysis of hydrophobic amino acid esters)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

ull Text

AN 2003:20798 CAPLUS

DN 138:221804

- TI Antineoplastic Agents. 487. Synthesis and Biological Evaluation of the Antineoplastic Agent 3,4-Methylenedioxy-5,4'-dimethoxy-3'-amino-Z-stilbene and Derived Amino Acid Amides
- AU Pettit, George R.; Anderson, Collin R.; Herald, Delbert L.; Jung, M. Katherine; Lee, Debbie J.; Hamel, Ernest; Pettit, Robin K.
- CS Cancer Research Institute and Department of Chemistry and Biochemistry, Arizona State University, Tempe, AZ, 85287-2404, USA
- SO Journal of Medicinal Chemistry (2003), 46(4), 525-531 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 138:221804
- An efficient synthesis of 3,4-methylenedioxy-5,4'-dimethoxy-3'-amino-Z-stilbene, I (R = H), and its hydrochloride salt is reported. Nitrostilbene II was obtained via a Wittig reaction using phosphonium bromide III and 3-nitro-4-methoxybenzaldehyde. A one-step redn. of II using zinc in acetic acid produced I (R = H). The coupling of I (R = H) with various Fmoc amino acids (Cys, Gly, Phe, Ser, Trp, Tyr, Val), followed by cleavage of the α -amine protecting group, resulted in a series of new cancer cell growth inhibitory amides. I (R = H), its HCl

salt, glycine amide I (R = COCH2NH2), and tyrosine amide I [R = COCH(CH2C6H4OH-4)NH2] had the highest level (GI50 = 10-2-10-3 $\mu g/mL)$ of activity against a panel of six human and one animal (P388) cancer cell lines. I (R = H) and its hydrochloride salt potently inhibited tubulin polymn. by binding at the colchicine site, while the amino acid amides had little activity against purified tubulin. Nevertheless, most of the amides caused a marked increase in the mitotic index of treated cells, indicating that tubulin was their intracellular target.

IT 501034-09-9P 501034-12-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and biol. evaluation of amino acid amides of Z-stilbene derivs. as antineoplastic and antimicrobial agents)

RN 501034-09-9 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-,
9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

RN 501034-12-4 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:900004 CAPLUS

DN 138:154074

TI Isothermal titration calorimetry of molecularly imprinted polymer nanospheres

AU Weber, Achim; Dettling, Melanie; Brunner, Herwig; Tovar, Gunter E. M.

CS Laboratory for Biomimetic Interfaces, Fraunhofer Institute for Interfacial Engineering Biotechnology, University of Stuttgart, Stuttgart, 70569, Germany

SO Macromolecular Rapid Communications (2002), 23(14), 824-828 CODEN: MRCOE3; ISSN: 1022-1336

PB Wiley-VCH Verlag GmbH Co. KGaA

DT Journal

LA English

AB Ultrasensitive isothermal titrn. calorimetry was used to generate thermodn. data to assess the binding properties of molecularly imprinted polymer microgels. Microgels were imprinted using L-boc-phenylalanine anilide (L-BFA) and then used in binding expts. with a variety of probe mols., structurally closely related to the template mol. Significant differences were obsd. between the binding enthalpy of the original template L-BFA and those of D-BFA, L-boc-phenylalanine, L-boc-tryptophane, and L-boc-tyrosine.

IT 16876-71-4, Boc-D-phenylalanine anilide

RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses) (binding properties of molecularly imprinted polymer microgels)

RN 16876-71-4 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

IT 15366-12-8

RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses) (template mol. and rebinding; binding properties of molecularly imprinted polymer microgels)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:805909 CAPLUS

DN 138:188029

TI Liquid chromatographic resolution of N-protected α -amino acids as their anilide and 3,5-dimethylanilide derivatives on chiral stationary phases derived from (S)-leucine

AU Hyun, Myung Ho; Cho, Yoon Jae; Baik, In Kyu

CS Department of Chemistry and Chemistry Institute for Functional Materials, Pusan National University, Pusan, 609-735, S. Korea

SO Bulletin of the Korean Chemical Society (2002), 23(9), 1291-1296 CODEN: BKCSDE; ISSN: 0253-2964

PB Korean Chemical Society

DT Journal

LA English

Various racemic N-protected α -amino acids such as N-t-BOC- (tert-butoxycarbonyl), N-CBZ- (benzyloxycarbonyl) and N-FMOC- (9-fluorenylmethyloxycarbonyl) α -amino acids were resolved as their anilide and 3,5-dimethylanilide derivs. on an HPLC chiral stationary phase (CSP) developed by modifying a com. (S)-leucine CSP. The chromatog. resoln. results were compared to those on the com. (S)-leucine CSP. The resolns. were greater on the modified CSP than those on the com. CSP with only one exception, the resoln. of N-t-BOC-phenylglycine anilide. In addn., the chromatog. resoln. behaviors were quite consistent except for the resoln. of N-protected phenylglycine derivs., the (S)-enantiomers being retained longer. Based on the chromatog. resoln. behaviors and with the aid of CPK mol. model studies, we proposed a chiral recognition mechanism for the resoln. of N-protected α -amino acid derivs. However, for the resoln. of N-protected phenylglycine derivs., a second chiral recognition mechanism, which competes in the opposite sense with

the first chiral recognition mechanism, was proposed. The two competing chiral recognition mechanisms were successfully used in the rationalization of the chromatog. behaviors for the resoln. of N-protected phenylglycine derivs.

IT 126727-10-4 126727-11-5 126727-20-6

126787-17-5 499782-17-1 499782-18-2

499782-20-6 499782-21-7

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PROC (Process)

(liq. chromatog. resoln. of N-protected α -amino acids as anilides on chiral stationary phases derived from (S)-leucine)

RN 126727-10-4 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 126727-11-5 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 126727-20-6 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 126787-17-5 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-17-1 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-18-2 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-20-6 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-21-7 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 20998-91-8 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126787-23-3 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126787-38-0 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 126787-39-1 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126787-48-2 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126872-09-1 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 126872-53-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 499782-23-9 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 499782-24-0 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-27-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 499782-28-4 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 499782-29-5 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 499782-30-8 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 499782-31-9 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:695943 CAPLUS

DN 137:216780

TI Preparation of aromatic carboxamides as modulators of receptor for

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advanced glycated end products (RAGE).
IN
     Mjalli, Adnan M. M.; Andrews, Rob; Wysong, Christopher
PA
     Transtech Pharma, Inc., USA
SO
     PCT Int. Appl., 95 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     _____
ΡI
     WO 2002070473
                   A2 20020912
                                          WO 2002-US6707 20020305
     WO 2002070473
                      A3 20021227
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-273403PP 20010305
                                          US 2001-273404PP 20010305
                                          US 2001-273429PP 20010305
                                          US 2001-273445PP 20010305
                                          US 2001-273446PP 20010305
                                          US 2001-273454PP 20010305
                                          US 2001-273455PP 20010305
     US 2002193432
                       A1
                            20021219
                                          US 2002-91759
                                                         20020305
                                          US 2001-273403PP 20010305
                                          US 2001-273404PP 20010305
                                          US 2001-273429PP 20010305
                                          US 2001-273445PP 20010305
                                          US 2001-273446PP 20010305
                                          US 2001-273454PP 20010305
                                          US 2001-273455PP 20010305
OS
     MARPAT 137:216780
     G2R1R2CG1CONR3R4 [I; G1 = alkylene; G2 = H, alkyl, aryl, alkylaryl, amino,
AΒ
     (substituted) imidazolyl; R1 = H, alkyl, aryl, alkylaryl; R2 = alkyl,
     aryl, aralkyl, etc.; R3 = H, alkyl, alkylaryl, alkoxyaryl; R4 = alkylaryl,
     alkoxyaryl, aryl], were prepd. I are modulators of the interaction
     between the receptor for advanced glycated end products (RAGE) and its
     ligands, such as advanced glycated end products (AGEs),
     S100/calgranulin/EN-RAGE, \beta\text{-amyloid} and amphoterin. I are useful in
     treating inflammation, the development of diabetic late complications such
     as increased vascular permeability, nephropathy, atherosclerosis, and
     retinopathy, the development of Alzheimer's disease, erectile dysfunction,
     and tumor invasion and metastasis. Thus, 3-(3-tert-butoxyphenyl)-3-(9-
     fluorenylmethoxycarbonylamino)propionic acid, HTBU, diisopropylethylamine,
     and 2,4-bis-(3-diethylaminopropoxy)aniline (prepn. given) were stirred
     overnight in MeCN to give 3-(3-tert-butoxyphenyl)-3-(9-
     fluorenylmethoxycarbonylamino)propionic acid 2,4-bis-(3-
     diethylaminopropoxy)aniline amide. The latter showed IC50<0.5 \mu M for
     inhibition of binding of RAGE to s100b.
IT 457060-52-5P 457060-59-2P 457060-98-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (prepn. of arom. carboxamides as modulators of receptor for advanced
        glycated end products (RAGE))
RN
     457060-52-5 CAPLUS
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CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[3-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 457060-59-2 CAPLUS

CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[2-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 457060-98-9 CAPLUS

CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[4-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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ANSWER 9 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN
    2002:465965 CAPLUS
DN
   137:47128
    Preparation of of ureido- and carbamoyloxy-substituted amides as
     inhibitors of factor Xa for the treatment of clotting disorders and
IN
    Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram;
    Gleitz, Johannes; Barnes, Christopher
PΆ
    Merck Patent G.m.b.H., Germany
SO
    PCT Int. Appl., 92 pp.
    CODEN: PIXXD2
דים
    Patent
LA
    German
FAN.CNT 1
    PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                            _____
PI
    WO 2002048099
                     A1
                            20020620
                                          WO 2001-EP13545 20011121
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          DE 2000-10063008A 20001216
    DE 10063008
                      A1
                            20020620
                                           DE 2000-10063008 20001216
     AU 2002021881
                      A5
                            20020624
                                           AU 2002-21881
                                                           20011121
                                           DE 2000-10063008A 20001216
                                           WO 2001-EP13545W 20011121
OS
    MARPAT 137:47128
    DNHCOXCHR1CONH(CH2)nEW [D = (substituted) Ph, pyridyl; R1 = H, Ar, Het,
AB
    cycloalkyl, (substituted) A; R2 = H, A; E = (substituted) phenylene,
    piperidin-1,4-diyl; W = Ar, Het, N(R2)2, R2, cycloalkyl; X = NH, O; A =
     (fluoro-substituted) (O-, S-, or CH:CH-interrupted) alkyl; Ar =
     (substituted) Ph; Het = (arom.) (substituted) heterocyclyl; n = 0, 1],
    were prepd. Thus, Z-D-Phe-OH, 2'-methylsulfonylbiphenyl-4-ylamine,
    N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride,
    1-hydroxybenzotriazole, and 4-methylmorpholine were stirred 40 h in DMF to
    give benzyl [(R)-1-(2'-methylsulfonylbiphenyl-4-ylcarbamoyl)-2-
    phenylethyl]carbamate. This was hydrogenolyzed in MeOH over Pd/C and the
    product was stirred with 4-chlorophenyl isocyanate in CH2Cl2 to give
     (R)-2-[3-(4-chlorophenyl)ureido]-N-(2'-methylsulfonylbiphen-4-yl)-3-
    phenylpropionamide. The latter inhibited factor Xa with IC50 = 8.6
    \times 10-8 M.
IT 438056-03-2P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediates; prepn. of ureido- and carbamoyloxy-substituted amides
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as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)

RN 438056-03-2 CAPLUS

CN Carbamic acid, [(1R)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:369021 CAPLUS

DN 136:355481

TI Facile deprotection of Fmoc protected amino groups

IN Sheppeck, James E.

PA USA

SO U.S. Pat. Appl. Publ., 7 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|----|---------------|------|----------|-------------------|----------|--|--|
| | | | | | | | |
| PI | US 2002058788 | A1 | 20020516 | US 2001-939455 | 20010824 | | |
| | | | | TTC 2000-227884BB | 20000025 | | |

OS CASREACT 136:355481; MARPAT 136:355481

AB Fluorenylmethoxycarbonyl (Fmoc)-protected amino groups were treated in a suitable medium with a base in the presence of a thiol compd. to yield the deprotected amino group. Thus, 25.5 mmol Fmoc-Lys(Boc)-AMC (AMC is a 7-amino-4-methylcoumarin residue, Boc = tert-butoxycarbonyl) was treated with 255 mmol 1-octanethiol and 0.77 mmol DBU for 3.25 h to afford H-Lys(Boc)-AMC quant.

IT 422309-15-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(facile deprotection of Fmoc protected amino groups)

RN 422309-15-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

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L9
    ANSWER 11 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
ΑN
     2002:157728 CAPLUS
DN
     136:200477
ΤI
     Preparation of carbocyclic and heterocyclic compounds as integrin receptor
     inhibitors
    Artis, Dean R.; Jackson, David Y.; Rawson, Thomas E.; Reynolds, Mark E.;
IN
    Sutherlin, Daniel P.; Stanley, Mark S.
    Genentech, Inc., USA
PA
    PCT Int. Appl., 59 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     _____
                     ----
                           _____
                                          -----
PΤ
    WO 2002016313
                                          WO 2001-US25865 20010816
                      A2
                           20020228
     WO 2002016313
                      A3
                           20030530
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
            VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2000-226626PP 20000818
    AU 2001086542
                           20020304
                                          AU 2001-86542
                      A5
                                                           20010816
                                          US 2000-226626PP 20000818
                                          WO 2001-US25865W 20010816
    US 2002035104
                           20020321
                                          US 2001-932695
                      A1
                                                           20010816
                                          US 2000-226626PP 20000818
    US 2003100599
                      A1
                           20030529
                                          US 2002-313147
                                                          20021206
                                          US 2000-226626PP 20000818
                                          US 2001-932695 B120010816
os
    MARPAT 136:200477
AΒ
    Compds. I [A is a 5- or 6-membered carbocycle or heterocycle optionally
```

substituted by oxo and R4; Q is (un)substituted alkyl, alkenyl or alkynyl or oxa, aza and thia derivs.; X is (un)substituted methylene or imino; Y is H, -CHR3-, -CR3= or a bond; Z is H, -CHR3-, -CR3-, -NR3-, =N-, O, S, SO, SO2 or a bond, provided that when one of Y and Z is H then the other is also H; W is -C(O)NR6-(R6=H, alkyl, alkenyl, alkynyl), -NR6C(O)-,

-C(S)NR6-, NR6, O, S, SO2, -CH2-, -C-, -NR6SO2-, etc.; R1 is H,

(un) substituted alkyl, alkenyl, alkynyl, carbocycle, or heterocycle; R2 is similar to R1, but not H; R3, R4 are H, OH, halogen, amino, nitro, carboxy, (un) substitute alkyl, etc.; m, n = 1-3] were prepd. The compds. of the invention bind to $\alpha 4$ integrin receptors and thereby inhibit binding of ligands for $\alpha 4$ integrins which is useful for prophylactic and/or therapeutic treatment of diseases and conditions assocd. with $\alpha 4$ integrins or their ligands. Thus, 2-[(N-acetyl-L-tyrosyl)amino]-9-fluorenepropionic acid was prepd. the solid-phase method using resin-bound acrylic acid.

IT 401643-06-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of carbocyclic and heterocyclic compds. as integrin receptor inhibitors)

RN 401643-06-9 CAPLUS

Absolute stereochemistry.

L9 ANSWER 12 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2002:34208 CAPLUS
- DN 136:232179
- TI Expedient Solid-Phase Synthesis of Fluorogenic Protease Substrates Using the 7-Amino-4-carbamoylmethylcoumarin (ACC) Fluorophore
- AU Maly, Dustin J.; Leonetti, Francesco; Backes, Bradley J.; Dauber, Deborah S.; Harris, Jennifer L.; Craik, Charles S.; Ellman, Jonathan A.
- CS Department of Chemistry, University of California, Berkeley, CA, 94720,
- SO Journal of Organic Chemistry (2002), 67(3), 910-915 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 136:232179
- AB A highly efficient solid-phase synthesis method for the prepn. of fluorogenic protease substrates based upon the bifunctional leaving group 7-amino-4-carbamoylmethylcoumarin (ACC) is reported. Methods for the large-scale prepn. of the novel fluorogenic leaving-group ACC are provided. Detailed procedures are also provided for loading a diverse set of amino acids to support-bound ACC in good yields and with minimal racemization. Finally, procedures are included for the preparative

synthesis of optimized ACC substrates for HIV-1 protease and plasmin.
IT 403519-07-3DP, resin-bound 403519-12-0DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (solid-phase prepn. of amino(carbamoylmethyl)coumarin derivs. of amino acids and peptides as fluorogenic substrates for proteases)
RN 403519-07-3 CAPLUS
CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 403519-12-0 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethy1)-2-oxo-2H-1-benzopyran-7-yl]amino]-1-[[4-(1,1-dimethylethoxy)pheny1]methy1]-2-oxoethy1]-,
9H-fluoren-9-ylmethy1 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9
    ANSWER 13 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
    2001:833284 CAPLUS
DN
    135:371641
TI
    Preparation of arylheterocyclylamides as motilin antagonists
TN
    Johnson, Sigmond G.; Rivero, Ralph A.
    Ortho-McNeil Pharmaceutical, Inc., USA
PA
SO
    PCT Int. Appl., 132 pp.
    CODEN: PIXXD2
DТ
    Patent
LA English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
                                         -----
    WO 2001085694 A2 20011115
PT
                                        WO 2001-US11821 20010411
    WO 2001085694
                     A3 20020404
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
            ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 2000-202131PP 20000505
    US 2002013352
                     A1
                           20020131
                                         US 2001-829767 20010410
    US 6511980
                     B2
                           20030128
                                         US 2000-202131PP 20000505
    EP 1294695
                     A2 20030326
                                         EP 2001-926866 20010411
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                          US 2000-202131PP 20000505
                                          WO 2001-US11821W 20010411
os
    MARPAT 135:371641
    Title compds. [I; R1 = H, (substituted) aryl, aralkyl, heterocyclyl,
    diarylalkyl, alkyl, etc.; R2 = (substituted) aryl, aralkyl, cycloalkyl,
    heterocyclyl, heterocyclylalkyl, etc.; X1-X4 = null, CO, SO2; R1NR2X1 =
     (substituted) heterocyclyl; A = (substituted) alkyl, alkenyl, cycloalkyl,
    cycloalkylalkyl, etc.; Y = O, NH, S, SO2; n = 0-5; R4 = H, amino,
    alkylamino, dialkylamino, heterocyclyl, alkylheterocyclyl, etc.], were
    prepd. Thus, N-[3-[2-(1-pyrrolidino)ethoxy]phenyl]-N-(cis-3-
    aminocyclohexyl)methyl-4-fluorophenylcarboxamide (prepn. given) and PhCHO
    in PhMe were treated sequentially with Ti(OiPr)4, EtOH, and NaBH(OAc)3 to
    give a crude residue which in CH2Cl2 was treated with Me3CCOCl to give
    title compd. (II). II inhibited motilin-induced contraction in rabbit
    colon with IC50 = 0.029 \mu M.
IT 373826-30-3P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of arylheterocyclylamides as motilin antagonists)
    373826-30-3 CAPLUS
    Carbamic acid, [(1S)-2-[[(4-fluorophenyl)methyl][3-[2-(4-fluorophenyl)methyl]]
    morpholinyl) ethoxy] phenyl] amino] -2-oxo-1-(phenylmethyl) ethyl] -,
    9H-fluoren-9-ylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
    CM
         1
    CRN 373826-29-0
    CMF C43 H42 F N3 O5
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Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L9 ANSWER 14 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2001:812346 CAPLUS
- DN 136:144646
- TI Structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors
- AU Tsuda, Yuko; Tada, Mayako; Wanaka, Keiko; Okamoto, Utako; Hijikata-Okunomiya, Akiko; Okamoto, Shosuke; Okada, Yoshio
- CS Faculty of Pharmaceutical Sciences, and High Technology Research Center, Kobe Gakuin University, Kobe, 651-2180, Japan
- SO Chemical Pharmaceutical Bulletin (2001), 49(11), 1457-1463 CODEN: CPBTAL; ISSN: 0009-2363
- PB Pharmaceutical Society of Japan
- DT Journal
- LA English
- AB Based on the structure of Tra-Tyr(O-Pic)-octylamide, a portion of the octylamine was replaced with moieties bearing hydrophobic, basic or acidic groups. Replacement of the C-terminal residue with a moiety bearing a hydrophobic group gave the proper affinity of the inhibitor to both plasmin (PL) and plasma kallikrein (PK). While addn. of a basic residue did not improve the affinity of the inhibitor, a carboxylic acid attached to the Ph ring increased the PK selectivity of the inhibitor.

IT 395062-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors)

- RN 395062-80-3 CAPLUS
- CN Carbamic acid, [(1S)-2-[[4-[{[(1,1-dimethylethoxy)carbonyl]amino]methyl]ph enyl]amino]-2-oxo-1-[[4-(4-pyridinylmethoxy)phenyl]methyl]ethyl]-,

9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2001:668346 CAPLUS
- DN 135:226989
- TI Synthesis of thiazolyl-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection
- IN Crute, J. James; Faucher, Anne-marie; Grygon, Christine; Hargrave, Karl
 D.; Simoneau, Bruno; Thavonekham, Bounkham
- PA Boehringer Ingelheim Ltd., Can.; Boehringer Ingelheim Pharm. Inc.
- SO U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

| FAN.CNT 2 PATENT NO. | KIND | DATE | APPLICATION NO. DATE |
|----------------------|--------|----------|---------------------------|
| PI US 6288091 |
B1 | 20010011 | TIG 1000 264446 10000770 |
| PI US 6288091 | вт | 20010911 | US 1999~364446 19990730 |
| | | | US 1995-9433P P 19951229 |
| | | | US 1996-23209P P 19960802 |
| | _ | | US 1996-759201 A 19961204 |
| CN 1207094 | A | 19990203 | CN 1996-199443 19961204 |
| | | | US 1995-9433P P 19951229 |
| US 6057451 | A | 20000502 | US 1996-759201 19961204 |
| | | | US 1995-9433P P 19951229 |
| | | | US 1996-23209P P 19960802 |
| ZA 9610850 | A | 19970630 | ZA 1996-10850 19961223 |
| | | | US 1995-9433P P 19951229 |
| US 6348477 | B1 | 20020219 | US 1999-456857 19991208 |
| | | | US 1995-9433P P 19951229 |
| | | | US 1996-23209P P 19960802 |
| | | | US 1996-759201 A319961204 |
| US 6458959 | B1 | 20021001 | US 2000-685686 20001010 |
| | | | US 1995-9433P P 19951229 |
| | | | US 1996-23209P P 19960802 |
| | | | US 1996-759201 A319961204 |
| | | | US 1999-456857 A319991208 |

PATENT FAMILY INFORMATION: FAN 1997:543457 PATENT NO. KIND DATE APPLICATION NO. DATE ~~~~~~~~~~ WO 9724343 A1 19970710 WO 1996-US19131 19961204 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 1995-9433P P 19951229 US 1996-23209P P 19960802 AU 9716828 A1 19970728 AU 1997-16828 19961204 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 EP 871619 A1 19981021 EP 871619 B1 20021106 EP 1996-945567 19961204 EP 871619 B1 20021106 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 A 19990203 CN 1207094 CN 1996-199443 19961204 US 1995-9433P P 19951229 BR 9612435 A 19990713 BR 1996-12435 19961204 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 JP 2000502702 T2 20000307 JP 1997-524325 19961204 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 NZ 331104 A 20000327 NZ 1996-331104 19961204 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 AT 1996-945567 19961204 AT 227279 E 20021115 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 ES 1996-945567 19961204 ES 2186811 T3 20030516 US 1995-9433P P 19951229 US 1996-23209P P 19960802 CA 2192433 AA 19970630 CA 1996-2192433 19961209 US 1995-9433P P 19951229 US 1996-23209P P 19960802 ZA 9610850 A 19970630 ZA 1996-10850 19961223 US 1995-9433P P 19951229 NO 1998-2950 A 19980625 NO 9802950 19980625 US 1995-9433P P 19951229 US 1996-23209P P 19960802 WO 1996-US19131W 19961204 US 6458959 B1 20021001 US 2000-685686 20001010

US 1995-9433P P 19951229 US 1996-23209P P 19960802 US 1996-759201 A319961204 US 1999-456857 A319991208

OS MARPAT 135:226989

AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR2-C(0)-Q-CH(R3)-NR4R5; R2 = H, alkyl; Q = bond, CH2; R3 = H, ((substituted)phenyl)alkyl; R4 = H, ((substituted)phenyl)alkyl, indanyl, cycloalkyl-alkyl; R5 = (Het)-(Y)-(alkyl)-C(0); Het = pyridinyl; Y = O, S] were prepd. Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH2Br, THF, reflux, 16 h) and the product converted to II (i-BuOCOCl, Et3N, DCM, 4'-aminoacetophenone, room temp., 16 h.). Amide II was converted to example compd. III (n = 0, P = Boc, E = CH2Ph) (I2, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH2Ph, E = C:OPh) had IC50 = 0.072 μM for HSV-1 and EC50 = 0.007 μM for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primase enzyme complex.

IT 193348-59-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of thiazolyl-phenyl-amide derivs. used to inhibit herpes virus replication and treat herpes infection)

RN 193348-59-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2001:604209 CAPLUS
- DN 135:331664
- TI A Novel Generation of Coupling Reagents. Enantiodifferentiating Coupling Reagents Prepared in Situ from 2-Chloro-4,6-dimethoxy-1,3,5-triazine (CDMT) and Chiral Tertiary Amines
- AU Kaminski, Zbigniew J.; Kolesinska, Beata; Kaminska, Janina E.; Gora, Jozef
- CS Institute of Organic Chemistry and Institute of General Food Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
- SO Journal of Organic Chemistry (2001), 66(19), 6276-6281 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 135:331664
- AB Coupling of racemic N-protected amino acids with amino components by means of 2-chloro-4,6-dimethoxy-1,3,5-triazine (CDMT) in the presence of chiral tertiary amines such as strychnine, brucine, and sparteine proceeded enantioselectively, affording appropriate amides or dipeptides in 69-85% yield. For example, CDMT (10 mmol) in THF (20 mL) was treated with strychnine (10 mmol) at 0° for 30 min, followed by the successive

addns. of Cbz-DL-Ala-OH (20 mmol) and aniline (11 mmol) to afford 82% of Cbz-D-Ala-NHPh with 98% enantiomeric excess. The configuration of the preferred enantiomer and enantiomeric enrichment depended on the structures of the amine and carboxylic acid. Calcd. Kagan enantioselectivity parameters (s) were in the range 1.6-195. Chiral triazinylammonium chlorides, formed in situ from CDMT and chiral tertiary amines, are postulated as reactive intermediates involved in the process of enantioselective activation of N-protected amino acids.

IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (coupling of racemic N-protected amino acids with amino components by using (chloro)dimethoxytriazine as a coupling reagent in the presence of chiral tertiary amines)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 53 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN L9

Full Text

- 2001:565039 CAPLUS AN
- DN 135:153111
- Preparation of aryl-amidines and derivatives, and prodrugs thereof as factor Xa inhibitors
- IN Kang, Myung-Gyun; Park, Doo-Hee; Kwon, Oh-Hwan; Kim, Eunice Eun-Kyeong; Hwang, Kwang-Yeon; Heo, Yong-Seok; Park, Tae-Kyo; Lee, Tae-Hee; Moon, Kwang-Yul; Park, Jong-Woo; Chang, Hye-Kyung; Lee, Sang-Koo; Lee, Sun-Hwa; Park, Su-Kyung; Lee, Sung-Hack; Park, Hee-Dong
- PA LG Chem Investment Ltd., S. Korea
- SO PCT Int. Appl., 177 pp.

CODEN: PIXXD2

- DT Patent
- LA English

| FAN. | CNT 1 | | | | | | | | | | | | | | | | | |
|------|---------------|-------|-----|-------------|-----|------|-----|--------------|--------------|------|------|----------|------|--------|------|-----|-----|--|
| | PATENT NO. | | | KI | ND | DATE | | | A. | PPLI | CATI | N NC | 0. 1 | DATE | | | | |
| | | | | | | | | | | | | | | | | | | |
| PI | WO 2001055146 | | | A1 20010802 | | | | WO 2001-KR13 | | | | 20010104 | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | |
| | | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | |
| | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, | |
| | | SD, | SE, | SG | | | | | | | | | | | | | | |
| | RW | : GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | | | |
| | | | | | | | | | KR 2000-4458 | | | A : | 2000 | 000129 | | | | |
| | | | | | | | | | KR 2000-6354 | | | | Α : | 2000 | 0211 | | | |
| | | | | | | | | | KR 2000-7487 | | | | A : | 2000 | 0217 | | | |

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KR 2000-7489 A 20000217
                      A1 20021106
                                           EP 2001-901571 20010104
     EP 1254136
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           KR 2000-4458
                                                         A 20000129
                                           KR 2000-6354 A 20000211
                                           KR 2000-7487 A 20000217
                                           KR 2000-7489 A 20000217
                                           WO 2001-KR13 W 20010104
     US 2003065176
                            20030403
                                           US 2002-181975 20020724
                      A1
                                           KR 2000-4458 A 20000129
                                           KR 2000-6354 A 20000211
                                           KR 2000-7487 A 20000217
                                           KR 2000-7489 A 20000217
                                           WO 2001-KR13 W 20010104
os
    MARPAT 135:153111
    The aryl-amidines, particularly amidinoaryl-cyclopropanes,
AB
     amidinoarylmethyl-pyrroles, amidinoaryl-benzenes, amidinoaryl-pyridines,
     or amindonoaryl-alanines, represented by formula G-A(D)-A-L-P[(X)n]-Q(Y)Z
     [wherein Ar = benzene, pyridine, thiophene, naphthalene, isoquinoline; G =
     R, F, Cl, Br, iodo, cyano, OR, O2CR, CO2R, CONR2 (wherein R = H, linear,
     branched, cyclic or branched cyclic C1-10 alkyl); A = Q-Q6, CH2 CHR5CONH,
     CH2CHR5CH2O, CH2CHR6NHCO [wherein R1, R2 = F, C1, Br, iodo, R, CH2O R,
     CH2O2CR, CO2R, CONR2, CON(CH2)m (m = 2-7), CO-morpholine, etc.; R3 = group
     listed in R2, CONH(amino acid or its ester or amide), etc.; R4 = F, C1,
     Br, iodo, cyano, OR, R; R5 = NR2, NR(COR), NR (CH2)m1 CO2R (m1 = 0-3),
     etc.; R6 = CO2R, CONR2, CH2OR]; Lb= CONH, CONHCH2, CH2NHCO, NHCONH, etc.;
     D = NH2, CH2NH2, C(:NR7)NH2 (wherein R7 = H, OH, CO2R8, OR8, O2COR8;
     wherein R8 = Ph, CH2Ph, linear, branched, cyclic or branched cyclic C1-10
     alkyl); L = (CH2)m2 (m2 = 0,1); P = benzene, pyridine, pyrrole, furan,
     thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole,
     isothiazole, pyridazine, pyridazine, pyrimidine, pyrazine, naphthalene,
     etc.; n = 0-2; Q = H, benzene, pyridine, pyridine, pyrrole, furan,
     thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole,
     isothiazole, etc.; Y, Z = R, F, Cl, Br, iodo, cyano, OR, CO2R, COR, CONR2,
     NR2, NR(COR), N(COR)2, CF3, OCF3, etc.], pharmaceutically acceptable
     salts, prodrugs, hydrates, solvates or isomers thereof are prepd. These
     compds. are inhibitors of coagulation enzyme, factor Xa (FXa). The
     present invention also relates to a pharmaceutical compn. contg. the above
     compd., and a method of using the same as an anticoagulant agent for
     treatment and prevention of thrombosis disorders. N-[4-(2-aminosulfonylph
     enyl)phenyl]-cis-2-(3-aminoiminomethylphenyl)cyclopropane-1-carboxamide
     monotrifluoroacetate, 4-(4-aminoiminomethylbenzyl)-1-(3-
     aminoiminomethylbenzyl)pyrrole-3-carboxamide bis(trifluoroacetate),
     3-aminoiminomethylbenzyl 2-(3-aminoiminomethylphenyl)benzyl ether
     bis(trifluoroacetate), and (S)-N-{4-(2-aminosulfonylphenyl)benzoyl}-3-(3-
     aminoiminomethylphenyl) alanine Et ester trifluoroacetate in vitro
     inhibited FXa with Ki of 0.5, 0.12, 0.44, and 2 nM, resp., and thrombin
     with Ki of 2,900, 2.1, 5, and 620, resp., and exhibited the thrombin/FXa
     selectivity of 5,800, 18, 11, and 310, resp.
IT 352617-49-3P 352617-59-5P 352617-67-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediate; prepn. of aryl-amidines and derivs., and prodrugs
        thereof as factor Xa inhibitors and anticoagulants for treatment of
        thrombosis disorders)
RN
     352617-49-3 CAPLUS
     Carbamic acid, [(1S)-1-[(3-cyanophenyl)methyl]-2-[[2'-[(1,1-cyanophenyl)methyl]]
CN
     dimethylethyl) amino] sulfonyl] [1,1'-biphenyl] -4-yl] amino] -2-oxoethyl] -,
     methyl ester (9CI) (CA INDEX NAME)
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RN 352617-59-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]-1[[5-cyano-2-(1,1-dimethylethoxy)phenyl]methyl]-2-oxoethyl]-, methyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352617-67-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[(3-cyanophenyl)methyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry}.$

IT 352619-93-3P 352619-97-7P 352620-07-6P 352620-37-2P 352620-63-4P 352620-69-0P

352621-23-9P 352621-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl-amidines and derivs., and prodrugs thereof as factor Xa inhibitors and anticoagulants for treatment of thrombosis disorders)

RN 352619-93-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-92-2
CMF C25 H23 N5 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352619-97-7 CAPLUS
CN Carbamic acid, [(1S)-1-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxoethyl]-, methyl
ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-96-6 CMF C24 H25 N5 O6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352620-07-6 CAPLUS

CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxoethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352620-06-5 CMF C24 H25 N5 O5 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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F-(-C0<sub>2</sub>H

RN 352620-37-2 CAPLUS

CN Carbamic acid, [(1s)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352620-36-1

CMF C26 H25 N5 O3
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Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

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RN 352620-63-4 CAPLUS
CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]ethyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352620-62-3
CMF C28 H29 N5 O3
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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352620-69-0 CAPLUS

CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]methyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352620-68-9 CMF C27 H27 N5 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352621-23-9 CAPLUS

CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]ethyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 352621-22-8 CMF C28 H29 N5 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352621-27-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]methyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 352621-26-2 CMF C27 H27 N5 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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                                         WO 2000-GB2302 W 20000613
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FAN 2001:923784
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FAN 2002:354079
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GB 1999-29552 A 19991214
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FAN 2002:465859
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PΙ
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                                          AU 2002-22207
                      A5
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                                                           20011212
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                                          GB 2001-14185 A 20010612
                                          WO 2001-GB5526 W 20011212
FAN 2002:964343
     PATENT NO.
                     KIND DATE
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                     A2 20021219
     WO 2002100847
PI
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                                          WO 2000-GB2302 W 20000613
                                          GB 2000-30304 A 20001213
    MARPAT 135:45999
OS
    A tryptase inhibitor of formula I is claimed [wherein; R5 = amino, OH,
    aminomethyl, hydroxymethyl or H; R6a = H or Me; X-X = CH:CH, CONRla, NHCO,
    NR1aCH2, CH2NR1a, CH2O, OCH2, CO2, OCO and CH2CH2, where R1a = H or
     (phenyl)alkyl; L = CO or CONR1d(CH2)m, where m = 0-1 and R1d = H or
     (phenyl)alkyl; Cy = (un)substituted (un)satd. mono or polycyclic homo or
    heterocyclic group; Lp = (un) substituted alk(en)yl, carbocyclic,
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heterocyclic or a combination of 2 or more groups linked by a spiro linkage or a single or double bond or by CO, O, OCO, COO, S(O)0-2, etc.]. Over 100 synthetic examples are described. For example, 2,6-diaminobenzothiazole was coupled with N-tert-butoxycarbonyl-D-phenylglycine (EDC/HOAt/DMF) to make the 6-amide deriv., trifluoroacetate salt. The amide intermediate was deprotected (TFA), coupled to 3-((tert-butoxycarbonyl)aminomethyl)benzoic acid (EDC/HOAt/DMF) and deprotected (TFA) to give phenylglycine deriv. II, isolated as the bis-trifluoroacetate salt. Compds. of the invention are tryptase inhibitors and are useful as antiinflammatory agents (no data).

IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and use of (hetero)arom. substituted phenylglycine derivs. as antiinflammatory agents)

RN 313491-16-6 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[([1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2001:278994 CAPLUS
- DN 135:107312
- TI Efficient synthesis of novel benzo-[e]-[1,4]-diazepine derivatives
- AU Messeri, T.; Pentassuglia, G.; Di Fabio, R.
- CS Medicines Research Center, GlaxoWellcome S.p.A., Verona, I-37135, Italy
- SO Tetrahedron Letters (2001), 42(18), 3227-3230

CODEN: TELEAY; ISSN: 0040-4039

- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 135:107312
- AB Following two efficient synthetic routes, a novel series of (2Z)-(8-chloro-1,2,3,4-tetrahydro-2-oxo-5H-1,4-benzodiazepin-5-ylidene)-N-phenylacetamide derivs. (bearing an unusual Z exo-methylencarbamoyl side chain at the C-5 position) were prepd. to identify new antagonists of the glycine binding site assocd. with NMDA receptor. Pharmacol. test data were not reported.

IT 350238-17-4P 350238-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (2Z)-(8-chloro-1,2,3,4-tetrahydro-2-oxo-5H-1,4-benzodiazepin-5-ylidene)-N-phenylacetamide derivs.)

RN 350238-17-4 CAPLUS

CN Benzoic acid, 4-chloro-2-[[phenyl[[(phenylmethoxy)carbonyl]amino]acetyl]am
ino]- (9CI) (CA INDEX NAME)

RN 350238-20-9 CAPLUS

CN Benzenepropanoic acid, 4-chloro-β-oxo-2-[[phenyl[[(phenylmethoxy)carb onyl]amino]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:271407 CAPLUS

DN 135:57729

TI Protease inhibitors, part 13: specific, weakly basic thrombin inhibitors incorporating sulfonyl dicyandiamide moieties in their structure

AU Clare, Brian W.; Scozzafava, Andrea; Supuran, Claudiu T.

CS Department of Chemistry, The University of Western Australia, Nedlands, 6009, Australia

SO Journal of Enzyme Inhibition (2001), 16(1), 1-13 CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

A series of compds. has been prepd. by reaction of dicyandiamide with alkyl/arylsulfonyl halides as well as arylsulfonyl isocyanates to locate a lead for obtaining weakly basic thrombin inhibitors with sulfonyl dicyandiamide moieties as the S1 anchoring group. The detected lead was sulfanilyl-dicyandiamide (KI of 3 μM against thrombin, and 15 μM against trypsin), which has been further derivatized at the 4-amino group by incorporating arylsulfonylureido as well as amino acyl/dipeptidyl groups protected at the amino terminal moiety with benzyloxycarbonyl or tosylureido moieties. The best compd. obtained (ts-D-Phe-Pro-sulfanilyldicyandiamide) showed inhibition consts. of 9 nM against thrombin and 1400 nM against trypsin. The pKa measurements showed that the new derivs. reported here do indeed possess a reduced basicity, with the pKa of the modified guanidine moieties in the range 7.9-8.3 pKa units. Mol. mechanics calcns. showed that the preferred tautomeric form of these compds. is of the type ArSO2N=C(NH2) NH-CN, probably allowing for the formation of favorable interaction between this new anchoring group and the active site amino acid residue Asp 189, crit. for substrate/inhibitor binding to this type of serine protease. Thus, the main finding of the present paper is that the sulfonyldicyandiamide group may constitute an interesting alternative for obtaining weakly basic, potent thrombin

inhibitors, which bind with less affinity to trypsin.

IT 345916-21-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of specific, weakly basic thrombin inhibitors incorporating sulfonyl dicyandiamide moieties in their structure)

RN 345916-21-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[[(cyanoamino)iminomethyl]amino]sulfonyl]pheny l]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:142719 CAPLUS

DN 134:326747

- TI Solid-Phase Catalysis: A Biomimetic Approach toward Ligands on Dendritic Arms to Explore Recyclable Hydroformylation Reactions
- AU Arya, Prabhat; Panda, Gautam; Rao, N. Venugopal; Alper, Howard; Bourque, S. Christine; Manzer, Leo E.
- CS Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A OR6, Can.
- SO Journal of the American Chemical Society (2001), 123(12), 2889-2890 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 134:326747
- The authors have prepd. two dendritic immobilized ligands for the rhodium-catalyzed hydroformylation reaction which show improved recyclabilities. The approach was modular, allowing placement of ligands on dendrimer arms in a highly controlled manner. Building block (I) was used with solid-phase synthesis techniques to prep. two catalysts; the first [(II); R = Ac; (III)] had the metal-ligand group in a more-exposed surface orientation, while the second ((II); R = 3,5-(Ac-Phe-NH)2-C6H3-C(O)-Gly-; (IV)] added addnl. peptide layers to provide a biomimetic internal location for the metal ligand. Both III and IV showed high reactivities in the hydroformylation of styrene or 4-methoxystyrene, with conversions of >99% through five cycles, with high linear:branched product ratios (<14:1); in reactions with vinyl benzoate, the conversions ranged from 99% for III for the second cycle and 85% for the fifth, to 97% and 83% resp. for IV, with high linear:branched product ratios (<17:1).

IT 264617-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of dendritic biomimetic ligands for the rhodium-catalyzed hydroformylation reaction)

RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 336109-50-3P 336109-51-4DP, resin-bound

336109-51-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of dendritic biomimetic ligands for the rhodium-catalyzed hydroformylation reaction)

RN 336109-50-3 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336109-51-4 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 336109-51-4 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:50635 CAPLUS

DN 134:115845

TI Preparation of α,β -annelated butyrolactones as modulators of metabotropic glutamate receptors.

IN Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephan; Voerste, Arnd;
Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard;
Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie-Victor; Schreiber, Rudy

PA Bayer Aktiengesellschaft, Germany

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SO
    PCT Int. Appl., 215 pp.
     CODEN: PIXXD2
DT
     Patent
LA
    German
FAN.CNT 1
                     KIND DATE
                                          APPLICATION NO. DATE
     PATENT NO.
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                           _____
                                          _____
                                         WO 2000-EP6105
PΤ
     WO 2001004107 A1
                            20010118
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
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             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          DE 1999-19932621A 19990713
     DE 19932621
                      A1
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                                          DE 1999-19932621 19990713
os
    MARPAT 134:115845
     Title compds. [I; A = CH2, CO, C(OH)R4, (CH2)aCHR5; a = 0-4; R4 = H,
     alkyl; R5 = Ph; R1 = H, alkyl, cycloalkyl, (benzocondensed) (substituted)
     heterocycly1; R2, R3 = H, alky1; DE = CH2COCH2, CH2CH(OH)CH2,
     CH2C(OH)(CH2OH)CH2, CH2C(:CR31R32)CH2, etc.; R31, R32 = H, Ph, alkyl],
     were prepd. for treatment of cerebral ischemia, skull/brain trauma, pain,
     and CNS-induced cramps (no data). Thus, N-[(3a''S*,6a''S*)-4-(5-
     methylenehexahydrocylopenta[c]furan-1-on-6ylmethyl)phenyl]bromoacetamide
     (prepn. given), Et3N, and morpholine were refluxed 20 h in PrOH to give
     87% N-[(3a''S*,6a''S*)-4-(5-methylenehexahydrocylopenta[c]furan-1-on-
     6ylmethyl)-phenyl]-N-morpholineacetamide.
IT 321127-46-2P 321127-47-3P 321127-98-4P
     321128-36-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of \alpha, \beta-annelated butyrolactones as modulators of
        metabotropic glutamate receptors)
RN
     321127-46-2 CAPLUS
    Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[[4-[[(3aS,6aS)-tetrahydro-5-
     methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-
     , 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)
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Relative stereochemistry.

RN 321127-47-3 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321127-98-4 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-[[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321128-36-3 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-(phenylmethyl)-2-[[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:900663 CAPLUS

DN 134:56961

TI Preparation of amino acid derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Young, Stephen Clinton; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Morgan, Phillip John

PA Protherics Molecular Design Ltd., UK

SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

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GB 2000-30304 A 20001213

OS MARPAT 134:56961

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, substituted at the 3 and/or 4 position by aminoalkyl, and optionally substituted in position alpha to the X-X group by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl (at least of X is C or a substituted C group); L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un) substituted, (un) satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Thus, 3-(aminomethyl)benzoyl-D-phenylglycine 2-aminobenzothiazol-6-amide bis(trifluoroacetate) salt was prepd. from Boc-D-phenylglycine (Boc = tert-butoxycarbonyl) via amidation and acylation reactions. The synthesized compds. have been found to be inhibitors of tryptase by the method of Tapparelli et al. (1993).

IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313491-16-6 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 24 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:900613 CAPLUS

DN 134:56957

TI Preparation of amino acid derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John

PA Eli Lilly and Company, USA; Protherics Molecular Design Limited

SO PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DT Patent

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FAN.CNT 13

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             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          GB 1999-13823 A 19990614
                                          US 1999-142064PP 19990702
                                          GB 1999-18741 A 19990809
                                          GB 1999-29552 A 19991214
                                          GB 1999-29553 A 19991214
FAN 2002:465859
     PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
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PΙ
     WO 2002047762
                     A1 20020620
                                         WO 2001-GB5526 20011212
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         WO 2000-GB4764 W 20001213
                                         GB 2001-14185 A 20010612
     WO 2001044226
                     A1 20010621
                                         WO 2000-GB4764 20001213
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          GB 1999-29552 A 19991214
                                          WO 2000-GB2291 W 20000613
    AU 2002022207 A5 20020624
                                          AU 2002-22207 20011212
                                          WO 2000-GB4764 A 20001213
                                          GB 2001-14185 A 20010612
                                         WO 2001-GB5526 W 20011212
FAN 2002:964343
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
PI
    WO 2002100847 A2 20021219
                                        WO 2002-US16569 20020606
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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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            TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         WO 2001-GB2553 W 20010612
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US 2001-339295PP 20011212

WO 2001096323 Al 20011220 WO 2001-GB2553 20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2000-GB2302 W 20000613

GB 2000-30304 A 20001213

OS MARPAT 134:56957

Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. AR carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for Rla); Cy is an (un) substituted, (un) satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313491-16-6 CAPLUS CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[((1,1-

Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[((1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 25 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:845882 CAPLUS

DN 134:116228

TI Synthesis of the novel amino acid 4-amino-3-(aminomethyl)benzoic acid (AmAbz) and its protected derivatives as building blocks for pseudopeptide synthesis

AU Pascal, Robert; Sola, Regine; Labeguere, Frederic; Jouin, Patrick

CS CNRS UPR 9023, Mecanismes Moleculaires des Communications Cellulaires,

Centre de Pharmacologie-Endocrinologie, Montpellier, 34094, Fr.

SO European Journal of Organic Chemistry (2000), (22), 3755-3761 CODEN: EJOCFK; ISSN: 1434-193X

PB Wiley-VCH Verlag GmbH

DT Journal

LA English

OS CASREACT 134:116228

4-Amino-3-(aminomethyl)benzoic acid (AmAbz) is a novel amino acid, AB suitable as a building block for the synthesis of peptidomimetics and as a scaffold for combinatorial chem. AmAbz was efficiently synthesized in three steps (63% overall yield) from 4-aminobenzoic acid using regioselective amidomethylation with hydroxymethylphthalimide. AmAbz contains three distinct functionalities which could be discriminated from one another. Firstly, Boc2O or Fmoc-OSu reacted selectively with the benzylamino group to give the monoprotected derivs., 4-amino-3-(tertbutoxycarbonylaminomethyl)benzoic acid [AmAbz(Boc)] or 4-amino-3-(9-fluorenylmethoxycarbonylaminomethyl)benzoic acid [AmAbz(Fmoc)]. The absence of acylation at the arylamino group was also noticed in coupling expts. using the BOP reagent and building block AmAbz(Fmoc). This made protection of the arylamino group unnecessary either for peptide bond formation at the carboxyl group, or for subsequent elongation of a peptide chain at the benzylamino group. Finally, the arylamino group could be acylated under base-free, carbodiimide-mediated coupling conditions. These properties are illustrated by the solid-phase synthesis of the AmAbz-contg. branched pseudopeptide Fmoc-Ala-Phe-AmAbz(H-Lys-Leu)-Val-Gly-NH2. The synthesis of 4-(9-fluorenylmethoxycarbonylamino)-3-(tert-butoxycarbonylaminomethyl)benzoic acid, Fmoc-AmAbz(Boc)-OH, is also described.

IT 320727-09-1DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (amino) (aminomethyl) benzoic acid as a novel amino acid and using its protected derivs. in peptide synthesis)

RN 320727-09-1 CAPLUS

CN Glycinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-amino-3-[[[N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-Lleucyl]amino]methyl]benzoyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 320727-11-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of (amino) (aminomethyl) benzoic acid as a novel amino acid and using its protected derivs. in peptide synthesis)

RN 320727-11-5 CAPLUS

CN Glycinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-amino-3-[((L-lysyl-L-leucyl)amino]methyl]benzoyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:368300 CAPLUS

DN 133:177463

TI Protease inhibitors. Part 2. Weakly basic thrombin inhibitors incorporating sulfonyl-aminoguanidine moieties as S1 anchoring groups: synthesis and structure-activity correlations

AU Clare, Brian W.; Scozzafava, Andrea; Briganti, Fabrizio; Iorga, Bogdan; Supuran, Claudiu T.

CS Division of Science, Murdoch University, Perth, 6150, Australia

SO Journal of Enzyme Inhibition (2000), 15(3), 235-264 CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

AB Two series of derivs. have been prepd. and assayed as inhibitors of two physiol. relevant serine proteases, human thrombin and human trypsin. The first series includes alkyl-/aralkyl-/aryl- and hetarylsulfonyl-aminoguanidines. It was thus obsd. that sulfanilyl-amino-guanidine possesses moderate but intrinsically selective thrombin inhibitory properties, with K1 values around 90 and 1400 nM against thrombin and trypsin resp. Further elaboration of this mol. afforded compds. that inhibited thrombin with K1 values in the range 10-50 nM, whereas affinity for trypsin remained relatively low. Such compds. were obtained either by attaching benzyloxycarbonyl- or 4-toluenesulfonylureido-protected amino acids (such as D-Phe, L-Pro) or dipeptides (such as Phe-Pro, Gly-His, β-Ala-His or Pro-Gly) to the N-4 atom of the lead mol., sulfanilyl-aminoguanidine, or by attaching substituted-pyridinium-propylcarboxamido moieties to this lead. Thus, this study brings novel

insights regarding a novel non-basic S1 anchoring moiety (i.e., SO2NHNHC(=NH)NH2), and new types of peptidomimetic scaffolds obtained by incorporating tosylureido-amino acids/pyridinium-substituted-GABA moieties in the hydrophobic binding site(s). Structure-activity correlations of the new serine protease inhibitors are also discussed based on a QSAR model described previously for a large series of structurally-related derivs.

IT 276245-86-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity correlations of weakly basic thrombin inhibitors incorporating sulfonyl-aminoguanidine moieties as S1 anchoring groups)

RN 276245-86-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-(aminoiminomethyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2000:248968 CAPLUS
- DN 133:43792
- TI Design and evaluation of benzophenone-containing conformationally constrained ligands as tools for photoaffinity scanning of the integrin $\alpha\nu\beta$ 3-ligand bimolecular interaction
- AU Bitan, Gal; Scheibler, Lukas; Teng, H.; Rosenblatt, Michael; Chorev, Michael
- CS Division of Bone and Mineral Metabolism, Charles A. Dana and Thorndike Laboratories, Department of Medicine, Beth Israel Deaconess Medical Center and Harvard Medical School, Boston, MA, 02215, USA
- SO Journal of Peptide Research (2000), 55(3), 181-194 CODEN: JPERFA; ISSN: 1397-002X
- PB Munksgaard International Publishers Ltd.
- DT Journal
- LA English
- AB To generate tools for photoaffinity scanning of the RGD-binding site of human integrin $\alpha\nu\beta3$, new conformationally constrained ligands were designed. The ligands were based on five different cyclic peptidic or peptidomimetic scaffolds with high affinity for $\alpha\nu\beta3$. A single photoreactive group, a benzophenone moiety, was introduced at different positions relative to the RGD triad. In addn., 125I or a biotin group was introduced as a reporting tag. Twenty-four cyclic ligands were prepd. and their binding affinity for $\alpha\nu\beta3$ was detd. In most cases, the modifications resulted in a 5- to 500-fold decrease in affinity

relative to the unmodified scaffold. Analogs representing 3 of the 5 families were screened for their crosslinking efficiency. Ligands with sub-micromolar affinities cross-linked efficiently and specifically to the integrin receptor, whereas ligands with weaker affinities gave specific crosslinking, but with lower efficiency. Almost all of the screened ligands cross-linked predominantly to the $\beta 3$ subunit.

IT 274676-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and integrin- $\alpha v\beta 3$ affinity of benzophenone-contg. conformationally constrained peptides and peptidomimetics)

RN 274676-09-4 CAPLUS

CN Acetic acid, [4-[(2S)-3-[(4-benzoylphenyl)amino]-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 28 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text

AN 2000:246797 CAPLUS

DN 133:37718

- TI Protease Inhibitors: Synthesis and QSAR Study of Novel Classes of Nonbasic Thrombin Inhibitors Incorporating Sulfonylguanidine and O-Methylsulfonylisourea Moieties at Pl
- AU Supuran, Claudiu T.; Scozzafava, Andrea; Briganti, Fabrizio; Clare, Brian W.
- CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy
- SO Journal of Medicinal Chemistry (2000), 43(9), 1793-1806 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- AB Using benzamidine as a lead mol., two series of alkyl/aralkyl/arylsulfonylguanidines/sulfonyl-O-methylisoureas have been prepd. and assayed as inhibitors of two serine proteases, thrombin and trypsin. The study showed that sulfaguanidine and its corresponding O-methylisourea deriv. possess moderate but intrinsically selective thrombin inhibitory properties, with KI's around 100 nM against thrombin and 1350-1500 nM against trypsin. Further elaboration of these two mols. afforded compds. that inhibited thrombin with KI's in the range of 12-50

nM, whereas affinity for trypsin remained relatively low. Such compds. were obtained by attaching benzyloxycarbonyl- or 4-toluenesulfonylureidoprotected amino acids (such as L- and D-Phe or L-Pro) or dipeptides (such as Phe-Pro, Gly-His, β -Ala-His, or Pro-Gly) to the two leads mentioned above, sulfaguanidine and 4-aminobenzenesulfonyl-Omethylisourea. Thus, the present study proposes two novel approaches for the prepn. of high-affinity, specific thrombin inhibitors: two novel S1 anchoring moieties in the already large family of arginine/amidine-based inhibitors and novel peptidomimetic scaffolds obtained by incorporating tosylureido amino acids in the hydrophobic binding site(s). The first one is important for obtaining bioavailable thrombin inhibitors, devoid of the high basicity of the commonly used arginine/amidine-based inhibitors, whereas the second one may lead to improved water soly. of such compds. due to facilitated metal (sodium) salts formation (at the relatively acidic SO2NHCO protons) as well as increased stability at hydrolysis (in vivo). A QSAR study also explained the activity in terms of global properties of the mols., electronic properties of the sulfonylguanidine/sulfonylisourea moiety, and novel descriptors, the frontier orbital phase angles (FOPA), that account for the directions of the nodes in the π orbitals in the arom. portion of those of the drugs in which the sulfonyl group was bound to a benzene ring. For thrombin inhibition, the size of the mol. was the dominant influence, while for trypsin inhibition the FOPA was the principal determinant of activity. The dependence of activity on the FOPA variables is perhaps the clearest example of a quantum effect in pharmacol. and suggests a promising new tool for drug design.

IT 276245-27-3P 276245-66-0P 276245-86-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and QSAR study of thrombin inhibitors incorporating sulfonylguanidine and O-methylsulfonylisourea moieties)

RN 276245-27-3 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[(aminoiminomethyl)amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 276245-66-0 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[(iminomethoxymethyl)amino]sulfonyl]phenyl]ami
no]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 276245-86-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-(aminoiminomethyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 29 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:137837 CAPLUS

DN 132:293309

- TI A Divergent, Solid-Phase Approach to Dendritic Ligands on Beads. Heterogeneous Catalysis for Hydroformylation Reactions
- AU Arya, Prabhat; Rao, N. Venugopal; Singkhonrat, Jirada; Alper, Howard; Bourque, S. Christine; Manzer, Leo E.
- CS Chemical Biology Program Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A OR6, Can.
- SO Journal of Organic Chemistry (2000), 65(6), 1881-1885 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 132:293309
- AB Three generations of dendritic phosphines were prepd. from 3,5-diaminobenzoylglycine and 9-fluorenylmethoxycarbonyl-L-phenylalanine. The dendrimers were then attached to MBHA resin and treated with CH2O and Ph2PH, and converted to their Rh complexes. The polymer-supported complexes are excellent catalysts for the hydroformylation of alkenes which could be recycled.

IT 264617-45-0P 264617-46-1DP, resin-bound 264617-46-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of polymer-supported phosphinomethylphenylalanylaminobenzoylgly cine dendrimers as ligands for hydroformylation catalysts)

RN 264617-45-0 CAPLUS

Absolute stereochemistry.

RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 30 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:84633 CAPLUS

DN 132:148494

TI Novel fluorescence dyes and their applications for whole cell fluorescence screening assays for caspases, peptidases, proteases and other enzymes and the use thereof

IN Zhang, Han-zhong; Cai, Sui Xiong; Drewe, John A.; Yang, Wu

PA Cytovia, Inc., USA

SO PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | | | | | | APPLICATION NO. | | | | | | DATE | | | | | |
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| | AU 9951160 | | | A1 20000214 | | | | | | | | | | | | | | |
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| | 05 0240904 | | | B1 20010619 | | | | US 1998-93642P P 19980721 | | | | | | | | | | |

OS MARPAT 132:148494

AB The present invention relates to novel fluorescent dyes, novel fluorogenic and fluorescent reporter mols., and new enzymes assay processes that can be used to detect the activity of caspases and other enzymes involved in apoptosis in whole cells, cell lines and tissue samples derived from any living organism or organ. The reporter mols. and assay processes can be

used in drug screening procedures to identify compds. which act as inhibitors or inducers of the caspase cascade in whole cells or tissues. The reagents and assays described herein are also useful for detg. the chemosensitivity of human cancer cells to treatment with chemotherapeutic drugs. The present invention also relates to novel fluorogenic and fluorescent reporter mols. and new enzyme assay processes that can be used to detect the activity of type 2 methionine aminopeptidase, HIV protease, adenovirus protease, HSV-1 protease, HCMV protease and HCV protease. Thus, for example, recombinant Caspase-3 cleaves the substrates N-(Z-Asp-Glu-Val-Asp)-N'-pentafluorobenzoyl-Rhodamine 110 and N-(Ac-Asp-Glu-Val-Asp)-N'-(2,3,4,5-tetrafluorobenzoyl)-Rhodamine 110. Syntheses are provided for the prepn. of the substrates comprising reacting Rhodamine with a substituted benzoyl chloride to give N-substituted benzoyl-Rhodamine, followed by condensing the N-substituted benzoyl-Rhodamine with protected amino acid/peptide derivs. and removal of the protecting groups.

IT 256528-60-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fluorescence dyes and their applications for whole cell fluorescence screening assays for caspases, peptidases, proteases and other enzymes)

RN 256528-60-6 CAPLUS

CN Xanthylium, 9-(2-carboxyphenyl)-3-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-6-[(pentafluorobenzoyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 31 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 2000:68948 CAPLUS
- DN 132:251284
- TI Total Synthesis of the Fumiquinazoline Alkaloids: Solution-Phase Studies
- AU Wang, Haishan; Ganesan, A.
- CS Institute of Molecular and Cell Biology, National University of Singapore, Singapore, 117609, Singapore
- SO Journal of Organic Chemistry (2000), 65(4), 1022-1030 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 132:251284
- AB Biomimetic total syntheses of glyantrypine (I), fumiquinazoline F,

fumiquinazoline G, and fiscalin B were achieved in four steps from tryptophan Me ester. In the key step, the anthranilamide residue in a linear tripeptide is dehydrated to a benzoxazine, e.g. II, by reaction with triphenylphosphine, iodine, and a tertiary amine. The benzoxazines subsequently undergo rearrangement to the natural products via an amidine intermediate. This dehydrative oxazine to quinazoline route is applicable to a broad range of N-acylanthranilamides, including sterically hindered cases.

IT 262590-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of fumiquinazoline alkaloids, soln.-phase studies)

RN 262590-36-3 CAPLUS

CN D-Tryptophan, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-2aminobenzoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:784047 CAPLUS

DN 132:31755

TI Construction and use of catalogued nucleic acid libraries from mixed samples using pos. and neg. selection and normalization methods

IN Short, Jay M.

PA Diversa Corporation, USA

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

| PAN. | CNT | Т | | | | | | | | | | | | | | | | |
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| PI | WO | 9962 | 847 | | Α | 2 | 1999 | 1209 | | W | 0 19 | 99-U | S124 | 96 | 1999 | 0603 | | |
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                                          US 1998-89789 A 19980603
                                          WO 1999-US12496W 19990603
     JP 2002516679
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                                          US 1998-89789 A 19980603
                                          WO 1999-US12496W 19990603
    Claimed is a process for constructing a catalogued nucleic acid library
     from a mixed sample, in which the proportional representation of the
     constituents is adjusted to advantage through the use of pos. and neg.
     selection and library normalization, resulting in a need for screening
     significantly fewer library constituents in order to identify a
    potentially desired constituent. Moreover, library constituents that
    previously would have been essentially "lost" are now recoverable.
    Preferred embodiments of this invention include the cataloguing,
    normalization, and enrichment of library constituents. By way of example,
    but not limitation, this technol. is serviceable for constructing a
     library that contains an adequate representation of desirable constituents
     that (1) are initially found in low-copy nos. within a sample source or
     (2) originate from an organism that is problematic to culture. Applicable
    uses of this invention include any library-screening endeavor previously
    hindered by logistical impediments. By expanding previous logistical
     frontiers this invention allows for a novel generation of previously
    unattainable mols. - particularly mols. that are "unclonable" from
    conventional, unadjusted libraries - to now be detected, cloned,
    manipulated, expressed, studied, and used. By disclosing the construction
    and screening of high yielding nucleic acid libraries from mixed and
    uncultivated organisms, the instant technol. eclipses former boundaries in
    the area of biol. discovery and enables the full breadth of biol.
    diversity to be accessed in the search for previously undiscovered genes
    and gene products. The benefits of the present invention are seen to
    extend to areas of diagnosis, medicine, agriculture, manufg., and
    academia. The methods are demonstrated as applied to populations of soil
    bacteria, to a symbiont which cannot be sepd. from its host, and to
    picoplankton library construction.
IT 244145-04-8
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (as enzyme substrate in library screening; construction and use of
       catalogued nucleic acid libraries from mixed samples using pos. and
       neg. selection and normalization methods)
RN
    244145-04-8 CAPLUS
    Carbamic acid, [(1S)-2-oxo-2-[[2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-
    yl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX
    NAME)
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Absolute stereochemistry.

L9 ANSWER 33 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:767900 CAPLUS

DN 132:180850

TI Photomodulation of conformational states. Synthesis of cyclic peptides with backbone-azobenzene moieties

AU Behrendt, Raymond; Schenk, Michaela; Musiol, Hans-Jurgen; Moroder, Luis

CS Max-Planck-Institute of Biochemistry, Martinsried, D-82152, Germany

SO Journal of Peptide Science (1999), 5(11), 519-529 CODEN: JPSIEI; ISSN: 1075-2617

PB John Wiley Sons Ltd.

DT Journal

LA English

The search for photoresponsive conformational transitions accompanied by changes in physicochem. and biol. properties led us to the design of small cyclic peptides contg. azobenzene moieties in the backbone. For this purpose, (4-aminomethyl) phenylazobenzoic acid (H-AMPB-OH) and (4-amino)phenylazobenzoic acid (H-APB-OH) were synthesized and used to cyclize a bis-cysteinyl-octapeptide giving monocyclic derivs. in which addnl. conformational restriction could be introduced by conversion to bicyclic structures with a disulfide bridge. While synthesis with H-AMPB-OH proceeded smoothly on a chlorotrityl-resin with Fmoc/tBu chem., the poor nucleophilicity of the arylamino group of H-APB-OH required special chem. for satisfactory incorporation into the peptide chain. Addnl. difficulties were encountered in the reductive cleavage of the S-tert-butylthio group from the cysteine residues since concomitant redn. of the azobenzene moiety took place at competing rates. This difficulty was eventually bypassed by using the S-trityl protection. Side-chain cyclization of the APB-peptide proved to be difficult, suggesting that restricted conformational freedom was already present in the monocyclic form, a fact that was fully confirmed by NMR structural anal. Conversely, the methylene spacer in the AMPB moiety introduced sufficient flexibility for facile and quant. side-chain cyclization to the bicyclic form. Both of the monocyclic peptides and both of the bicyclic peptides are photoresponsive mols. which undergo cis/trans isomerization reversibly.

IT 259199-98-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of cyclic peptides with backbone-azobenzene moieties for studies of photomodulation of conformational states)

RN 259199-98-9 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

IT 259199-84-3P 259199-85-4P 259199-88-7P

259199-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cyclic peptides with backbone-azobenzene moieties for studies of photomodulation of conformational states)

RN 259199-84-3 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

RN 259199-85-4 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl- (9CI) (CA INDEX NAME)

RN 259199-88-7 CAPLUS

CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-L-alanyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L-alanyl-O-(1,1-dimethylethyl)-L-threonyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L- α -aspartyl-, 8-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 259199-91-2 CAPLUS

CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4aminophenyl)azo]benzoyl-L-alanyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-Lalanyl-O-(1,1-dimethylethyl)-L-threonyl-3-[(1,1-dimethylethyl)dithio]-Lalanyl-L-α-aspartyl-, 8-(1,1-dimethylethyl) ester,
9-[2-[(1,1-dimethylethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-B

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 34 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:712305 CAPLUS

DN 132:293735

- TI Reactions of di-tert-butyl dicarbonate with benzodiazines and synthetic applications of the products
- AU Ouchi, Hidekazu; Saito, Yukako; Koriyama, Noriko; Yamamoto, Yutaka
- CS Tohoku Coll. Pharm., Sendai, 981-8558, Japan
- SO Annual Report of the Tohoku College of Pharmacy (1998), 45, 111-116 CODEN: TYKNAQ; ISSN: 0495-7342
- PB Tohoku Yakka Daigaku
- DT Journal
- LA Japanese
- AB Reactions of di-tert-Bu dicarbonate with benzodiazines such as phthalazine, quinazoline, and quinoxaline were investigated. Phthalazine and quinazoline, among them, reacted to give 1-tert-butoxy-2-tert-butoxycarbonyl-1,2-dihydorophthalazine and 3-tert-butoxycarbonyl-4-tert-butoxy-3,4-dihydoroquinazoline in good yields, resp. These products were found to work as condensing agents between N-protected amino acids and ethanol or aniline for esterification and amidation, giving the corresponding esters or anilides, and also as tert-butoxycarbonylation agents of amino acid hydrochlorides and phenols.

IT 15366-12-8P, Z-Phe-NHPh

- RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of di-tert-Bu dicarbonate with benzodiazines and synthetic applications of the products as condensing and tert-butoxycarbonylation agents)
- RN 15366-12-8 CAPLUS
- CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 35 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:665837 CAPLUS

DN 132:78814

TI Impressive gelation in organic solvents by synthetic, low molecular mass, self-organizing urethane amides of L-phenylalanine

AU Bhattacharya, Santanu; Acharya, S. N. Ghanashyam

CS Department of Organic Chemistry, Indian Institute of Science, Bangalore, 560 012, India

SO Chemistry of Materials (1999), 11(11), 3121-3132 CODEN: CMATEX; ISSN: 0897-4756

PB American Chemical Society

DT Journal

LA English

Phenylalanine (Phe) based mono- and bipolar amides were synthesized, and AΒ an in-depth study of their structure-property relationship with respect to gelations was presented. Examples of monoamides were Cbz-Phe-NRR1 (R = H, R1 = n-C16H33, (CH2) 9C = CH, n-Bu; R = Me, R1 = n-C18H37] and Boc-Phe-NHR (R = n-C16H33). The corresponding bipolar amides CbzNHCH (CH2Ph) CONH-X-NHCOCH (CH2Ph) NHCbz [I; X = (CH2)12, 4,4'-diphenylmethylene] were synthesized with flexible and rigid spacers such as 1,12-diaminododecane and 4,4'-diaminodiphenylmethane, resp. Another bipolar amide I [X = (CH2) 9C = C - C = C(CH2) 9] with a polymerizable diacetylene group was synthesized. To ascertain how urethane linkages affect gelation, Boc and Cbz in the some of the Phe amides were replaced by acetyl, and benzoyl groups. The Phe amides were examd. for their aggregation and gelation properties in a no. of org. solvents and their mixts. Optical microscopy and electron microscopy were used to study the gel formation. FT-IR, calorimetric, and powder x-ray diffraction studies were also used for those systems with excellent gelation behavior. Mol. modeling and energy-minimization studies were used to explain the possible reasons for gelation. All data demonstrated that the Cbz group, urethane and secondary amide linkages, chiral purities of the headgroup and the length of the alkyl chain of the hydrophobic segment are crit. determinants of effective gelation.

IT 253780-51-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis and study of gelation properties of urethane based phenylalanine amides)

RN 253780-51-7 CAPLUS

Absolute stereochemistry.

US 1997-944795 19971006

A 20000229

US 6030779

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Recombinant enzyme libraries and kits where a plurality of enzymes are each characterized by different phys. and/or chem. characteristics and classified by common characteristics are disclosed. The characteristics are detd. by screening of recombinant enzymes expressed by a DNA library produced from various microorganisms. Also disclosed is a process for identifying clones of a recombinant library which expresses a protein with a desired activity by screening a library of expression clones randomly produced form DNA of at least one microorganism, said screening being effected on expression products of said clones to thereby identify clones which express a protein with a desired activity. Also disclosed is a process of screening clones having DNA from an uncultivated microorganism for a specified protein activity by screening for a specified protein activity in a library of clones prepd. by (i) recovering DNA from a DNA population derived from at least one uncultivated microorganism; and (ii) transforming a host with recovered DNA to produce a library of clones which is screened for the specified protein activity. Procedures used to generate a gene library from a sample of the exterior surface of a whale bone found at 1240 m depth in the Santa Catalina Basin are presented. A tiered procedure for screening the expression library for hydrolase activity and to further characterize the type of hydrolase activity is also presented.

IT 244145-04-8

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)

(substrate for chiral classification of enzyme activities; protein activity screening of clones having DNA from uncultivated microorganisms) .

RN 244145-04-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 38 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:396542 CAPLUS

DN 131:200031

- TI Synthesis and optical properties of cyclic bis-cysteinyl peptides and their linear precursors with a built-in light switch
- AU Schenk, Michaela; Rudolph-Bohner, Sabine; Wachtveitl, Josef; Nagele, Thomas; Oesterhelt, Dieter; Moroder, Luis
- CS Max-Planck-Institut fur Biochemie, Martinsried, 82152, Germany
- SO Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 313-314. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Publisher: Kluwer, Dordrecht, Neth. CODEN: 67UCAR

DT Conference

Di Conterence

LA English

AB A symposium report on the synthesis and optical properties of peptides which are bridged by the light switch 4-aminophenylazobenzoic acid.

IT 241819-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and optical properties of cyclic bis-cysteinyl peptides and their linear precursors with built-in light switch)

RN 241819-64-7 CAPLUS

CN D-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 39 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1999:245357 CAPLUS
- DN 131:73611
- TI Antiviral activity of benzoxazinone derivatives having amino acid moiety
- AU Chiba, Takuo; Endo, Akira; Sugawara, Sinogu
- CS Japan
- SO Akita Kogyo Koto Senmon Gakko Kenkyu Kiyo (1999), 34, 37-39 CODEN: AKKKEK; ISSN: 0285-5364
- PB Akita Kogyo Koto Senmon Gakko
- DT Journal
- LA English
- AB Condensation of anthranilic acid and N-protected amino acids by active ester method gave N-amino-acetylated anthranilic acids which were cyclized under acidic condition to afford the corresponding 2-substituted 3,1-benzoxazin-4-one derivs. When N-protecting group of amino acids was acetoacetyl group, the target benzoxazinone was not obtained. In the case of N-benzyloxycarbonyl (Z) amino acids such as Z-Gly, Z-Ala, and Z-Phe, the benzoxazinone were obtained in 88%, 76%, and 76% yields, resp. When each compds. was tested against RSV, HIV, FluV-A, and HSV, none of the compds. had antiviral activity.

IT 229160-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and antiviral activity of benzoxazinone derivs.)

- RN 229160-70-7 CAPLUS

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 40 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:193839 CAPLUS

DN 130:252377

TI Preparation of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists

IN Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-Yong; Clader, John W.; Chackalamannil, Samuel; Yuguang, Wang; Mccombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros

PA Schering Corporation, USA

SO U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 457,712, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

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| 333801 | Α | 20000428 | NZ | 1997-333801 | 19970806 |
| | | | US | 1996-700628 A | 19960808 |
| | | | WO | 1997-US13383W | 19970806 |
| 233260 | E | 20030315 | AT | 1997-936296 | 19970806 |
| | | | US | 1996-700628 A | 19960808 |
| | | | WO | 1997-US13383W | 19970806 |
| 9900551 | A | 19990407 | NO | 1999-551 | 19990205 |
| | | | US | 1996-700628 A | 19960808 |
| | | | WO | 1997-US13383W | 19970806 |
| 2000029947 | Α | 20000525 | KR | 1999-701175 | 19990208 |
| | | | US | 1996-700628 A | 19960808 |
| 6043255 | A | 20000328 | US | 1999-266079 | 19990310 |
| | | | US | 1995-392697 B2 | 219950223 |
| | | | US | 1995-457712 B2 | 19950602 |
| | | | US | 1996-602403 A2 | 219960216 |
| | | | US | 1996-700628 A3 | 19960808 |
| | 1232462
1084743
9711119
2000501117
333801
233260
9900551
2000029947
6043255 | 1084743 B 9711119 A 2000501117 T2 333801 A 233260 E 9900551 A 2000029947 A | 1084743 B 20020515 9711119 A 19991123 2000501117 T2 20000202 333801 A 20000428 233260 E 20030315 9900551 A 19990407 2000029947 A 20000525 | 1084743 B 20020515 US 9711119 A 19991123 BR WO 2000501117 T2 20000202 JP US WO 333801 A 20000428 NZ WO 233260 E 20030315 AT US WO 9900551 A 19990407 NO US WO 2000029947 A 20000525 KR US 6043255 A 20000328 US US US US | 1084743 B 20020515 US 1996-700628 A 9711119 A 19991123 BR 1997-11119 US 1996-700628 A WO 1997-US13383W 2000501117 T2 20000202 JP 1998-508038 US 1996-700628 A WO 1997-US13383W 333801 A 20000428 NZ 1997-333801 US 1996-700628 A WO 1997-US13383W 233260 E 20030315 AT 1997-936296 US 1996-700628 A WO 1997-US13383W 9900551 A 19990407 NO 1999-551 US 1996-700628 A WO 1997-US13383W 2000029947 A 20000525 KR 1999-701175 US 1996-700628 A 6043255 A 20000328 US 1999-266079 US 1995-392697 B2 US 1995-457712 B2 US 1996-602403 A2 |

OS MARPAT 130:252377

AB Di-N-substituted piperazines or 1,4-di-substituted piperidines I [one of Y and Z is N and the other is N, CH, or C-alkyl; X = O, SOO-2, amino, substituted amino, CO, CH2, mono or disubstituted methylene, CS, CONR2O, NR2OSO2, NR2OCO, SO2NR2O, CH:CH, C=C, NHC(O)NH; R = optionally substituted Ph, aryl, cycloalkyl; R1, R21 = H, CN or optionally substituted alkyl; R2 = optionally substituted cycloalkyl or piperidyl; R3, R4, R5, R2O, R27, R28 are as defined in the specification], muscarinic antagonists, were prepd. E.g., II was prepd.

IT 221458-64-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists)

RN 221458-64-6 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-[1-(4-cyclohexyl-1piperazinyl)ethyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9
    ANSWER 41 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
    1998:471470 CAPLUS
AN
    129:108907
DN
    Preparation of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonami
    des and analogs as \beta3 adrenoceptor agonists
    Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni,
    Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Bisacchi, Gregory S.;
    Gavaí, Ashvinikumar V.
PA
    Bristol-Myers Squibb Co., USA
    U.S., 79 pp., Cont.-in-part of U.S. Ser. No. 171,285, abandoned.
SO
    CODEN: USXXAM
DT
    Patent
    English
LA
FAN.CNT 2
    PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
    _____
                        _____
PΙ
   US 5776983 A 19980707
                                      US 1994-346543 19941202
                                      US 1993-171285 B219931221
    TW 424082
                   В
                        20010301
                                      TW 1994-83111890 19941219
                                      US 1993-171285 A 19931221
                  A2 19960429
    HU 72302
                                      HU 1994-3694
                                                   19941220
    HU 220063
                  В
                        20011028
                                      US 1993-171285 A 19931221
    CA 2138675
                   AA 19950622
                                      CA 1994-2138675 19941221
                                      US 1993-171285 A 19931221
    FI 9406003
                  A 19950622
                                     FI 1994-6003 19941221
                                     US 1993-171285 A 19931221
    NO 9404969
                  A 19950622
                                     NO 1994-4969 19941221
                                      US 1993-171285 A 19931221
    AU 9481635
                  A1 19950629
                                    AU 1994-81635 19941221
    AU 688417
                    B2 19980312
                                      US 1993-171285 A 19931221
    JP 07206806
                    A2 19950808
                                     JP 1994-336251 19941221
                                      US 1993-171285 A 19931221
                    A
    CN 1109050
                        19950927
                                     CN 1994-113297 19941221
                                      US 1993-171285 A 19931221
    ZA 9410213 A 19960621
                                     ZA 1994-10213
                                                   19941221
                                      US 1993-171285 A 19931221
    AT 235463 E 20030415
                                     AT 1994-120281 19941221
                                      US 1993-171285 A 19931221
PATENT FAMILY INFORMATION:
FAN 1995:938107
    PATENT NO.
                 KIND DATE
                                    APPLICATION NO. DATE
    ______
                                     ------
PΙ
   EP 659737
                  A2 19950628
                                     EP 1994-120281 19941221
    EP 659737
                  A3 19970305
    EP 659737
                  B1 20030326
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                     US 1993-171285 A 19931221
    TW 424082
                   B 20010301
                                      TW 1994-83111890 19941219
                                      US 1993-171285 A 19931221
    HU 72302
                    A2 19960429
                                      HU 1994-3694
                                                    19941220
    HU 220063
                   В
                        20011028
                                      US 1993-171285 A 19931221
                   AA 19950622
    CA 2138675
                                      CA 1994-2138675 19941221
                                     US 1993-171285 A 19931221
    FI 9406003
                   A 19950622
                                     FI 1994-6003 19941221
                                     US 1993-171285 A 19931221
    NO 9404969
                  A 19950622
                                     NO 1994-4969 19941221
                                     US 1993-171285 A 19931221
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| | 9481635
688417 | A1
B2 | 19950629
19980312 | AU | 1994-81635 | | 19941221 |
|----|-------------------|----------|----------------------|----|-------------|---|----------|
| | | | | US | 1993-171285 | Α | 19931221 |
| JP | 07206806 | A2 | 19950808 | JP | 1994-336251 | | 19941221 |
| | | | | US | 1993-171285 | А | 19931221 |
| CN | 1109050 | A | 19950927 | CN | 1994-113297 | | 19941221 |
| | | | | US | 1993-171285 | Α | 19931221 |
| ZA | 9410213 | A | 19960621 | ZA | 1994-10213 | | 19941221 |
| | | | | US | 1993-171285 | Α | 19931221 |
| ΑT | 235463 | E | 20030415 | AT | 1994-120281 | | 19941221 |
| | | | | US | 1993-171285 | Α | 19931221 |

OS MARPAT 129:108907

AB R1SO2NHZ1CH(OH)CHR6NHCR3R4Z2R2 [R1 = alkyl or aryl(alkyl); R2 = (un)substituted Ph; R3 = H, alkyl, heterocyclyl, etc.; R4 = H, alkyl, etc.; R6 = H or alkyl; Z1 = (un)substituted 1,3-phenylene; Z2 = bond, (acyl)methylene, (CH2)2-3] were prepd. as β 3 adrenoceptor agonists (no data). Thus, 3,4-(MeO)2C6H3CH(NH2)CH2Ph was N-alkylated by 4,3-(PhCH2O)(MeSO2NH)C6H3COCH2Br (prepn. each given) to give, after hydrogenation, title compd. I.

IT 170688-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonamid es and analogs as β 3 adrenoceptor agonists)

RN 170688-80-9 CAPLUS

CN Carbamic acid, [(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 42 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:325643 CAPLUS

DN 129:81938

TI Synthesis of dipeptide-type human immunodeficiency virus (HIV) protease inhibitors with a binding unit to GP120

AU Asagarasu, Akira; Takayanagi, Nao; Achiwa, Kazuo

CS Sch. Pharmaceutical Sciences, Univ. Shizuoka, Shizuoka, 422-8526, Japan

SO Chemical Pharmaceutical Bulletin (1998), 46(5), 867-870 CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Some dipeptide-type human immunodeficiency virus (HIV) protease inhibitors derived from KNI-102, with a N-carbomethoxycarbonylprolyl-phenylalanine benzyl ester (CPF) moiety as a binding site to gp120, were synthesized. 2-(N-carbomethoxycarbonyl-L-prolyl-D-phenylalanine amide)phenoxyacetyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-L-proline tert-butylamide showed 7-100 times higher HIV protease-inhibitory activity (IC50 = 0.90

 $\mu g/mL,~1.1~\mu M)$ than the std. compds. N-carbobenzoxy- (3) or N-phenoxyacetyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-L-proline tert-Bu amide (IC50 = 3.7 $\mu g/mL,~7.7\mu M$ and 75 $\mu g/mL,~155~\mu M,$ resp.). Generally, the compds. substituted at the o-position of the phenoxyacetyl group showed several times higher inhibitory activity than 3.

IT 207445-07-6P 207445-08-7P 207445-09-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of dipeptide-type human immunodeficiency virus (HIV) protease inhibitors with a binding unit to GP120)

RN 207445-07-6 CAPLUS

CN Acetic acid, [2-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207445-08-7 CAPLUS

Absolute stereochemistry.

RN 207445-09-8 CAPLUS

CN Acetic acid, [4-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 43 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:279538 CAPLUS

DN 129:4856

TI Syntheses of HIV-protease inhibitors having a peptide moiety which binds to gp120

AU Asagarasu, Akira; Uchiyama, Taketo; Achiwa, Kazuo

CS Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan

SO Chemical Pharmaceutical Bulletin (1998), 46(4), 697-703 CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Some HIV-protease inhibitor derivs. having an N-carbomethoxycarbonyl-prolyl-phenylalanine benzyl ester (CPF) moiety as a binding site to gp120 were designed and synthesized. Almost all the compds. bearing CPF on the phenoxyacetyl group showed protease-inhibitory activity.

[[2-(N-methoxalyl-L-prolyl-D-phenylalaninamido)phenoxylacetyl]-L-asparagyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-N-tert-butyl-L-proline amide and its m-isomer (25b), which have the CPF moiety at the ortho- and meta-positions of the phenoxyacetyl group, resp., had anti-HIV activity, although the others showed only protease-inhibitory activity. These results suggest that 25b binds to gp120 inhibits HIV protease.

IT 207445-07-6P 207445-08-7P 207445-09-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of HIV-protease inhibitors having a peptide moiety which binds to gp120)

RN 207445-07-6 CAPLUS

CN Acetic acid, [2-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207445-08-7 CAPLUS

CN Acetic acid, [3-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 207445-09-8 CAPLUS

Acetic acid, [4-[[(2R)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]pr CN opyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 44 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

1998:268469 CAPLUS AN

DN 129:16384

TI Preparation of novel pyrrolidine derivatives as remedies for infectious diseases

IN Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami; Inagaki, Hiroaki; Nishi, Toshiyuki; Ishida, Yohhei

PA Daiichi Pharmaceutical Co., Ltd., Japan; Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami; Inagaki, Hiroaki

PCT Int. Appl., 164 pp. so

CODEN: PIXXD2

DTPatent

LA Japanese

| FAN. | CNT 1 | | | | | | | | | | | | | | | | |
|------|---------|------------|-----|-----------|------|------|----------------------|-------------------------|-------------------------|------|-------|-------|-----|------|------|-----|-----|
| | PATENT | NO. | | KIND DATE | | | APPLICATION NO. DATE | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | |
| PI | WO 9817 | 9817625 A1 | | 1 | 1998 | 0430 | | WO 1997-JP3812 19971022 | | | | | | | | | |
| | W: | AL, | AU, | BA, | BB, | BG, | BR, | CA, | CN, | CU, | CZ, | EE, | GE, | HU, | ID, | IL, | IS, |
| | | JP, | KR, | LC, | LK, | LR, | LT, | LV, | MG, | MK, | MN, | MX, | NO, | NZ, | PL, | RO, | SG, |
| | | SI, | SK, | SL, | TR, | TT, | UA, | US, | UΖ, | VN, | YU, | AM, | AZ, | BY, | KG, | ΚZ, | MD, |
| | | RU, | TJ, | TM | | | | | | | | | | | | | |
| | RW: | GH, | KΕ, | LS, | MW, | SD, | SZ, | ŪĠ, | ZW, | AT, | BE, | CH, | DE, | DK, | ES, | FI, | FR, |
| | | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, |
| | | GN, | ML, | MR, | NE. | SN, | TD, | TG | | | | | | | | | |
| | | | | | | | | | JP 1996-279172 19961022 | | | | | | | | |
| | | | | | | | | | J | P 19 | 96-2 | 8720 | 3 | 1996 | 1030 | | |
| | AU 9747 | 7221 | | A | 1 | 1998 | 0515 | | Αī | U 19 | 97-4 | 7221 | | 1997 | 1022 | | |
| | | | | | | | | | J | P 19 | 96-2 | 7917. | 2 . | 1996 | 1022 | | |
| | | | | | | | | | J | P 19 | 96-21 | 8720 | 3 | 1996 | 1030 | | |
| | | | | | | | | | W | 0 19 | 97-J | P381 | 2 | 1997 | 1022 | | |

OS MARPAT 129:16384

AB Novel compds. (I; R1-R3 = substituents in the cyclic structure, such as a pyrrolidine or a benzene ring; A = hydrocarbon or heterocyclo ring) are prepd. I act on pathogenic microorganisms which have acquired tolerance to the existing antimicrobials and elevate the sensitivity to the antimicrobials, thus making them nontolerant. When used together with the antimicrobials, I can efficaciously establish the prevention and treatment of microbial infectious diseases. Thus, compd. (II; X = tert-BuCO, Y = N3) (prepn. given) was hydrogenated over Pd/C to give 95% the title compd. II.2HCl (X = H, Y = NH2), which was tested and showed inhibitory activity against PAM1001.

IT 207305-09-7P 207305-10-0P 207305-13-3P

207305-14-4P 207305-15-5P 207305-25-7P

207305-26-8P 207305-27-9P 207305-61-1P

207305-62-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel pyrrolidine derivs. as remedies for infectious diseases)

RN 207305-09-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[3-amino-5-[[(2,2-diphenylethyl)amino]carbonyl]phe nyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-10-0 CAPLUS

CN Carbamic acid, [2-[[3-[[(2,2-diphenylethyl)amino]carbonyl]-5-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-13-3 CAPLUS

Absolute stereochemistry.

RN 207305-14-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[5-[[(2S)-1-oxo-3-phenyl-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]-3-[[(3phenylpropyl)amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 207305-15-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[3-[[1-oxo-3-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-5-[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]-1- (phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 207305-25-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[3-amino-4-[[(2,2-diphenylethyl)amino]carbonyl]phe nyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-26-8 CAPLUS

CN Carbamic acid, [2-[[4-[[(2,2-diphenylethyl)amino]carbonyl]-3-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-27-9 CAPLUS

CN Carbamic acid, [[4-[[(2,2-diphenylethyl)amino]carbonyl]-1,3-phenylene]bis[imino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-,bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 207305-61-1 CAPLUS

CN Carbamic acid, [[5-[[(2,2-diphenylethyl)amino]carbonyl]-1,3phenylene]bis[imino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-,
bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-62-2 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[3-[[(2S)-1-oxo-4-phenyl-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-5-[[(3phenylpropyl)amino]carbonyl]phenyl]amino]-1-(phenylmethyl)ethyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 45 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
L9
ΔN
     1997:684389 CAPLUS
    127:358876
DN
     Preparation of heterocyclylphenoxyalkanoates and analogs as cell
     aggregation inhibitors
IN
     Pieper, Helmut; Linz, Gunter; Austel, Volkhard; Himmelsbach, Frank; Guth,
     Brian; Weisenberger, Johannes
    Dr. Karl Thomae G.m.b.H., Germany
PA
SO
    PCT Int. Appl., 131 pp.
     CODEN: PIXXD2
DT
     Patent
    German
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     PΤ
                                        WO 1997-EP1698 19970404
     WO 9737975
                     A1 19971016
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
            GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
            ML, MR, NE, SN, TD, TG
                                         DE 1996-19614204A 19960410
     DE 19614204
                      A1
                           19971016
                                        DE 1996-19614204 19960410
     US 5994356
                      Α
                           19991130
                                         US 1997-832259 19970403
                                         DE 1996-19614204A 19960410
    AU 9726368
                      A1
                           19971029
                                         AU 1997-26368 19970404
                                         DE 1996-19614204A 19960410
                                         WO 1997-EP1698 W 19970404
     EP 892783
                      Al 19990127
                                         EP 1997-918113 19970404
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE. FI
                                         DE 1996-19614204A 19960410
                                         WO 1997-EP1698 W 19970404
    JP 2000508307
                      T2
                           20000704
                                         JP 1997-535832 19970404
                                         DE 1996-19614204A 19960410
                                         WO 1997-EP1698 W 19970404
    ZA 9703002
                      Α
                           19981009
                                         ZA 1997-3002
                                                        19970409
                                         DE 1996-19614204A 19960410
os
    MARPAT 127:358876
    R1Z1Z2Z3Z4Z5R [I; R = OH, alkoxy, OPh, etc.; R1 = H, (phenyl)alkyl, etc.;
    Z1 = (oxo)piperazine-1,4-diyl, (oxo)piperidine-1,4-diyl; Z2 = CH2CH2,
    COCH2, NHCO, CO2, etc.; Z3 = (un)substituted (oxo)piperazine-1,4-diyl,
    -(oxo)piperidine-1,4- or 4,1-diyl, ,-cyclohexylene, etc.; Z4 =
    piperidinediyl, phenylene, cyclohexylene, etc.; Z5 = OCH2CO, NHCH2CO,
    CH2CO, etc.] were prepd. Thus, Me 4-piperazinophenoxyacetate was
    N-alkylated by 2-(1-tert-butoxycarbonyl-4-piperidinyl)ethyl
    methanesulfonate and the product converted in 2 steps to give title compd.
    II.2HCl. Data for biol. activity of I were given.
IT 198627-66-6P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of heterocyclylphenoxyalkanoates and analogs as cell
       aggregation inhibitors)
RN
    198627-66-6 CAPLUS
    Acetic acid, [4-[(2,2-diethoxyethyl)[3-(4-methoxyphenyl)-1-oxo-2-
```

[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, ethyl ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 46 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

, T **

AN 1997:661404 CAPLUS

Correction of: 1997:538802

DN 127:248387

Correction of: 127:205310

TI Nonpeptide bradykinin antagonist analogs based on a model of a Sterling-Winthrop nonpeptide bradykinin antagonist overlapped with cyclic hexapeptide bradykinin antagonist peptide

AU Dankwardt, Sharon M.; Ferla, Steven; Krstenansky, John L.; Bhakta, Sunil; Ostrelich, Helene; Jarnagin, Kurt

CS Roche Biosci., calo Alto, CA, 94304, USA

SO Bioorganic Medicinal Chemistry Letters (1997), 7(14), 1921-1926 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

AB A proposed overlap between cyclic hexapeptide bradykinin antagonist and nonpeptide bradykinin antagonists D- and L-3-(2-naphthyl)alanines I [R1 = Bu3P+, Bu3N+, H2N, H0, H2NC(:NH)NH, EtNHC(:NEt)NH, H-L-Arg-NH, H-D-Arg-NH, aca-D-Arg-NH; aca = 1-adamantylcarbonyl; R2 = H, CO2H; R3 = H, CH2CH2CO2H; X = cyclohexylimino, O] is discussed. Synthetic procedures for both enantiomers of I are given. Structural variations on both the peptides and nonpeptides support the proposed overlap based on an increase or decrease in the biol. activities of the antagonists.

IT 195717-13-6P 195717-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nonpeptide bradykinin antagonist analog activity based on overlap with cyclic hexapeptide bradykinin antagonist peptide)

RN 195717-13-6 CAPLUS

CN Carbamic acid, [2-[[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]pheny l]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (R)- (9CI) (CA INDEX NAME)

RN 195717-72-7 CAPLUS

CN Carbamic acid, [2-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]phenyl]amino]-1-(2naphthalenylmethyl)-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 47 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:543457 CAPLUS

DN 127:149142

TI Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents

PA Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim (Canada) Ltd.

SO PCT Int. Appl., 336 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| t W | N. CNI | - 2 | | | | | | | | | | | | | | | | |
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| | | W: | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CH, | CN, | CU, | CZ, | DE, | DK, |
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| | | | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, |
| | | | RU, | SD, | SE, | SG, | SI, | SK, | TJ, | TM, | TR, | TT, | UA, | UG, | UΖ, | VN, | AM, | AZ, |

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    EP 871619
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                                        APPLICATION NO. DATE
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                                        US 1996-759201 A 19961204
    CN 1207094 A 19990203
                                        CN 1996-199443 19961204
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| U | S 6057451 | Α | 20000502 | บร | 1996-759201 | | 19961204 |
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| U | S 6348477 | B1 | 20020219 | US | 1999-456857 | | 19991208 |
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| | | | | ซร | 1996-23209P | P | 19960802 |
| | | | | US | 1996-759201 | A. | 319961204 |
| U | S 6458959 | B1 | 20021001 | US | 2000-685686 | | 20001010 |
| | | | | US | 1995-9433P | P | 19951229 |
| | | | | US | 1996-23209P | P | 19960802 |
| | | | | US | 1996-759201 | A. | 319961204 |
| | | | | US | 1999-456857 | A: | 319991208 |

OS MARPAT 127:149142

AB 4-RC6H4R1 [I; R = (un) substituted 4-thiazolyl; R1 = NR2COZ1CHR3NR4R5, NR2aCOZ2NR3aR4a, etc.; R2,R2a = H or alkyl; R3 = H, alkyl, (un) substituted phenyl(alkyl); R3a = H, (cyano) alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO] were prepd. for treating herpes infections by inhibiting the herpes helicase-primase enzyme complex. Thus, Me3CO2CNHCH2CO2H was N-alkylated by PhCH2Br and the product amidated by 4-(H2N)C6H4COMe to give, after cyclocondensation with H2NCSNH2 and deprotection, I (R = 2-amino-4-thiazolyl, R1 = NHCOCH2NHCH2Ph). Data for biol. activity of I were given.

IT 193348-59-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-(aminothiazolyl) acetanilides and analogs as antiherpes agents)

RN 193348-59-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 48 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text

AN 1997:535830 CAPLUS

DN 127:205878

TI The solid-phase synthesis of side-chain-phosphorylated peptide-4-nitroanilides

AU Bernhardt, Anne; Drewello, Mario; Schutkowski, Mike

CS Max-Planck-Gesellschaft zur Forderung der Wissenschaft e.V., Forschungsstelle "Enzymologie der Proteinfaltung", Halle, Germany

SO Journal of Peptide Research (1997), 50(2), 143-152 CODEN: JPERFA; ISSN: 1397-002X

PB Munksgaard

DT Journal

LA English

AB Peptide-4-nitroanilides can be quickly synthesized using an Fmoc-based approach on 2-chlorotritylchloride resin. Preformed building blocks Fmoc-Xaa-NH-Np (Xaa = Cit, Cys, Gln, His, Lys, Orn, Ser, Thr, Tyr, Trp; Np = 4-nitroanilide) can be attached via side chain to the 2-chlorotritylchloride linker of the resin. N-terminal elongation yields the resp. peptide-4-nitroanilides after detachment from the solid support. We synthesized a set of tetrapeptide-4-nitroanilides with the general structure Suc-Ala-Phe-Pro-Xaa-NH-Np (Xaa = Asp, Cit, Cys, Glu, Gln, His, Lys, Orn, Ser, Thr, Tyr, Trp; Suc = succinyl; Cit = citrulline). Even peptidyl-arginine-4-nitroanilides are available by a slightly modified procedure. First, the appropriate ornithine-contg. peptide was synthesized. After detachment of the peptide from the resin the side-chain primary amino group was transformed to the quanidino function of arginine using 1-guanyl-3,5-dimethylpyrazole. A further application of this method is the convenient synthesis of phosphorylated peptide-4-nitroanilides. Five phosphopeptides with the general structure Ac-Ala-Xaa(PO3H2)-Pro-Yaa-NH-Np (Xaa = Ser, Thr, Tyr; Yaa = Tyr, Lys) and their nonphosphorylated analogs were prepd. Global phosphorylation was carried out on the resin-bound peptides using dibenzyl-N,N-diisopropylphosphoramidate/tetrazole followed by oxidn. with tert-Bu hydroperoxide.

IT 160192-29-0P 194670-51-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptidylnitroanilides by solid-phase synthesis)

RN 160192-29-0 CAPLUS

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 194670-51-4 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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L9 ANSWER 49 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
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Full Text

AN 1997:473705 CAPLUS

DN 127:81785

- TI Phenylalanine derivatives, optically active substances, and their salts or coordination compounds for use as fungicides
- IN Yamamoto, Naoya; Umimoto, Koji; Nishiguchi, Tsutomu; Baba, Koji; Tabuchi, Tatsuo; Yoshida, Masanori
- PA Nihon Nohyaku Co., Ltd., Japan; Yamamoto, Naoya; Umimoto, Koji; Nishiguchi, Tsutomu; Baba, Koji; Tabuchi, Tatsuo; Yoshida, Masanori
- SO PCT Int. Appl., 106 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND DATE | | APPLICATION NO. | DATE |
|---------------|-------------|-------------|---------------|--------------------|----------------------|
| PI WO 9719908 | | A1 19970605 | | WO 1996-JP3484 | 19961128 |
| | W: AU, BR, | CA, CN | , CZ, HU, KR, | MX, PL, US | |
| | RW: AT, BE, | CH, DE | , DK, ES, FI, | FR, GB, GR, IE, IT | , LU, MC, NL, PT, SE |
| | | | | JP 1995-334056 A | 19951129 |
| | | | | JP 1995-337985 A | 19951202 |
| | ZA 9609881 | A | 19970618 | ZA 1996-9881 | 19961125 |
| | | | | JP 1995-334056 A | 19951129 |
| | AU 9677105 | A1 | 19970619 | AU 1996-77105 | 19961128 |
| | | | | JP 1995-334056 A | 19951129 |
| | | | | JP 1995-337985 A | 19951202 |
| | | | | WO 1996-JP3484 W | 19961128 |
| | JP 09208541 | A2 | 19970812 | JP 1996-332957 | 19961128 |
| | | | | JP 1995-334056 A | 19951129 |
| | | | | JP 1995-337985 A | 19951202 |

OS MARPAT 127:81785

AB Phenylalanine derivs. FC6H4CH2CH(NR1R2)COR [R = OH, alkoxy, (un)substituted amino, etc.; R1 = H, alkyl; R2 = H, alkyl, alkoxycarbon, alkyl- or arylcarbonyl, etc. or R1R2N is a ring] or their salts, optically active substances, or coordination compds. were prepd. for use as fungicides. Thus, N-(p-toluenesulfonyl)-4-fluoroalanine, prepd. by hydrogenolysis of the benzyl ester, showed 80-94% control of apple scab at 200 ppm.

IT 191928-18-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of phenylalanine derivs. as fungicides)

RN 191928-18-4 CAPLUS

CN Carbamic acid, [2-[(2,4-dichlorophenyl)amino]-1-[(4-fluorophenyl)methyl]-2oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 50 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:231458 CAPLUS

DN 126:301779

TI Method of treating human immunodeficiency virus infection using a cyclic protease inhibitor in combination with a reverse transcriptase inhibitor

IN Otto, Michael J.

PA Dupont Merck Pharmaceutical Co., USA

SO U.S., 37 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PΙ

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| ~-~ | | | | |
| US 5616578 | A | 19970401 | US 1993-110603 | 19930826 |
| | | | US 1993-110603 | 19930826 |

OS MARPAT 126:301779

AB A method of treating human immunodeficiency virus (HIV) infection in a mammal comprises administering a synergistically and therapeutically effective amt. of a combination of: (1) ≥1 cyclic HIV protease inhibitor and (2) ≥1 HIV reverse transcriptase inhibitor. More than 200 cyclic compd. protease inhibitors are disclosed. The reverse transcriptase inhibitor may be AZT, ddI, ddC, d4T, or 3TC.

IT 167824-38-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic protease inhibitor synergistic combination with reverse transcriptase inhibitor for treatment of HIV infection)

RN 167824-38-6 CAPLUS

CN Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, $[4R-(4\alpha,5\alpha,6\beta,7\beta)]- (9CI) \quad (CA \ INDEX \ NAME)$

PAGE 1-A

PAGE 1-B

L9 ANSWER 51 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

1997:208119 CAPLUS

126:293367 DN

TI Substituted cyclic carbonyls and derivatives thereof useful as retroviral protease inhibitors

IN Lam, Patrick Y.; Jadhav, Prabhakar K.; Eyermann, Charles J.; Hodge, Carl N.; De Lucca, George V.; Rodgers, James D.

The Du Pont Merck Pharmaceutical Company, USA PA

U.S., 198 pp., Cont.-in-part of U.S. Ser. No. 47,330, abandoned. CODEN: USXXAM

DT Patent

LA English

| FAN. | CNT 5 | | |
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| | PATENT NO. | KIND DATE | APPLICATION NO. DATE |
| | | | |
| PI | US 5610294 | A 19970311 | US 1994-197630 19940216 |
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| | | | US 1993-23439 B219930226 |
| | | | US 1993-47330 B219930415 |
| | EP 765873 | A1 19970402 | EP 1996-118182 19921013 |
| | EP 765873 | B1 20020417 | • |
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US 1992-883944 A 19920515

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AU 703962

B2 19990401

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| TC 2104046 TD | 10071016 | US 1992-953272 A 19920929 |
| ES 2104946 T3 | 199/1016 | ES 1992-922262 19921013 |
| | | US 1991-776491 A 19911011 |
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CZ, FI, HU, JP | 1 WO 1994-US1609 19940223
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| FAN | 1996:637442
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US 1996-666032 19960619
US 1994-197630 A219940216

US 1994-268609 A319940630

US 5880295 A 19990309

OS MARPAT 126:293367

The invention relates to substituted cyclic carbonyl compds. and derivs., and particularly to cyclic urea derivs. such as I [R1, R2 = H, alkyl, allyl, cyclopropylmethyl, (un) substituted benzyl, etc.]. The compds. are retroviral protease inhibitors, useful in pharmaceutical compns. and methods for treating viral infection. They include prodrugs which have improved aq. soly. and oral bioavailability. For instance, the protected diamine-diol II [Cbz = CO2CH2Ph, SEM = CH2OCH2CH2SiMe3] was N-deprotected by hydrogenolysis (99%), then cyclized with carbonyldiimidazole in CH2C12 (93%) to give a cyclic urea intermediate. N,N'-Dialkylation of this using NaH in DMF and alkyl bromides, followed by acid hydrolysis using HCl in MeOH-dioxane gave a variety of I, e.g., compd. III [R = H] (IV). Protection of IV as the acetonide (90%) and esterification with excess N,N-dimethylglycine using EDCI (73%) gave the prodrug III.2HCl [R = COCH2NMe2] (V). In the HIV-1 protease transgenic mouse model, as measured by delay of cataract onset, IV gave a delay of 5 days past control at 100 mg/kg i.p. bid, and 45 days at 400 mg/kg i.p. bid. However, solid IV had only low oral bioavailability, and still only 5% at 40 mg/kg when administered in glycol excipient. In contrast, the prodrug V gave 12% mean bioavailability of IV at only 8 mg/kg orally without excipient.

IT 167824-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic carbonyl compds. and derivs. as retroviral protease inhibitors)

RN 167824-38-6 CAPLUS

Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, [4R- $(4\alpha,5\alpha,6\beta,7\beta)$]- (9CI) (CA INDEX NAME)

PAGE 1-B

L9 ANSWER 52 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:139017 CAPLUS

DN 126:235022

TI New fluorogenic substrates and an instrument for determination of chymotrypsin

AU Talaikyte, Z.; Janciene, R.; Simkus, R.; Palaima, A.

CS Inst. Biochem., Vilnius, 2600, Lithuania

SO Chemija (1996), (3), 60-67 CODEN: CHMJES; ISSN: 0235-7216

PB Academia

DT Journal

LA English

AB New fluorogenic substrates for chymotrypsin, Suc-Phe-ANSA and Suc-Ala-Pro-Phe-ANSA contg. a highly fluorescent ANSA group were synthesized and their spectral characteristics as well as kinetic consts. of the chymotrypsin-catalyzed hydrolysis reaction were detd. The new substrates were established to be several times more effective than chromogenic substrates Suc-Phe-pNA and Suc-Ala-Ala-Pro-Phe-pNa used in medical practice. A simple fluorometer for the detn. of ANSA in a hydrolysis reaction was designed.

IT 188444-29-3P 188444-30-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(new fluorogenic substrates and instrument for detn. of chymotrypsin)

RN 188444-29-3 CAPLUS

CN Carbamic acid, [2-[[5-[(cyclohexylamino)sulfonyl]-2-naphthalenyl]amino]-2oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 188444-30-6 CAPLUS

CN Carbamic acid, [2-[[5-[(diethylamino)sulfonyl]-2-naphthalenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 53 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1996:694635 CAPLUS
- DN 126:19189
- TI p-Nitroanilides of Fmoc-amino acids and -peptides
- AU Ravina, I.; Zicane, D.; Rijkure, I.; Tetere, Z.; Gudriniece, E.
- CS Riga Tech. Univ., Riga, Latvia
- SO Latvijas Kimijas Zurnals (1995), (3-4), 137 CODEN: LKZUE8; ISSN: 0868-8249
- PB Zinatne
- DT Journal
- LA Russian
- AB The title compds. were prepd. in 69-82% yield by reaction of amino acid p-nitroanilides and peptide p-nitroanilides with fluoren-9-ylmethyl pentafluorophenyl carbonate.
- IT 160192-24-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

- RN 160192-24-5 CAPLUS
- CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 54 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:656115 CAPLUS

DN 125:329453

- TI Anthranoyl-anthranilic acid. A template for the development of a new class of cholecystokinin receptor ligands
- AU Varnavas, A.; Lassiani, L.; Luxich, E.; Zaccghigna, M.
- CS Dep. Pharmaceutical Sciences, Univ. Trieste, Trieste, I-34127, Italy
- SO Pharmazie (1996), 51(10), 697-700 CODEN: PHARAT; ISSN: 0031-7144
- PB Govi-Verlag Pharmazeutischer Verlag

DT Journal

LA English

AB A series of anthranoyl-anthranilic acid derivs. was prepd. and evaluated by CCK radioligand binding assays. The choice of the substituents was mainly addressed to tryptophan- or indole-contg. residues. Some phenylalanine derivs, were also included in the preliminary screening. Substitution at the N-terminal site of the anthranilate dimer led to compds. with micromolar affinities for the CCK-A receptor subtype.

IT 183206-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of anthranoylanthranilic acid derivs. as cholecystokinin receptor ligands)

RN 183206-25-9 CAPLUS

CN Benzoic acid, 2-[[2-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 55 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:623177 CAPLUS

DN 125:275910

TI Preparation of benzylpiperidines and -piperazines as muscarinic antagonists

IN Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.

PA Schering Corporation, USA

SO PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

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        GN, ML, MR, NE, SN, TD, TG
                                     US 1996-700628 A 19960808
AU 9738999
                 A1
                       19980225
                                     AU 1997-38999
                                                      19970806
AU 724001
                 B2
                       20000907
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
EP 938483
                       19990901
                                     EP 1997-936296 19970806
                 A2
EP 938483
                 B1 20030226
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
        LT, LV, FI, RO
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
CN 1232462
                 Α
                       19991020
                                     CN 1997-198479 19970806
CN 1084743
                 В
                       20020515
                                     US 1996-700628 A 19960808
BR 9711119
                 Α
                       19991123
                                     BR 1997-11119 19970806
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
JP 2000501117
                 T2
                       20000202
                                     JP 1998-508038 19970806
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
NZ 333801
                 Α
                       20000428
                                     NZ 1997-333801 19970806
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
AT 233260
                 E
                       20030315
                                     AT 1997-936296 19970806
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
NO 9900551
                      19990407
                                     NO 1999-551
                                                     19990205
                                     US 1996-700628 A 19960808
                                     WO 1997-US13383W 19970806
KR 2000029947
                      20000525
                 Α
                                     KR 1999-701175 19990208
                                     US 1996-700628 A 19960808
US 6043255
                      20000328
                 Α
                                     US 1999-266079 19990310
                                     US 1995-392697 B219950223
                                     US 1995-457712 B219950602
                                     US 1996-602403 A219960216
                                     US 1996-700628 A319960808
MARPAT 125:275910
RZ1Z2CR1R3R4 [R = H, alkyl, acyl, CH2Ph, heterocyclyl, etc.; R1,R3 =
alk(en)yl, cyano, alkoxycarbonyl, Ph, heterocyclyl, etc.; R4 =
heterocyclyl group Q; R2 = H, (cyclo)alk(en)yl, alkanoyl, heterocyclyl,
etc.; 1 of Z, Z3 = N and the other = N or (alkyl)methine; Z1 = 0, S00-2,
(alkyl)imino, CO, CH2, etc.; Z2 = (un)substituted 1,4-phenylene] were
prepd. Thus, 4-FC6H4COMe was sulfonated by PhSO2Na and the reduced
product treated with SOC12 to give PhSO2C6H4(CHClMe)-4 which was aminated
by N-cyclohexylpiperazine to give title compd. I (R1 = Me, R5 = H, n = 2).
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OS

Sulfoxide isomer I (R1 = cyano, R5 = OMe, n = 1) (II) increased acetylcholine release in striatum of conscious rat from 30% (tacrine 3mg/kg i.p.) to 130% over baseline at 1mg/kg i.p. with tacrine 3mg/kg i.p.

IT 182144-68-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylpiperidines and -piperazines as muscarinic antagonists)

RN 182144-68-9 CAPLUS

CN Carbamic acid, [2-[[4-[1-(4-cyclohexyl-1-piperazinyl)ethyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 56 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN ΑN 1996:494173 CAPLUS 125:143330 DN Peptide compounds for prevention and/or treatment of nitric oxide (NO)-mediated diseases Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi; Hamashima, Hitoshi; Inoue, Takayuki; Hashimoto, Seiji; Oku, Teruo PΑ Fujisawa Pharmaceutical Co., Ltd., Japan SO PCT Int. Appl., 739 pp. CODEN: PIXXD2 DT Patent English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _--------19960606 PI WO 9616981 A2 WO 1995-JP2428 19951129 A3 WO 9616981 19960906 W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG GB 1994-24408 A 19941202 GB 1995-4891 A 19950310 GB 1995-10042 A 19950518 AU 9539937 A1 19960619 AU 1995-39937 19951129 GB 1994-24408 A 19941202 GB 1995-4891 A 19950310 GB 1995-10042 A 19950518 WO 1995-JP2428 W 19951129 EP 796270 A2 19970924 EP 1995-938602 19951129 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE GB 1994-24408 A 19941202 GB 1995-4891 A 19950310 GB 1995-10042 A 19950518 WO 1995-JP2428 W 19951129 ZA 9510201 Α 19960625 ZA 1995-10201 19951130

GB 1994-24408 A 19941202 US 5932737 Α 19990803 US 1997-849076 19970530 GB 1994-24408 A 19941202 GB 1995-4891 A 19950310 GB 1995-10042 A 19950518 WO 1995-JP2428 W 19951129

MARPAT 125:143330 OS

Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or AB fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO2; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH2C6H4CH2-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO2H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepd. for use as medicaments. Thus, dipeptide I was prepd. by acylation of aspartylphenylalaninamide deriv. with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO prodn. in tests of murine macrophage cells.

IT 179873-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179873-99-5 CAPLUS

CN Carbamic acid, [2-(methylphenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 57 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

1996:411844 CAPLUS AN

125:222394

TI Glycolipid enzyme models. X. Catalysis of glycolipids with amino acid

ΑIJ Ohkatsu, Yasukazu; Ozawa, Miho; Numata, Yoshiko; Nakamura, Nobuhiro

CS Fac. Eng., Kogakuin Univ., Tokyo, 163, Japan

Nihon Yukagakkaishi (1996), 45(6), 545-553 CODEN: NIYUFC; ISSN: 1341-8327

PB Nihon Yukagaku Gakkai

DT Journal

LA English

Glycolipids classified into two categories were synthesized and applied, as hydrolase models, to the hydrolyses of p-nitroanilides of amino acids. Each type of glycolipid, e.g., Man(Lau)2-His-OMe [Man(Lau)2 = 4-(didodecylcarbamoyl)-2-thiazolidinyl[(D-mannopentahydroxypentyl)carbonyl]], could recognize types of amino acids. The combination system, however, distinguished the D,L-configuration of amino acids better than other glycolipids. In particular and like an enzyme, it distinguished D,L-alanines. The recognition mechanism is discussed. IT 14235-15-5 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent) (glycolipid catalysts for hydrolysis of amino acid nitroanilides)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 58 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:241536 CAPLUS

DN 124:290265

TI Preparation of amino acid moiety-containing benzoxazines as elastase inhibitors

IN Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki; Ueshima, Yasuhide; Sato, Osami; Fujii, Katsuhiko

PA Teijin Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 34 pp. Division of Jpn. Kokai Tokkyo Koho Appl. NO. 91 504,791. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| | | | | | |
| PI | JP 07316056 | A2 | 19951205 | JP 1994-272320 | 19941107 |
| | | | | JP 1991-504791 | 19910215 |

OS MARPAT 124:290265

AB The title compds. I [R1 = H, alkyl; X = Y1A1, Y2(A2)mA3; when X is Y1A1: R2, R3 = H, (carboxy)alkyl, or NR2R3 = ring; when X is Y2(A2)mA3: R2 = alkyl, R3 = H; Y1 = amino-protecting group; Y2 = H, sulfonyl; A1, A2 = amino acid residue, etc.; A3 = lysine residue, etc.; m = 0 or 1] are prepd. 7-(N-benzyloxycarbonyl-L-phenylalanyl)amino-5-methyl-2-(1-carboxyethyl)amino-4H-3,1-benzoxazin-4-one (prepn. given) in vitro showed IC50 values of 5.1 x 10-8 M and 1.5 x 10-6 M against elastase and

Absolute stereochemistry.

RN 138006-70-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-71-0 CAPLUS CN β -Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-76-5 CAPLUS
CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 138006-91-4P 138007-03-1P 138007-05-3P

138007-09-7P 175594-81-7P 175594-84-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid moiety-contg. benzoxazines as elastase inhibitors)

RN 138006-91-4 CAPLUS

CN L-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-methyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-oxo-7-[[1-oxo-3-phenyl-4-[1-oxo-3-[1-oxo-

[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-,

1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-03-1 CAPLUS

CN β-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-,
1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-05-3 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 138007-09-7 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175594-81-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175594-84-0 CAPLUS

CN β -Alanine, N-methyl-N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

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ANSWER 59 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
L9
Full Text
   1996:229082 CAPLUS
ΑN
DN
    125:11460
TI
    Amino acid derived acylaminoindole derivatives as 5-HT1 agonists
    Macor, John E.
IN
PA
    Pfizer Inc., USA
    U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 866,382, abandoned.
    CODEN: USXXAM
DТ
    Patent
LA
    English
FAN.CNT 2
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
    ______
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                                        PΙ
    US 5498626
                                       US 1994-295792 19940914
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                          19960312
                                        US 1992-866382 B219920410
                                        WO 1993-US1807 W 19930304
    WO 9321180
                   A1 19931028
                                        WO 1993-US1807 19930304
        W: AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        US 1992-866382 A219920410
PATENT FAMILY INFORMATION:
FAN 1994:483048
    PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
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PΙ
                   A1 19931028
    WO 9321180
                                       WO 1993-US1807 19930304
        W: AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US
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                                       US 1992-866382 A219920410
    AU 9337821
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                                       AU 1993-37821
                                                      19930304
    AU 670270
                     B2
                          19960711
                                        US 1992-866382 A 19920410
                                        WO 1993-US1807 A 19930304
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                                        EP 1993-907096 19930304
                     A1
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                     B1 19970129
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                       US 1992-866382 A 19920410
                                        WO 1993-US1807 W 19930304
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                                        JP 1993-518302 19930304
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                                       WO 1993-US1807 W 19930304
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                          19960306
                                       SK 1994-1207
                                                      19930304
                                       US 1992-866382 A 19920410
                                       WO 1993-US1807 W 19930304
    AT 148465
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                          19970215
                                       AT 1993-907096 19930304
                                       US 1992-866382 A 19920410
    ES 2097496
                     T3
                         19970401
                                       ES 1993-907096 19930304
                                       US 1992-866382 A 19920410
    CZ 282653
              B6 19970813
                                      CZ 1994-2477
                                                      19930304
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| | | | | US | 1992-866382 A 19920410 |
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| $_{	t PL}$ | 172232 | B1 | 19970829 | PL | 1993-305558 19930304 |
| | | | | US | 1992-866382 A 19920410 |
| | | | | WO | 1993-US1807 W 19930304 |
| RU | 2110516 | C1 | 19980510 | RU | 1994-45902 19930304 |
| | | | | US | 1992-866382 A 19920410 |
| | • | | | WO | 1993-US1807 W 19930304 |
| BR | 9306221 | A | 19980630 | BR | 1993-6221 19930304 |
| | | | | US | 1992-866382 A 19920410 |
| | | | | WO | 1993-US1807 W 19930304 |
| CA | 2132706 | С | 19980804 | CA | 1993-2132706 19930304 |
| | | | | US | 1992-866382 A 19920410 |
| TW | 394769 | В | 20000621 | TW | 1993-82101732 19930309 |
| | | | | US | 1992-866382 A 19920410 |
| ZA | 9302536 | Α | 19941008 | ZA | 1993-2536 19930408 |
| | | | | US | 1992-866382 A 19920410 |
| HU | 64060 | A2 | 19931129 | ΗU | 1993-1048 19930409 |
| | | | | US | 1992-866382 A 19920410 |
| CN | 1080288 | A | 19940105 | CN | 1993-104439 19930409 |
| CN | 1038506 | В | 19980527 | | |
| | | | | US | 1992-866382 A 19920410 |
| ES | 2070772 | B1 | 19960216 | ES | 1993-1772 19930809 |
| ES | 2070772 | A1 | 19950601 | | |
| | | | | US | 1992-866382 19920410 |
| US | 5498626 | A | 19960312 | US | 1994-295792 19940914 |
| | | | | US | 1992-866382 B219920410 |
| | | | | WO | 1993-US1807 W 19930304 |
| NO | 9403803 | A | 19941007 | NO | 1994-3803 19941007 |
| | | | | US | 1992-866382 A 19920410 |
| | | | | WO | 1993-US1807 W 19930304 |
| FI | 2001000214 | A | 20010205 | FI | 2001-214 20010205 |
| | | | | US | 1992-866382 A 19920410 |

OS MARPAT 125:11460

This invention provides compds. of formula I where n is 0, 1, or 2; m is 0 or 1; Y and W are each an amino acid residue; R1 is hydrogen, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, aryl, C1-C3 alkylaryl, or C1-C3 alkylheteroaryl, and (CH2)pR3; R2 is CF3, C1-C6 alkyl, aryl, C1-C3 alkylaryl, and OR5; R3 is cyano, trifluoromethyl, or OR4; R4 is hydrogen, C1-C6 alkyl, C1-C3 alkylaryl, or aryl; R5 is C1-C6 alkyl, C1-C3 alkylaryl, or aryl; R6 is hydrogen, OR7, or NHCOR7; R7 is hydrogen, C1 to C6 alkyl, aryl or C1 to C3 alkyl-aryl; p is 1, 2, or 3; and the above aryl groups and the aryl moieties of the above alkyl-aryl groups are independently selected from Ph and substituted Ph, wherein said substituted Ph may be substituted with one to three groups selected from C1 to C4 alkyl, halogen, hydroxy, cyano, carboxamide, nitro, and C1 to C4 alkoxy, and the pharmaceutically acceptable salts thereof. These compds. are useful in treating migraine and other disorders. These compd. are useful psychotherapeutics and are potent serotonin (5-HT1) agonists (no data) and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain, and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compds. can also be used as centrally acting antihypertensives and vasodilators. Thus, e.g., coupling of N-benzyloxycarbonylglycine with 5-amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole (prepn. given) afforded 5-(N-benzyloxycarbonylglycyl)amino-3-(N-methylpyrrolidin-2Rylmethyl)-1H-indole (74%).

IT 154038-86-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amino acid derived acylaminoindole derivs. as 5-HT1 agonists)

RN 154038-86-5 CAPLUS

CN Carbamic acid, [2-[[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-indol-5-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 60 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:148290 CAPLUS

DN 124:290235

TI Bradykinin Receptor Antagonists Containing N-Substituted Amino Acids: in Vitro and in Vivo B2 and B1 Receptor Antagonist Activity

AU Goodfellow, Val S.; Marathe, Manoj V.; Kuhlman, Karen G.; Fitzpatrick, Timothy D.; Cuadrado, David; Hanson, Wendy; Zuzack, John S.; Ross, Sherman E.; Wieczorek, Maciej; et al.

CS Department of New Leads Discovery, Cortech Inc., Denver, CO, 80221, USA

SO Journal of Medicinal Chemistry (1996), 39(7), 1472-84 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A systematic probing of the structural requirements of the bradykinin (BK) type 2 (B2) receptor for antagonist activity by incorporating N-alkyl amino acid residues at positions 7 and 8 of a potent antagonist sequence is reported. Lead decapeptide H-D-Arg0-Arg1-Pro2-Hyp3-Gly4-Thi5-Ser6-D-Tic7-Chg8-Arg9-OH (I; Thi = L-2-thienylalanine, Tic = 1,2,3,4tetrahydroisoquinoline-3-carboxylic acid, Chg = N-cyclohexylglycine) (CP-0597) is a potent (pA2 = 9.3, rat uterus; pKi = 9.62, binding, human receptor clone) B2 receptor antagonist devoid of in vitro B1 antagonist activity (rabbit aorta). CP-0597 exhibits high potency (ED50 = 29.2 pmol/kg/min, i.v., rabbit) and duration of action when tested in models for in vivo B2 antagonist activity. Although devoid of activity in a classic B1 isolated tissue assay, B1 antagonist activity for CP-0597 was demonstrated in vivo, in a LPS-treated, inducible BK1 receptor rabbit blood pressure model (ED50 = 1.7 nmol/kg/min). The D-Arg0 residue can be formally replaced by an achiral arginine surrogate, without significant loss in antagonist potency on rat uterus (B2 pA2 = 9.1). [Hyp2]-I, pKi = 10.2, and agonist [N-cyclohexylmethylglycine8]-I, pKi = 10.1, also exhibited substantial binding to guinea pig ileum membrane receptors as well as a human B2 receptor clone. Very minor structural changes in the N-alkyl amino acid residues in positions 7 and 8 can modify the activity of this class of compds. from being extremely potent antagonists to tight binding partial or full agonists. These studies have resulted in a series of compds. contg. inexpensive amino acid residues but which produce broad spectrum BK receptor blocking potency and exceptional in vivo duration of action.

IT 172834-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and bradykinin receptor antagonistic activity of N-substituted amino acid-contg. analogs)

RN 172834-30-9 CAPLUS

CN Glycine, N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl]-N-phenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 61 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

KIND DATE

Full Text

AN 1995:994903 CAPLUS

DN 124:118000

TI Preparation of bradykinin antagonist peptides incorporating N-substituted glycines

IN Goodfellow, Val S.; Marathe, Manoj V.; Whalley, Eric T.; Fitzpatrick, Timothy D.; Kuhlman, Karen G.

PA Cortech, Inc., USA

SO PCT Int. Appl., 73 pp.

IE, SI, LT

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1 PATENT NO.

| PI | WO | 9524 | 422 | | A. | 1 | 1995 | 0914 | | W | 19 | 95-U | 5239 | 9 | 1995 | 0307 | | |
|----|----|------|------|-----|-----|-----|-------|------|-----|-----|-------|-------|-------|-----|------|------|-----|-----|
| | | W: | AM, | ΑT, | AU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, | ES, | FI, |
| | | | GB, | GE, | HU, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LK, | LR, | LT, | LU, | LV, | MD, | MG, |
| | | | MN, | MW, | MX, | NL, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | TJ, |
| | | | TT, | AU | | | | | | | | | | | | | | |
| | | RW: | KE, | MW, | SD, | SZ, | UG, | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | IT, |
| | | | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, | NE, |
| | | | SN, | TD, | TG | | | | | | | | | | | | | |
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| | | | | | | | | | | US | 3 19 | 94-20 | 8119 | a a | 1994 | 0309 | | |
| | JP | 0951 | 1500 | | T | 5 | 1997 | 1118 | | JI | 199 | 95-52 | 23493 | 3 | 1995 | 0307 | | |
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| | | | | | | | | | | WC | 199 | 95-US | 32399 | W | 1995 | 0307 | | |
| | ΕP | 8135 | 44 | | A | L | 1997: | 1229 | | EI | 19 | 95-91 | 11948 | 3 | 1995 | 0307 | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |

US 1994-208115 A 19940309

APPLICATION NO. DATE

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OS MARPAT 124:118000

Bradykinin-type peptides contg. N-substituted glycines, particularly AB bradykinin antagonist peptides, useful for the treatment of conditions mediated by bradykinin including pain and inflammation, are prepd. Preferably, said peptides are represented by general formula Z1-Z0-A1-B2-C3-D4-E5-F6-G7-H8-I9-J10 [Z1 = absent, H, Ac, adamantylcarbonyl, adamantylacetyl, C1-8 alkyl or alkanoyl, arylsulfonyl, alkoxycarbonyl, dihydroquinuclidinecarbonyl; ZO, A1 = direct bond, H, Dor L-Arg, Lys, or Orn, H2N(C:NH)NH(CH2)3(CH2)nCO, an Arg substitute; wherein n = 0-3; B2, C3 = Pro, hydroxyproline, Sar, Ser, Thr, MeSer, MeThr, MePhe, (un) substituted Gly; D4 = Gly, Ala, thienylalanine; or B2-C3-D4-E5 = NH(CH2)mCO; wherein m = 4-14; E5 = Phe, methyl-substituted Phe, Gly, cyclopentylglycine, cyclohexylglycine, cyclohexylalanine, 2-indaneglycine, thienylalanine, N-(2-indanyl)glycine, N-substituted glycine; F6 = aliph. or arom. amino acid; G7 = arom. amino acid selected from D-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl, D-dihydroisoquinolin-3ylcarbonyl, D-Phe, 2-indaneglycine, D-cyclopentylglycine, D-Pro, 3- or 4-substituted Pro, N-substituted Gly; H8 = amino acid residue NR1CHR2CO or N[(CH2)1]CHR2CO; wherein l = 1-6; R1 = (un)substituted C1-2 alkyl, C3-8cycloalkyl, mono- or polycyclic aryl, heteroaryl, or heterocyclyl contg. ≥1 rings of 3-8 atoms selected from C, N, O, or S; R2 = H, Me, alkyl, acidic, basic, or neutral alkyl or arom. amino acid residue; 19 = absent or direct bond, OH, amino acid, H2N(C:NH)NH(CH2)3(CH2)n, an Arg substitute; J10 = absent, OH, amino acid, alkoxy, alkylamino]. Thus, H-D-Arg-Arg-Pro-Hyp-Gly-Thi-Ser-D-Tic-NChg-Arg-OH [Thi = β --(2-thienyl)alanine, Tic = 1,2,3,4-tetrahydroisoquinolin-3ylcarbonyl, NChg = N-cyclohexylglycine] (I) was prepd. by manual solid phase method which involved sequentially coupling Boc-amino acids to a Boc-Arg(Tos)-resin and resin cleavage and deprotection. In the std. rat uterus pA2 assay for in vitro B2 antagonist activity, I showed the pA2 value of 9.5±0.05.

IT 172834-30-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of bradykinin antagonist peptides contg. N-substituted glycines for treating pain and inflammation)

RN 172834-30-9 CAPLUS

CN Glycine, N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl]-N-phenyl-(9CI) (CA INDEX NAME)

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ANSWER 62 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
L9
Full Text
    1995:994182 CAPLUS
DN
    124:56708
    Preparation of N-acylated amino acid amide derivatives as
    metalloproteinase inhibitors.
    Beckett, Raymond Paul; Whittaker, Mark; Miller, Andrew; Martin, Fionna
IN
    Mitchell
PA
    British Biotech Pharmaceuticals Ltd., UK
   PCT Int. Appl., 94 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                  KIND DATE
                                      APPLICATION NO. DATE
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                    A1 19950727
                                      WO 1995-GB111 19950120
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           UA, US
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
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| CZ | 290145 | | В6 | 20020612 | | CZ | 1996-2115 | | 19950 | 120 | | |
| | | | | | | GB | 1994-1034 | А | 19940 | 120 | | |
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| | | | | | | | 1994-15619 | | 19940 | | | |
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1994-1034 | | 19980 | | | |
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| | | | | | | | 1998-25943 | | 199802 | | | |
| MAR | PAT 124:5 | 6708 | | | | | | | | | | |
| VD1 | CUCUDACON | T. CTTT | 20011111411 | c (v | 211 | ~~ | | | | | | |

AB XR1CHCHR2CONHCHR3CONR4R5 [X = CO2H, CONHOH; R1 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R2 =

os

(substituted) alkyl, alkenyl, alkynyl, phenylalkyl, heteroarylalkyl, cycloalkylalkyl, cycloalkenylalkyl; R3 = (protected) characterizing group of a natural or nonnatural amino acid; R4 = (substituted) Ph, 5- or 6-membered heteroaryl and N-oxides thereof, which may be optionally fused to a benzene ring or to a 5-, 6- or 7-membered heterocyclic ringl, were prepd. Thus, title compd. (I) (soln. phase prepn. given) inhibited collagenase, 72 kDa gelatinase, and stromelysin with IC50 = 2 nM, 5 nM, and 9 nM, resp.

IT 15366-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-acylated amino acid amide derivs. as metalloproteinase inhibitors)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 63 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:973580 CAPLUS

DN 124:8508

TI 13-substituted milbemycin derivatives, their preparation and use.

IN Takoshiba, Hideo; Sato, Kazuo; Yanai, Toshiaki; Yokoi, Shinji; Ichinose, Reiji; Tanizawa, Kinji

PA Sankyo Co., Ltd., Japan

SO Eur. Pat. Appl., 95 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | V.1 | | | |
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| | PATENT NO. | KIND | DATE | APPLICATION NO. DATE |
| | | | | |
| PI | EP 675133 | A1 | 19951004 | EP 1995-302165 19940401 |
| | R: AT, BE, | CH, DE | , DK, ES, FR, | GB, GR, IT, LI, LU, NL, SE |
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                                           JP 1995-244344 19950922
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     HK 1012002
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                            20010223
                                           HK 1998-113090 19981210
                                           JP 1994-64803 A 19940401
                                           JP 1994-283382 A 19941117
                                           JP 1995-9377 A 19950125
os
     MARPAT 124:8508
     13-Substituted milbemycin derivs. I [R1 = Me, Et, CHMe2, CHMeEt; R2 = H,
     alkyl; X = (\alpha - hydroxyimino - or \alpha - alkoxyimino - substituted) -
     arylmethyl or (\alpha-hydroxyimino- or \alpha-alkoxyimino-substituted)-
     heterocyclylmethyl, N-substituted-aminophenyl, N-substituted-aminophenoxy;
     m, n = 0, 1 are valuable as agricultural and horticultural anthelmintic,
     acaricidal and insecticidal agents. Thus, 13-(\alpha-
     methoxyiminophenylacetoxy)milbemycin A4 (II) was obtained by treating
     15-hydroxy-5-ketomilbemycin A4 with MeON:CPhCO2H, followed by redn. Both
     isomers of II gave 100% mortality of cabbage moth larvae at 1 ppm on
     cabbage leaves.
IT 171249-56-2P
     RL: AGR (Agricultural use); BAC (Biological activity or effector, except
     adverse); BSU (Biological study, unclassified); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. and insecticidal activity of 13-substituted milbemycin derivs.)
RN
     171249-56-2 CAPLUS
    Milbemycin B, 5-O-demethyl-28-deoxy-6,28-epoxy-25-ethyl-13-[2-[4-
     [[[(methoxycarbonyl)amino]phenylacetyl]amino]phenyl]-2-methyl-1-
     oxopropoxy]-, (6R, 25R)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

azza Me

L9 ANSWER 64 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:938107 CAPLUS

DN 124:8408

TI Preparation of hydroxyaminoethylphenylsulfonamide catecholamine surrogates useful as .beta.3 adrenergic agonists.

IN Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni, Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Gavai, Ashvinikumar; Bisacchi, Gregory S.

PA Bristol-Myers Squibb Co., USA

SO Eur. Pat. Appl., 147 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

| FAN. CNI Z | | | | | | | |
|------------|------------|-----------------------|------------------------|-------------------|--|--|--|
| | PATENT NO. | KIND DATE | APPLICATION NO. DAT | re | | | |
| | | | | | | | |
| PI | EP 659737 | A2 19950628 | EP 1994-120281 199 | 941221 | | | |
| | EP 659737 | A3 19970305 | | | | | |
| | EP 659737 | B1 20030326 | | | | | |
| | R: AT, BE, | , CH, DE, DK, ES, FR, | GB, GR, IE, IT, LI, LU | J, MC, NL, PT, SE | | | |
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| | AT 235463 | E | 20030415 | AT 1994-120281 19941221 | |
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| PATENT FAMILY INFORMATION: | | | | | |
| FAN | 1998:471470 | | | | |
| | PATENT NO. | KIND | DATE | APPLICATION NO. DATE | |
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| | CN 1109050 | A | 19950927 | CN 1994-113297 19941221 | |
| | CN 1109030 | A | 19930927 | CN 1994-113297 19941221 | |
| | | | | IIC 1000 17100F * 40004004 | |
| | 77 0410010 | * | 1000001 | US 1993-171285 A 19931221 | |
| | ZA 9410213 | A | 19960621 | ZA 1994-10213 19941221 | |
| | DM 025462 | - | 00000415 | US 1993-171285 A 19931221 | |
| | AT 235463 | E | 20030415 | AT 1994-120281 19941221 | |
| 00 | G10DF10F 104 040 | | Dam 104 0400 | US 1993-171285 A 19931221 | |

OS CASREACT 124:8408; MARPAT 124:8408

AB

Title compds. [I; A = bond, (CH2)n, CHB; n = 1-3; B = cyano, CONR9R91, CO2R7; R1 = alkyl, aryl, aralkyl; R2 = H, OH, alkoxy, CH2OH, cyano, CO2R7, CO2H, CONH2, tetrazolyl, CH2NH2, halo; R3 = H, alkyl, heterocyclyl, (substituted) Ph; R4 = H, alkyl, B; R5, R51 = H, alkoxy, alkyl, halo, OH, cyano, (CH2) nNR6COR7, CONR6R61, CONR6OR6, CO2R6, SR7, SOR7, SO2R7, NR6SO2R1, NR6R61, NR6COR7, OCH2CONR6R61, OCH2CO2R7, aryl; R5R51 = atoms to form aryl, heterocyclyl; R6, R61 = H, alkyl; R7 = alkyl; R9, R91 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R9R91N = heterocyclyl; with the proviso that when A = bond or (CH2)n and R3 = H or unsubstituted alkyl, then R4 = B or substituted alkyl], were prepd. for treating diabetes, obesity, intestinal hypermotility, etc. (no data). Thus, 3,4-dimethoxybenzaldehyde in THF was treated with PhCH2MgCl in THF followed by 20 min reflux to give 90% .alpha.-(3,4dimethoxyphenyl)benzeneethanol; Jones oxidn. gave 89% 1-(3,4dimethoxyphenyl)-2-phenylethanone. The latter was heated at 160.degree. with NH4O2CH to give N-[1-(3,4-dimethoxyphenyl)-2-phenylethyl] formamide, which was treated with HCl in MeOH to give 77% .alpha.-(3,4dimethoxyphenyl)benzeneethanamine hydrochloride. This was converted to

the free base, which in MeCN was treated with 2-bromo-1-[4-phenylmethoxy-3-methylsulfonylamino]phenylethanone (prepn. given) and then NaBH4 in EtOH to give title compd. (II), isolated as the trifluoroacetate salt.

IT 170688-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of catecholamine surrogates useful as .beta.3 adrenergic
agonists)

RN 170688-80-9 CAPLUS

CN Carbamic acid, [(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Full Text
AN 1995:931372 CAPLUS
DN 123:339535
TI Preparation of carbapenem derivatives as antibacterials
IN Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DT Patent

ANSWER 65 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

LA Japanese FAN.CNT 1

1.9

PATENT NO. KIND DATE APPLICATION NO. DATE _____ PI WO 9523150 A1 19950831 WO 1995-JP280 19950224 W: AU, CA, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE JP 1994-52686 A 19940225 JP 1994-64606 A 19940328 JP 1994-107568 A 19940422 JP 1994-110289 A 19940426 JP 1994-114288 A 19940428 CA 2184101 AΑ 19950831 CA 1995-2184101 19950224

JP 1994-52686 A 19940225 JP 1994-64606 A 19940328 JP 1994-107568 A 19940422 JP 1994-110289 A 19940426 JP 1994-114288 A 19940428 AU 9518240 A1 19950911 AU 1995-18240 19950224 AU 680736 B2 19970807 JP 1994-52686 A 19940225 JP 1994-64606 A 19940328 JP 1994-107568 A 19940422 JP 1994-110289 A 19940426 JP 1994-114288 A 19940428 WO 1995-JP280 W 19950224 EP 747381 A1 19961211 EP 1995-909978 19950224

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                                           WO 1995-JP280 W 19950224
     MARPAT 123:339535
     The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents
     hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar
     represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be
     substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph. naphthyl
     or a group of formula \alpha or \beta (each of which may be substituted
     by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent
     each a single bond, -NHSO2-, etc., and Het represents pyrrolinyl,
     1,4-diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by
     hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each
     a single bond or lower alkylene which may be substituted by lower alkyl,
     lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl,
     di(lower alkyl) sulfamoyl, etc.) or may be substituted by pyridyl,
     pyridino, etc. (each of which may be substituted by lower alkyl,
     carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond,
     etc.] and their pharmaceutically acceptable salts are prepd. Thus, a
     soln. of p-nitrophenyl (1R,5S,6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-
     hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate and
     (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl)-
     pyrrolidine (prepn. given) in MeCN contg. diisopropylamide was allowed to
     react at 50° overnight to give 60% the title compd. II (R =
     p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium
     salt of II [R = H]. In an in vitro study, this had an IC50 of 0.39
     µg/mL against Staphylococcus aureus.
IT 170585-37-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of carbapenem derivs. as antibacterials)
    170585-37-2 CAPLUS
     1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[[(4-nitrophenyl)methoxy]carbonyl]
     amino]phenylacetyl]amino]phenyl]thio]methyl]-4-[(triphenylmethyl)thio]-,
     (4-nitrophenyl)methyl ester, [2S-[2\alpha(R*),4\alpha]]- (9CI) (CA
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Absolute stereochemistry.

INDEX NAME)

OS

AB

PN

PAGE 1-A

PAGE 1-B

--- CPh 3

L9 ANSWER 66 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1995:869272 CAPLUS
- DN 124:87733
- TI A convenient synthesis of amino acid p-nitroanilides; synthons in the synthesis of protease substrates
- AU Rijkers, Dirk T. S.; Adams, Hans P. H. M.; Hemker, H. Coenraad; Tesser, Godefridus I.
- CS Catholic Univ. Nijmegen, Dep. Org. Chem., Nijmegen, 6525 ED, Neth.
- SO Tetrahedron (1995), 51(41), 11235-50 CODEN: TETRAB; ISSN: 0040-4020
- PB Elsevier
- DT Journal
- LA English
- OS CASREACT 124:87733
- AB A method is described for the synthesis of $N\alpha$ -protected bi- and trifunctional amino acid p-nitroanilides. The reaction uses phosphorus oxychloride as the condensing agent. The synthesis is simple, rapid, free of racemization and affords yields between 70-90%. The synthesis can be performed not only with amino acid derivs. of the urethane type, including acid-labile (Z, Boc) and base-labile (Fmoc, Msc) $N\alpha$ -protective functions or allyl-derived protections, but also with $N\alpha$ -trityl amino acids, albeit in lower yield. The reaction runs in pyridine and its mechanism implies carboxyl activation by formation of a mixed anhydride with phosphorodichloridic acid (HOPOCl2).

IT 19647-71-3P 160192-24-5P 160192-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of amino acid nitroanilides, synthons in synthesis of protease substrates)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

RN 160192-24-5 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160192-29-0 CAPLUS

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L9 ANSWER 67 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:822022 CAPLUS

DN 124:30303

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     Synthesis of N-phosphorylated amino acids
AU
     Quryupin, Andrei B.; Komissarov, Vladimir Yu.; Petrovskii, Pavel V.;
     Davidovich, Yuri, A.; Mastryukova, Tatyana A.; Kabachnik, Martin I.
     A. N. Nesmeyanov Inst. of Organo-element compounds, Moscow, 117813, Russia
SO
     Phosphorus, Sulfur and Silicon and the Related Elements (1995), 103(1-4),
     215-24
     CODEN: PSSLEC; ISSN: 1042-6507
PB
    Gordon Breach
DT
   Journal
   English
LA
os
    CASREACT 124:30303
    N-phosphorylated \alpha-amino acids were synthesized by reaction of
     organophosphoryl chlorides with amino acid O,N-bis(trimethylsilyl) derivs.
IT 15366-12-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (synthesis of phosphorylated amino acids)
RN
     15366-12-8 CAPLUS
     Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
     phenylmethyl ester (9CI) (CA INDEX NAME)
Absolute stereochemistry.
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Providen

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ANSWER 68 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN
     1995:801429 CAPLUS
DN
     123:256711
TI
     Preparation of gastrin and CCK receptor ligands
     Kalindjian, Sarkis Barret; Steel, Katherine Isobel Mary; Pether, Michael
     John; Davies, Jonathan Michael Richard; Low, Caroline Minli Rachel;
     Hudson, Martin Lyn; Buck, Ildiko Maria; McDonald, Iain Mair; Dunstone,
     David John; Tozer, Matthew John
     James Black Foundation Ltd., UK
SO
     PCT Int. Appl., 124 pp.
     CODEN: PIXXD2
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FAN.CNT 2
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FAN 1996:175613
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| AT | 235470 | E | 20030415 | AT | 1995-919561 | | 19950525 |
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| US | 5912260 | Α | 19990615 | US | 1996-737725 | | 19961219 |
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| | | | | GB | 1995-2503 | A | 19950209 |
| | | | | WO | 1995-GB1194 | W | 19950525 |

OS MARPAT 123:256711

AB Title compds. [e.g. I; A = atoms to complete a bicyclic ring system; R1 = halo, NH2, cyano, OH, alkyl, CO2H, etc.; l of X, W = CO and the other = CO, SO, SO2; Y = NR3R4, hydrocarbyloxy, etc.; R3 = H, hydrocarbyl, etc.; R4 = H, alkyl, (un) esterified CH2CO2H; Z = OH, alkoxy, OPh, (un)substituted NH2, NHZ1R, etc.; R = H, cyano, alkyl, CH2OH, CO2H, etc.; Z1 = alkylene; m = 0-6] were prepd. Thus, 4-methylphthalic anhydride was converted in 6 steps to indole-5,6-dicarboxylic anhydride which was amidated by adamantane-1-methylamine and the product amidated by (S)-3,5-(PhH2CO2C)2C6H3NHCOCH(NH2)CH2Ph (prepn. given) to give, in 2 addnl. steps, title compd. (S)-II the di-N-methyl-D-glucamine salt of which had pKi of 9.4 for binding at mouse cortex CCKB receptors in vitro. IT 167992-83-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of gastrin and CCK receptor ligands)

RN 167992-83-8 CAPLUS

N 1,3-Benzenedicarboxylic acid, 5-[[2-[[(9H-fluoren-9ylmethoxy)carbonyl]amino]-3-(4-iodophenyl)-1-oxopropyl]amino]-,
bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 69 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text

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AN
    1995:794872 CAPLUS
DN
    123:286106
    Preparation of substituted cyclic carbonyl derivatives as retroviral
    rotease inhibitors
    Lam, Patrick Yuk-Sun; Jadhav, Prabhakar Kondaji; Eyermann, Charles Joseph;
    Hodge, Carl Nicholas; De, Lucca George Vincent; Rodgers, James David
PΑ
    Du Pont Merck Pharmaceutical Co., USA
    PCT Int. Appl., 525 pp.
SO
    CODEN: PIXXD2
DT
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LA English
FAN.CNT 5
    PATENT NO.
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PT
    WO 9419329 A1 19940901
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| | | | US | 1993-47330 | B219930415 |
| | | | US | 1994-197630 | A519940216 |
| MARPAT 123:286106 | | | | | |

os

AΒ Cyclic ketone derivs. [I; R1, R2 = H, alkyl, allyl, cyclopropylmethyl, etc.; R3, R4 = (un)substituted benzyl, thienylmethyl, naphthylmethyl, etc.; W = CO, CS, SO2, etc.], useful as human immunodeficiency virus (HIV) protease inhibitors, are prepd., tested, and formulated. Amination of dichloro compd. I [R1 = R2 = m-chlorobenzyl, R3 = R4 = PhCH2, W = C0] with MeNH2 in THF and subsequent acidification with 4M HCl gave I.2HCl [R1 = R2 = m-methylaminobenzyl, R3 = R4 = PhCH2, W = CO], which showed Ki = 10 nM-1 μM and IC90 = <10 $\mu g/mL$ in a HIV protease inhibition assay.

IT 167824-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted cyclic carbonyl derivs. as retroviral protease inhibitors)

RN 167824-38-6 CAPLUS

Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, $[4R-(4\alpha,5\alpha,6\beta,7\beta)]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

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L9 ANSWER 70 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:758623 CAPLUS

DN 123:170176

TI Preparation of amino acid amide derivatives as neutral metalloendopeptidase inhibitors

IN Numanami, Kenichi; Iwasaki, Tameo; Matsumoto, Kazuo; Oomori, Kenji; Yano, Koji; Yoneda, Hikari

PA Tanabe Seiyaku Co, Japan

SO Jpn. Kokai Tokkyo Koho, 30 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| | | | | | |
| PI | JP 06234630 | A2 | 19940823 | JP 1993-312366 | 19931214 |
| | | | | JP 1992-337095 | 19921217 |

OS MARPAT 123:170176

AB The title compds. [I; R = H, lower alkyl, Ph, OH; R1 = C1-10 linear or branched alkyl, aryl, S- or N-contg. monocyclic heterocyclyl-lower alkyl, C4-8 cycloalkyl-lower alkyl; R2 = (un)substituted aryl, C4-8 cycloalkyl, S- or N-contg. heterocyclyl; R3 = X = S, O, (un)substituted NH; Y1 = NH, O, or S and Y2 = N; Y1 = CH:CH and Y2 = CH; m = 0-3; n = 0,1] are prepd. These amino acid amides derivs. I exhibit excellent diuretic, natriuretic, vasodilatory, and renin- and aldosterone-secretion inhibiting activity due to the effect of suppressing the decompn. of atrial natriuretic peptide

(ANP), also show hypotensive activity, improve and inhibit hypertrophy of the heart, and are useful as antihypertensives and diuretics and for the treatment of heart and kidney failure. Thus, a mixt. of benzyl 2-bromo-4-phenylbutyrate 33, tert-Bu L-phenylalaninate 22.1, and K2CO3 13.8 g and HMPA stirred at room temp. overnight to give 16.6 g tert-Bu N-[(1S)-1-benzyloxycarbonyl-3-phenylpropyl]-L-phenylalaninate (II) and 9.9 g (1R)-epimer. II was deprotected with CF3CO2H followed by neutralization with 10% aq. K2CO3 to give N-[(1S)-1-benzyloxycarbonyl-3-phenylpropyl]-L-phenylalanine which was condensed with 4-benzyloxycarbonyl-5-(2-aminoethyl)oxazole hydrobromide by using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate to give, after hydrogenolysis over Pd black in DMF at H pressure 3 atm, phenylalaninamide deriv. (III). III showed IC50 of 0.02 μ M against neutral metalloendopeptidase.

IT 146855-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for prepn. of amino acid amide derivs. as neutral metalloendopeptidase inhibitors)

RN 146855-21-2 CAPLUS

CN Benzoic acid, 3-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]
 amino]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 71 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:543281 CAPLUS

DN 123:257300

TI Enantioselective separation of basic amino acids on talc

AU Arrou, Dominique; Baboulene, Michel

CS Lab. des IMRCP, Univ. Paul Sabtier, Toulouse, 31062, Fr.

SO Journal of Chemical Technology Biotechnology (1995), 63(1), 92-6 CODEN: JCTBED; ISSN: 0268-2575

PB Wiley

DT Journal

LA English

AB Certain amino acid derivs. (E-basic, anilide group) can be readily adsorbed onto various types of talc (steopac, SS20, C300, C400). For instance, talc is capable of adsorbing the amino acid esters but not the equiv. free amino acids. The types of talc which have high hydrophobicity (00, 15M00) were poor adsorbents. Two applications of these findings are presented: enhancement of the sensitivity of enzymic tests in the presence of chromogenic substrates and enantioselective sepn. of E-basic amino acids (arginine, lysine, ornithine).

IT 14235-16-6P

RL: PUR (Purification or recovery); PREP (Preparation) (enantioselective sepn. of basic amino acids on talc)

RN 14235-16-6 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 72 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:388704 CAPLUS

DN 123:101699

TI Examples of liquid chromatographic resolution of $\pi\text{-acidic}$ racemates on a $\pi\text{-acidic}$ chiral stationary phase

AU Hyun, Myung Ho; Min, Chung Sik; Cho, Yoon Jae

CS Dep. Chem., Pusan Natl. Univ., Pusan, 609-735, S. Korea

SO Journal of High Resolution Chromatography (1995), 18(1), 63-5 CODEN: JHRCE7; ISSN: 0935-6304

PB Huethig

DT Journal

LA English

AB The authors present unusual examples of the resoln. of π -acidic analytes on a representative com. π -acidic chiral stationary phase derived from N-(3,5-dinitrobenzoyl)-(S)-leucine (CSP). As the CSP does not contain any π -basic aryl group, this study may show the first incontrovertible examples of the liq. chromatog. resoln. of π -acidic analytes on the π -acidic CSP.

IT 165552-27-2 165552-28-3 165552-29-4

165552-30-7 165552-31-8 165658-22-0

165658-23-1 165658-24-2 165658-25-3

165658-26-4 165658-27-5 165658-28-6

165658-29-7 165878-94-4 165878-95-5

RL: ANT (Analyte); ANST (Analytical study)

(liq. chromatog. resoln. of $\pi\text{-acidic}$ racemates on $\pi\text{-acidic}$ chiral stationary phase)

RN 165552-27-2 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 165552-28-3 CAPLUS

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl
 ester (9CI) (CA INDEX NAME)

RN 165552-29-4 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 165552-30-7 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 165552-31-8 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 165658-22-0 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-23-1 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-24-2 CAPLUS

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-25-3 CAPLUS

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl
 ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-26-4 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-27-5 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-28-6 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165658-29-7 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl
 ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165878-94-4 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl

ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 165878-95-5 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 73 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:338032 CAPLUS

DN 122:234176

TI Glycolipid enzyme models. V. Hydrolysis of amide bonds

AU Ohkatsu, Yasukazu; Nakamura, Nobuhiro

CS Dep. Applied Chem., Fac. Eng., Kogakuin Univ., Tokyo, 163, Japan

SO Yukagaku (1995), 44(1), 30-5 CODEN: YKGKAM; ISSN: 0513-398X

PB Nihon Yukagaku Kyokai

DT Journal

LA English

AB Glycolipid catalysts, with sugar residues as active sites were used to hydrolyze several amino acid derivs. possessing amide bonds. The glycolipid hydrolyzed amide bond under mild conditions and recognized substrates similarly to α -chymotrypsin. A comparison of the hydrolytic activity of the catalysts indicated that the terminal group of sugar residues (methylol or carboxyl group) and the structure of the linkage between a sugar residue and a double-hydrocarbon chain were, to some extent, determinants of substrate selectivity.

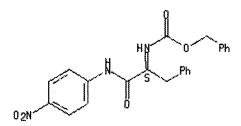
IT 19647-71-3P, N-Benzyloxycarbonyl-L-phenylalanine-p-nitroanilide
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
 (Process)

(hydrolysis of amide bonds by glycolipid enzyme models)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 74 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:66589 CAPLUS

DN 122:81996

TI A generally applicable synthesis of amino acid p-nitroanilides as synthons

AU Rijkers, Dirk T. S.; Hemker, H. Coenraad; Nefkens, Gerard H. L.; Tesser, Godefridus I.

CS Department of Organic Chemistry, Catholic University of Nijmegen, Nijmegen, 6525, Neth.

SO Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993), Meeting Date 1992, 175-6. Editor(s): Schneider, Conrad H.; Eberle, Alex N. Publisher: ESCOM, Leiden, Neth.

CODEN: 60LUAN

DT Conference

LA English

AB A symposium report on the synthesis of amino acid p-nitroanilides
Boc-X-pNA [pNA = p-nitroanilide; X = Glu(OBzl), Lys(Z), Arg(HCl)],
Msc-Arg(HCl)-pNA, and Fmoc-X-pNA [X = Gly, Phe, Val, Met, Glu(OBzl),
Ser(Bu-tert), Tyr(tert-Bu), Arg(HCl), Lys(Boc), Cys(CPh3), His(CPh3),
Asn(CPh3)] as synthons for chromogenic peptide substrates, e.g
H-D-Phe-Pip-Arg(HCl)-pNA.HCl (S2238).

IT 160192-24-5P 160192-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of amino acid p-nitroanilides as synthons for chromogenic peptide substrates)

RN 160192-24-5 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160192-29-0 CAPLUS

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L9 ANSWER 75 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:32840 CAPLUS

DN 122:133770

- TI Activation of carboxylic acids by pyrocarbonates. Synthesis of arylamides of N-protected amino acids and small peptides using dialkyl pyrocarbonates as condensing reagents
- AU Pozdnev, V. F.
- CS Institute Biomedical Chemistry, Moscow, Russia
- SO International Journal of Peptide Protein Research (1994), 44(1), 36-48 CODEN: IJPPC3; ISSN: 0367-8377
- DT Journal
- LA English
- OS CASREACT 122:133770
- AB Activation of carboxylic acids was achieved via dialkyl pyrocarbonates (RO2C)2O (I; R = Et, Me2CH, EtCHMe, Me3C) in aprotic solvents in the presence of tertiary amines. A convenient one-pot procedure for the prepn. of arylamides from N-protected amino acids including arginine and from I (R = Me3C) in the presence of pyridine (Boc2O-pyridine system) was reported. Analogously, I (R = Et, Me2CH, EtCHMe) could be used in the presence of N-methylmorpholine or triethylamine. A wide variety of N-protected amino acid arylamides were prepd. in good yields.

IT 16876-73-6P 75957-51-6P 80115-53-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via amidation of protected amino acid, dialkyl pyrocarbonate activating agents for)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(inhibition of human sputum elastase by 7-substituted
5-methyl-2-isopropylamino-4H-3,1-benzoxazin-4-ones)
RN 121285-10-7 CAPLUS
CN Carbamic acid, [2-[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158553-01-6 CAPLUS
CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 78 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text 1994:483048 CAPLUS AN DN 121:83048 (Acylamino)indole derivatives as 5-HT1 agonists TI IN Macor, John E. PA Pfizer Inc., USA so PCT Int. Appl., 32 pp. CODEN: PIXXD2 DTPatent LA English FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE ______ ____ A1 19931028 ΡI WO 9321180 WO 1993-US1807 19930304 $\mbox{W:} \quad \mbox{AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US} \\$ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 1992-866382 A219920410 AU 9337821 A1 19931118 AU 1993-37821 19930304 AU 670270 B2 19960711

| | | | US 1992-866382 A 19920410 |
|------|------------------|------------------|---|
| | | | WO 1993-US1807 A 19930304 |
| | EP 635015 | A1 19950125 | EP 1993-907096 19930304 |
| | EP 635015 | B1 19970129 | |
| | R: AT, BE, | CH, DE, DK, ES, | FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | JP 07501831 | T2 19950223 | JP 1993-518302 19930304 |
| | JP 2544704 | B2 19961016 | |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | SK 278182 | B6 19960306 | SK 1994-1207 19930304 |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | AT 148465 | E 19970215 | AT 1993-907096 19930304 |
| | 210103 | 15570215 | US 1992-866382 A 19920410 |
| | ES 2097496 | T3 19970401 | |
| | 25 2057450 | 13 19970401 | |
| | CZ 282653 | B6 19970813 | US 1992-866382 A 19920410 |
| | CZ 202033 | B6 19970813 | CZ 1994-2477 19930304 |
| | Dr. 150000 | | US 1992-866382 A 19920410 |
| | PL 172232 | B1 19970829 | PL 1993-305558 19930304 |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | RU 2110516 | C1 19980510 | RU 1994-45902 19930304 |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | BR 9306221 | A 19980630 | BR 1993-6221 19930304 |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | CA 2132706 | C 19980804 | CA 1993-2132706 19930304 |
| | | | US 1992-866382 A 19920410 |
| | TW 394769 | B 20000621 | TW 1993-82101732 19930309 |
| | | | US 1992-866382 A 19920410 |
| | ZA 9302536 | A 19941008 | ZA 1993-2536 19930408 |
| | | 11 13311000 | US 1992-866382 A 19920410 |
| | HU 64060 | A2 19931129 | HU 1993-1048 19930409 |
| | 01000 | A2 13331123 | |
| | CN 1080288 | A 19940105 | US 1992-866382 A 19920410 |
| | CN 1030206 | | CN 1993-104439 19930409 |
| | CN 1030300 | B 19980527 | Y70 1000 066200 2 40000440 |
| | EC 2070772 | 71 10060716 | US 1992-866382 A 19920410 |
| | ES 2070772 | B1 19960216 | ES 1993-1772 19930809 |
| | ES 2070772 | A1 19950601 | |
| | *** ****** | | US 1992-866382 19920410 |
| | US 5498626 | A 19960312 | US 1994-295792 19940914 |
| | | | US 1992-866382 B219920410 |
| | | | WO 1993-US1807 W 19930304 |
| | NO 9403803 | A 19941007 | NO 1994-3803 19941007 |
| | | | US 1992-866382 A 19920410 |
| | | | WO 1993-US1807 W 19930304 |
| | FI 2001000214 | A 20010205 | FI 2001-214 20010205 |
| | | | US 1992-866382 A 19920410 |
| PATE | NT FAMILY INFORM | ATION: | |
| FAN | 1996:229082 | | |
| | PATENT NO. | KIND DATE | APPLICATION NO. DATE |
| | | | |
| PI | US 5498626 | | |
| | | | US 1992-866382 B219920410 |
| | | | WO 1993-US1807 W 19930304 |
| | WO 9321180 | A1 19931020 | WO 1993-US1807 19930304 |
| | W: AII RP | CA. CZ. DR .TD | WO 1993-051807 19930304
KR, NO, NZ, PL, RU, SK, UA, US |
| | אר, אם, אר, | CH DE DE DE, CE, | FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |
| | MI ALI BEI | cit, Db, DR, ES, | US 1992-866382 A219920410 |
| | | | 03 1992-000302 AZ133ZU41U |

PI

OS MARPAT 121:83048

AB The title compds. I [R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aryl, etc.; R2 = CF3, C1-6 alkyl, aryl, C1-3 alkylaryl, etc.; R6 = H, OH, alkoxy, aryloxy, acylamino, etc.; W, Y = amino acid residue; m = 0, 1; n = 0-2], which are 5-HT1 agonists (no data), useful in the treatment of hypertension (no data), depression (no data), anxiety (no data), pain (no data), etc., are prepd. Thus, N-benzyloxycabonylglycine was coupled with 5-amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole, producing 5-(N-benzyloxycarbonylglycyl)amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole in 74% yield.

IT 154038-86-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. as serotoninergic receptor agonist)

RN 154038-86-5 CAPLUS

CN Carbamic acid, [2-[[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-indol-5-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 79 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:213536 CAPLUS

DN 118:213536

- TI Preparation of [[[N-(carboxyalkyl)phenylalanyl]amino]alkyl]oxazolecarboxyl ates and analogs as cardiovascular agents
- IN Nunami, Kenichi; Iwasaki, Tameo; Matsumoto, Kazuo; Yano, Koji; Yamaguchi, Isao
- PA Tanabe Seiyaku Co., Ltd., Japan
- SO Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|----|-------------|----------------------------|--------------------------------------|--------------------------|
| PI | EP 519738 | A1 19921223 | EP 1992-305647 | 19920619 |
| | R: AT, BE, | CH, DE, DK, ES, FR, | GB, GR, IT, LI, LU
JP 1991-247155 | , NL, PT, SE
19910621 |
| | JP 05208964 | A2 19930820 | JP 1992-202867 | 19920618 |
| | CA 2071659 | AA 19921222 | JP 1991-247155
CA 1992-2071659 | 19910621
19920619 |
| | AU 9218385 | 71 10001004 | JP 1991-247155 | 19910621 |
| | AU 649546 | A1 19921224
B2 19940526 | AU 1992-18385 | 19920619 |
| | US 5312826 | A 19940517 | JP 1991-247155
US 1992-901234 | 19910621
19920619 |
| | 03 3312020 | A 19940317 | JP 1991-247155 | 19920619 |
| | CN 1068110 | A 19930120 | CN 1992-104843 | 19920622 |

JP 1991-247155 19910621 CA 2126702 AA 19951225 CA 1994-2126702 19940624 JP 1991-247155 19910621

OS MARPAT 118:213536

AB Title compds. [I; R = H, alkyl, Ph, OH; R3 = COCH(CH2R2)XCHR1CO2H; R1 = (ar)alkyl, heterocyclylalkyl, cycloalkylalkyl; R2 = aryl, cycloalkyl, heterocyclyl; X = O, S, (substituted) imine; Z1 = NH, O, S and Z2 = N or Z1 = CH:CH and Z2 = CH; m = 0-3; n = 0 or 1], neutral metalloendopeptidase inhibitors (no data), were prepd. Thus, PhCH2CH2CHBrCO2CH2Ph was condensed with L-PhCH2CH(NH2)CO2CMe3 and the sapond. product condensed with 4-benzyloxycarbonyl-5-(2-aminoethyl)oxazole (prepn. given) to give, after sapon., title compd. (S)-II.

IT 146855-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of cardiovascular agents)

RN 146855-21-2 CAPLUS

CN Benzoic acid, 3-[[1-oxo-3-phenyl-2-[((phenylmethoxy)carbonyl]amino]propyl] amino]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 80 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:75810 CAPLUS

DN 118:75810

- TI A heat-stable serine proteinase from the extreme thermophilic archaebacterium Sulfolobus solfataricus
- AU Burlini, Nedda; Magnani, Paola; Villa, Andrea; Macchi, Fabio; Tortora, Paolo; Guerritore, Andrea
- CS Dip. Fisiol. Biochim. Gen., Univ. Milano, Milan, Italy
- SO Biochimica et Biophysica Acta (1992), 1122(3), 283-92 CODEN: BBACAQ; ISSN: 0006-3002
- DT Journal
- LA English
- AB A proteinase was purified to electrophoretic homogeneity from crude exts. of S. solfataricus. Mol. wts. assessed by SDS-PAGE and gel filtration were 64 and 118 kDa, resp., which points to a dimeric structure of the mol. A pI of 5.6 was also detd. The enzyme behaved as a chymotrypsin-like serine proteinase, as shown by the inhibitory effects exerted by phenylmethanesulfonyl fluoride, 3,4-dichloroisocoumarin, tosylphenylalanine chloromethyl ketone, and chymostatin. Consistent with the inhibition pattern, the enzyme cleaved chromogenic substrates at the carboxyl side of arom. or bulky aliph. amino acids; however, it effectively attacked only a small no. of such substrates, thus, displaying a specificity much narrower than and clearly different from that of chymotrypsin. This was confirmed by its inability to digest a set of natural substrate proteins, as well as insulin chains A and B; only after

alkylation was casein degraded to some extent. Proteinase activity was significantly stimulated by Mn2+ which acted as a mixed-type nonessential activator. The enzyme also displayed a broad pH optimum in the range of 6.5-8.0. Furthermore, it was completely stable up to 90°; above this temp., it underwent 1st-order thermal inactivation with half-lives ranging from 342 min (92°) to 7 min (101°). At 50°, it could withstand 6M urea and, to some extent, different org. solvents; however, at 95° it was extensively inactivated by all of these compds. None of the physicochem. properties of the enzyme, including amino acid anal., provided evidence of a possible relation to other well-known microbial serine proteinases.

IT 145819-59-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with serine proteinase of Sulfolobus sulfataricus,
 kinetics of)

RN 145819-59-6 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[(4-nitrophenyl)amino]-2oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 81 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:27349 CAPLUS

DN 118:27349

TI Two-step hydrolyses of a polymeric drug under a model system

AU Tokura, S.; Kaneda, Y.; Miura, Y.; Uraki, Y.

CS Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Carbohydrate Polymers (1992), 19(3), 185-90 CODEN: CAPOD8; ISSN: 0144-8617

DT Journal

LA English

AB Model polymeric drugs were synthesized by using 6-O-carboxymethyl chitin as a biodegradable carrier and several peptides as spacer. The release of drug (chromogenic compd.) was not obsd. by chymotrypsin-catalyzed hydrolysis until the proper size of oligomeric drug (prodrug) was produced predominantly by lysozymic hydrolysis. The amino acid compn. of the spacer and the spacer length were found to be preliminary regulation factors for two-step hydrolysis of the polymeric drug.

IT 19647-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, {(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 82 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN L9

Full Text

- AN 1993:7363 CAPLUS
- DN 118:7363
- TI Low molecular weight, non-peptide fibrinogen receptor antagonists
- Alig, Leo; Edenhofer, Albrecht; Hadvary, Paul; Huerzeler, Marianne; Knopp, Dietmar; Mueller, Marcel; Steiner, Beat; Trzeciak, Arnold; Weller, Thomas
- Pharma Div., F. Hoffmann-La Roche Ltd., Basel, CH-4002, Switz. CS
- SO Journal of Medicinal Chemistry (1992), 35(23), 4393-407 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- T.A English
- os CASREACT 118:7363
- The tetrapeptide H-Arg-Gly-Asp-Ser-OH (RGDS), representing a recognition sequence of fibrinogen for its platelet receptor GP IIb-IIIa (integrin $\alpha IIb \beta 3$), served as lead compd. for the development of highly potent and selective fibrinogen receptor antagonists. Replacement of the N-terminal arginine by p-amidinophenylalanine or the Gly moiety by m-aminobenzoic acid led to compds. which are superior to the lead peptide with regard to activity and selectivity for GP IIb-IIIa vs the closely related vitronectin receptor $\alpha\nu\beta3$. By random screening [(p-amidinobenzenesulfonamido)ethyl]-p-phenoxyacetic acid derivs. have been identified as fibrinogen receptor antagonists. Further structure-activity relationship studies culminated in the prepn. of peptides I (Ro 43-5054) and II (Ro 44-9883), which exhibit very high activity as platelet aggregation inhibitors (IC50s 0.06 and 0.03 µM, resp., human PRP/ADP) as well as marked selectivity for GP IIb-IIIa vs $\alpha \nu \beta 3$. Since the activity of II in dogs declines according to a two-compartment model with an initial phase having a t1/2 of 8 min and a second phase with a t1/2 of 110 min, this compd. is a suitable candidate for the development as i.v. platelet inhibitor.

IT 144412-38-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and benzyloxycarbonylation of)

- RN 144412-38-4 CAPLUS
- β -Alanine, N-[3-[[3-[4-(aminoiminomethyl)phenyl]-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester, monohydriodide (9CI) (CA INDEX NAME)

HI

IT 135321-41-4P

IT 135321-40-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (prepn. and hydrogenolysis of)
135321-40-3 CAPLUS
β-Alanine, N-[3-[[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]p
henyl]-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]benzoyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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ANSWER 83 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
    1992:21062 CAPLUS
DN
    116:21062
    Preparation of 7-(peptidylamino)-4H-3,1-benzoxazin-4-one compound and
    elastase inhibitor composition containing same
TN
    Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki;
    Uejima, Yasuhide; Sato, Osami; Fujii, Katsuhiko
PA
    Teijin Ltd., Japan
    PCT Int. Appl., 101 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    Japanese
FAN.CNT 1
    PATENT NO.
                  KIND DATE
                                      APPLICATION NO. DATE
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                                       _______
PI
    WO 9112245
                                       WO 1991-JP183 19910215
                   A1 19910822
        W: AU, CA, JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, NL, SE
                                       JP 1990-32440 19900215
    CA 2051115
                    AA 19910816
                                       CA 1991-2051115 19910215
                                       JP 1990-32440
                                                       19900215
    AU 9173250
                    A1
                         19910903
                                       AU 1991-73250
                                                       19910215
                    B2
    AU 635403
                         19930318
                                       JP 1990-32440
                                                      19900215
                                       WO 1991-JP183
                                                      19910215
    EP 466944
                                       EP 1991-904621 19910215
                   A1 19920122
        R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE
                                       JP 1990-32440
                                                      19900215
                                       WO 1991-JP183
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OS MARPAT 116:21062

The title compds. [I; X = Y1A1, Y2(A2)mA3; A1 = amino acid residue, peptide residue comprising 2 or 3 amino acid residues; A2 = Gly, Ala, Val, Leu, dipeptide residue contg. these amino acid residues; A3 = (side-chain protected) Lys, Glu, Or Asp; Y1 = amino-protecting group; Y2 = H, SO3H; provided that when the side-chain of A3 is protected , Y2 = H; m = 0, 1;when X = Y1A1, R2 = alkyl contg. 1 or 2 CO2H, and R3 = H, alkyl contg. 1 or 2 alkyl or CO2H, or NR2R3 forming a 6- to 7-membered ring optionally substituted with 1 or 2 alkyl or CO2H; when X = Y2(A2)mA3, R2 = alkyl and R3 = H], which show particularly a selective inhibiting effect on a human leukocyte elastase and excellent H2O-soly. and residence in the lung tissue, are prepd. Thus, treatment of BOC-LysCOCMe3)-OH with iso-BuO2CC1 in THF contg. N-methylmorpholine at -15° followed by I (R1 = Me, R2 = Me2CH, R3 = X = H) (prepn. given) gave I $\{R1,R2,R3 = unchanged; X = uncha$ BOC-Lys(OCM33)] which was deprotected with 4N HCl in dioxane, treated with Me3SiNHNHSiMe3 in CH2Cl2, and then condensed with 4-ClC6H4SO2Cl in the presence of Et3N to give I [R1,R2,R3 = unchanged; X = p-ClC6H4SO2-Lys] (II). II in vitro inhibited human purulent sputum elastase and α -chymotrypsin with IC50 of 2.9 \times 10-9 and 4.9 \times 10-6 M and 1690 times selectivity for the elastase.

IT 138006-68-5P 138006-70-9P 138006-71-0P 138006-72-1P 138006-73-2P 138006-75-4P

138006-76-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as elastase inhibitor)

RN 138006-68-5 CAPLUS

CN L-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-70-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-71-0 CAPLUS

CN β -Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-72-1 CAPLUS

CN Butanoic acid, 4-[[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2yl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-73-2 CAPLUS

CN Butanoic acid, 4-[methyl[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2yl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-75-4 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-76-5 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-94-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138006-97-0 CAPLUS

CN Butanoic acid, 4-[methyl[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2yl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-00-8 CAPLUS

CN Butanoic acid, 4-[[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2yl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-03-1 CAPLUS

CN β -Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, l,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-05-3 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138007-09-7 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 84 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:559399 CAPLUS

DN 115:159399

TI Synthesis and properties of some rhodium(I) catalytic complexes with dinitrogen ligands derived from 5-pyrido-1,4-benzodiazepin-4-ones

AU Cudic, Predrag; Klaic, Branimir; Raza, Zlata; Sepac, Dragan; Sunjic, Vitomir

CS "Ruder Boskovic" Inst., Zagreb, 41001, Yugoslavia

SO Tetrahedron (1991), 47(28), 5295-308 CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

AB A series of bidentate nitrogen ligands I (R = H, R1 = H, CH2Ph, R2 = Br; R = Me, R1 = H, CH2Ph, R2 = Br; R = CH2Ph, R1 = H, R2 = Br; R = Me, R1 = R2 = H), and their [Rh(I)(NBD)(N-N)] ClO4 complexes (NBD = norbornadiene, N-N = dinitrogen ligand I) were prepd. Conformational properties and stability of I (R = H, R1 = CH2Ph, R2 = Br) and its catalytic complex were reported. Catalytic activity of complexes of I (R = H, R1 = H, CH2Ph) in hydrogenation of cyclohexene is compared with a complex that contains 2.2'-bipyridyl as the std. ligand. Chiroptical data of chiral ligands I (R = Me, CH2Ph, R1 = H, R2 = Br) and their Rh(I) complexes are reported. IT 136295-73-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of, with trifluoroacetic acid in presence of anisole)

RN 136295-73-3 CAPLUS

CN Carbamic acid, [2-[[4-bromo-2-(2-pyridinylcarbonyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 85 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:536786 CAPLUS

DN 115:136786

TI Preparation of peptide p-pyridazinylanilides as cardiovascular agents.

IN Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie

PA Laboratoires UPSA S. A., Fr.

SO Fr. Demande, 73 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

PT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| | | | | |
| FR 2646853 | A1 | 19901116 | FR 1989-6066 | 19890509 |
| | | | FR 1989-6066 | 19890509 |

OS MARPAT 115:136786

AB The title compds. I [R1 = H, alkyl; R2 = H, alkyl, aralkyl, halo, OH, etc.; R3 = H, alkyl; or R2R3 = CH2(XH2)nCH2; n = 1-4; A = pyrrolidinediyl, etc.; B = CHR4X; R4 = H, alkyl, amino; X = CH2SH, CH2SAc, etc.] and their pharmaceutically acceptable salts, useful as cardiotonics, vasodilators, blood platelet aggregation inhibitors, and angiotensin converting enzyme inhibitors, were prepd. Amidation of Z-Pro-Phe-OH (Z = PhCH2O2C) with pyridazinylaniline QH (prepn. given), the resulting dipeptide amide Z-Pro-Phe-Q deprotected, and then condensed with AcSCH2CHMeCOCl in CH2Cl2 contg. Et3N to give the title compd. AcSCH2CHMeCO-Pro-Phe-Q (II). In an in vitro expt. using guinea pig heart, II at 7.9 x 10-6 M effected 50% of the max. inotropic augmentation.

IT 86800-39-7P 135809-27-7P 135809-29-9P

135809-31-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for peptides as cardiovascular agents)

RN 86800-39-7 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 135809-27-7 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 135809-29-9 CAPLUS

CN Carbamic acid, [1-[(4-methoxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135809-31-3 CAPLUS

CN Carbamic acid, [1-[(4-methoxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 86 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:515098 CAPLUS

DN 115:115098

```
TI
     Preparation of amino acid amides as cholesterol acyltransferase inhibitors
     Chucholowski, Alexander Wilhelm; Creswell, Mark Wallace; Roark, William
IN
     Howard; Sircar, Ila
PA
     Warner-Lambert Co., USA
SO
     Eur. Pat. Appl., 59 pp.
     CODEN: EPXXDW
DТ
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
PΤ
                      A1
                            19910306
                                           EP 1990-116662
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
                                           US 1989-401367 19890831
                                           US 1990-557204
                                                            19900730
     US 5153226
                       Α
                            19921006
                                           US 1990-557204
                                                            19900730
                                           US 1989-401367
                                                            19890831
     AU 9061901
                       A1
                            19910307
                                           AU 1990-61901
                                                            19900828
     AU 640680
                       B2
                            19930902
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
                                                                           Pater Parridal
     DD 297400
                       Α5
                            19920109
                                           DD 1990-343681
                                                            19900828
                                           US 1989-401367
                                                            19890831
     CA 2024300
                       AA
                            19910301
                                           CA 1990-2024300 19900830
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
    NO 9003799
                            19910301
                                           NO 1990-3799
                                                            19900830
                       Α
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
     HU 54628
                       A2
                            19910328
                                           HU 1990-5708
                                                            19900830
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
     JP 03148247
                       A2
                            19910625
                                           JP 1990-226830
                                                            19900830
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
     ZA 9006937
                       Α
                            19920527
                                           ZA 1990-6937
                                                            19900830
                                           US 1989-401367
                                                            19890831
                                           CN 1990-107397
     CN 1050376
                       Α
                            19910403
                                                            19900831
                                           US 1989-401367
                                                            19890831
                                           US 1990-557204
                                                            19900730
OS
    MARPAT 115:115098
AB
    Amino acid amidse RNHCOCR1R2NR3R4 [R, Ar = (substituted) Ph, 1- or
     2-naphthyl; R1 = H, C1-6 alkyl; R2 = H, C1-20 hydrocarbyl,
     4-PhOCH2C6H4CH2, (CH2) 2S(0) nMe, etc.; n = 0-2; or R1CR2 = 3-7 membered
     satd. carbocyclyl; R3 = H, C1-20 hydrocarbonyl, Q, etc.; q = 0-3; r = 0-2;
     s = 2-6; R4 = H, C1-20 hydrocarbyl, SO2R5, etc.; R5 = (C1-4 alkyl)phenyl,
     morpholinyl, C1-20 hydrocarbyl, with provisos], useful also for treatment
     of hypercholesterolemia and atherosclerosis (no data), were prepd. For
     example, BrCH2COBr was added dropwise to a soln. of 2,6-diisopropylaniline
     and Et3N in EtOAc at 0°, and the resulting mixt. was stirred 10 min
     at 0°. Then, (Ph2)CHNH2 and Et3N were added and the mixt. was
    heated 30 min on a stream bath. After standing overnight at room temp.,
     the mixt. was filtered, heated 30 min, filtered again, and concd. to give
     the title compd. I in 50.5% yield. The IC50 of I against cholesterol
     acyltransferase was 0.055 µM.
IT 95922-34-2P 135627-45-1P 135627-49-5P
     135627-50-8P 135627-55-3P 135627-56-4P
    135628-16-9P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
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BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as cholesterol acyltransferase inhibitor)

RN 95922-34-2 CAPLUS

CN Carbamic acid, [2-[(2,6-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

RN 135627-45-1 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135627-49-5 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135627-50-8 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135627-55-3 CAPLUS

CN Carbamic acid, [2-[(2,6-diethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

RN 135627-56-4 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 135628-16-9 CAPLUS

Absolute stereochemistry.

L9 ANSWER 87 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:492947 CAPLUS

DN 115:92947

TI Preparation of N-amidobenzoyl- β -alanines and analogs as fibrinogen antagonists and antitumor agents

IN Alig, Leo; Edenhofer, Albrecht; Mueller, Marcel; Trzeciak, Arnold; Weller, Thomas

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

ΡI

| PATENT | NO. | KIN | | S | | AP | PLICATION | NO. | DATE |
|---------|------|---------|---------|----------|-----|-----|------------|-------|----------|
| EP 372 | | | 1990 | | | EP | 1989-1223 | 96 | 19891209 |
| | | A3 | | | | | | | |
| | | B1 | | | | | | | |
| R: | ΑT, | BE, CH, | DE, ES, | FR, | GB, | GR, | IT, LI, LU | J, NL | , SE |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | A | 1989101 |
| US 5039 | 9805 | A | 1991 | L0813 | | US | 1989-4409 | 49 | 19891124 |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | | 1989-3703 | | |
| | | | | | | CA | 1989-2004 | 127 | 19891129 |
| CA 2004 | 127 | C | 2002 | 20423 | | | | | |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | A | 19891013 |
| ZA 8909 | 210 | Α | 1990 | 0829 | | ZA | 1989-9210 |) | 1989120 |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| IL 925 | 18 | A1 | L 1994 | 1129 | | IL | 1989-9251 | .8 | 19891201 |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | | 1989-3703 | A | 19891011 |
| HU 5306 | 8 | A2 | 1990 | 0928 | | HU | 1989-6350 |) | 19891204 |
| HU 206 | 192 | В | 1992 | 20928 | | | | | |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | A | 19891011 |
| AU 8945 | 865 | A1 | 1990 | 1101 | | AU | 1989-4586 | 55 | 19891204 |
| AU 648 | 751 | B2 | 2 1994 | 0505 | | | | | |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | A | 19891011 |
| AT 1063 | 89 | Ε | 1994 | 0615 | | AT | 1989-1223 | 96 | 19891209 |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | Α | 19891011 |
| | | | | | | EP | 1989-1223 | 96 A | 19891205 |
| ES 2054 | 995 | T3 | 1994 | 0816 | | ES | 1989-1223 | 96 | 19891205 |
| | | | | | | CH | 1988-4543 | A | 19881208 |
| | | | | | | CH | 1989-3703 | A | 19891011 |
| DK 8906 | 153 | | | 0609 | | DK | 1989-6153 | 1 | 19891206 |
| DK 1718 | 88 | B1 | 1997 | 70804 | | | | | |

| | | | | CH | 1988-4543 | Α | 19881208 |
|----|------------|----|----------|----|-------------|---|----------|
| | | | | CH | 1989-3703 | Α | 19891011 |
| N | 8904919 | A | 19900611 | NO | 1989-4919 | | 19891207 |
| | | | | CH | 1988-4543 | Α | 19881208 |
| | | | | CH | 1989-3703 | Α | 19891011 |
| J | 02223543 | A2 | 19900905 | JP | 1989-320391 | | 19891208 |
| JI | 9 06010179 | B4 | 19940209 | | | | |
| | | | | CH | 1988-4543 | Α | 19881208 |
| | | | | CH | 1989-3703 | Α | 19891011 |

OS MARPAT 115:92947

AB The title compds. [I; A = R1CONH(CH2)i; G = (CH2)jCONHCHR1CH2CO2H; R1 = CHRa(CH2)nNHR6, T1C6H4CH2NHRc, TmC6H4(NH)pC(:NH)NH2, aminomethylcyclohexyl, etc.; Ra = H, NH2, alkoxycarbonylamino, NHCO2CH2Ph, NHCOCH2NYCH2CH2NHY; R6 = H, amidino, C(:NH)(CH2)hMe; Rc = H, amidino; R2 = H, me, OMe, NO2, halo, etc.; R3 = H, CONH2, CORf, CO2Rg; Rf= N-linked amino acid residue; Rg = H, alkyl; T = CH2, CH:CH, CHRdCH2; Rd = groups cited for Ra, NHBz, NHCOC6H4N3, arylsulfonylamino; Y = H, CO2CMe3, CO2CH2Ph; i, j, l, m, p = 0,1; k = 0-3; n = 1-6] were prepd. Thus, RCl [R = 4-[H2N(HN:)C]C6H4CO] was condensed with 3-(R4HN)C6H4CONHCH2CH2CO2R5 (II; R4 = H, R5 = CH2Ph) to give, after hydrogenolysis, II (R4 = R, R5 = H) which had IC50 of 10-4 μM against fibrinogen binding to glycoprotein IIb/IIIa.

IT 135321-40-3P 135321-41-4P 135321-42-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 135321-40-3 CAPLUS

CN β-Alanine, N-[3-[[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]p henyl]-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 135321-41-4 CAPLUS

CN β-Alanine, N-[3-[[3-(4-cyanophenyl)-1-oxo-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester
(9CI) (CA INDEX NAME)

RN 135321-42-5 CAPLUS

CN β-Alanine, N-[3-[[3-[4-(aminothioxomethyl)phenyl]-1-oxo-2[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester
(9CI) (CA INDEX NAME)

L9 ANSWER 88 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:492868 CAPLUS

DN 115:92868

TI Amino acid amides of 2-[(2-aminobenzyl)sulfinyl]benzimidazole as acid-stable prodrugs of potential inhibitors of H+/K+ ATPase

AU Hirai, K.; Koike, H.; Ishiba, T.; Ueda, S.; Makino, I.; Yamada, H.; Ichihashi, T.; Mizushima, Y.; Ishikawa, M.; et al.

CS Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan

SO European Journal of Medicinal Chemistry (1991), 26(2), 143-58 CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

A series of amino acid amides of 2-[(2-aminobenzyl)sulfinyl]benzimidazole I (R1 = H, Me, MeO, CF3, F; R2 = H, Me; R3 = H, H-Gly, H-Ala, H-Val, H-Leu, H-Phe, H-Lys; R4 = H, Me, OMe, CO2Me, CF3, Et; n = 0, 1) were prepd. and found to possess gastric antisecretory activity on oral administration. (Glycylaminobenzyl) sulfinyl compd. I (R1 = R2 = R4 = H, R3 = H-Gly, n = 1) (II), stable in artificial gastric juice (pH 1.2), was given orally to dogs. It was absorbed efficiently and converted into aniline deriv. I (R1-R4 = H, n = 1) (III), which showed a very high plasma concn. II was hydrolyzed by the aminopeptidase present in plasma or the brush border fraction of the small intestine to release the terminal glycine. I showed good activity in in vitro H+/K+-ATPase inhibition as well as in the inhibition of histamine stimulated acid secretion in isolated bullfrog gastric mucosa. Although I showed no or weak gastric antisecretory activity in rat by id administration, they were active when administered i.p. Therefore, these amino acid amides are acid stable prodrugs of proton pump inhibiting o-aniline derivs. The mechanism of H+/K+-ATPase inhibition III was also examd.

IT 111881-38-0P 135430-20-5P 135430-21-6P

135430-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of, with hydrogen bromide)

RN 111881-38-0 CAPLUS

CN Carbamic acid, [2-[[2-[(1H-benzimidazol-2-ylthio)methyl]phenyl]amino]-2-

oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135430-20-5 CAPLUS

CN Carbamic acid, [2-[[2-(1H-benzimidazol-2-ylthio)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135430-21-6 CAPLUS

CN Carbamic acid, [2-[[2-[(5-methyl-1H-benzimidazol-2-yl)thio]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 135430-22-7 CAPLUS

CN Carbamic acid, [2-[[2-[(5-methoxy-1H-benzimidazol-2-yl)thio]phenyl]amino]2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 111881-69-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., chlorination, and substitution of, with mercaptobenzimidazole)

RN 111881-69-7 CAPLUS

CN Carbamic acid, [2-[[2-(hydroxymethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 89 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

1991:450298 CAPLUS

115:50298

Preparation of 2-naphthylamides and 4-methoxy-2-naphthylamides of TI N-acyl-L-amino acids by using papain

IN Cerovsky, Vaclav

PA Czech.

so Czech., 5 pp.

CODEN: CZXXA9

Patent Czech LA

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | CS 269070 | B1 | 19900411 | CS 1987-6663 | 19870914 |
| | | | | CS 1987-6663 | 19870914 |

AΒ The title compds., chromogenic and fluorogenic substrates of proteolytic enzymes useful, e.g., in diagnosis and monitoring pathol. states, were prepd. by treating mixts. of N-acylamino acids and 2-naphthylamine or 4-methoxy-2-naphthylamine with papain in H2O-solns. buffered to 4.1-5.5, preferably 4.8, over 2-48 h at 25-45°. Thus, 15 mg EDTA (chelating agent) and 50 mg cystein hydrochloride were added to a soln. of 1.33 g $\,$

benzyloxycarbonylleucine and 1.1 g 2-naphthylamine in 17 mL DMF and 33 mL 0.2M acetate buffer pH 4.8. The mixt. was incubated with 200 mg papain for 24 h at 38° to give 1.72, benzyloxycarbonylleucine 2-naphthylamide. Eight title compds. were prepd.

IT 16876-73-6P, Benzyloxycarbonylphenylalanine 2-naphthylamide 134870-51-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, by amidation of phenylalanine deriv. in presence of papain)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 134870-51-2 CAPLUS

CN Carbamic acid, [2-[(4-methoxy-2-naphthalenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 90 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN $Full\ Text$

AN 1991:429895 CAPLUS

DN 115:29895

TI Reinvestigation of the phosphazo method and synthesis of N-(tert-butoxycarbonyl)-L-arginine p-nitroanilide and a chromogenic enzyme substrate for the factor Xa

AU Oyamada, Hidekazu; Saito, Takashi; Inaba, Shinsaku; Ueki, Masaaki

CS Fac. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan

SO Bulletin of the Chemical Society of Japan (1991), 64(4), 1422-4 CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

LA English

OS CASREACT 115:29895

AB Reactions conditions for the phosphazo method were reinvestigated in order to apply this method to the synthesis of p-nitroanilides of tert-butoxycarbonyl (Boc) and benzyloxycarbonyl amino acids. Thus,

condensation of 4-O2NC6H4NH2 with PCl3, followed by Boc-Arg-OH gave 75% Boc-Arg-NH6H4NO2-4 (I). I was used in the prepn. of the title enzyme substrate Bz-Ile-Glu(OMe)-Gly-Arg-NHC6H4NO2-4.

IT 19647-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 91 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:61705 CAPLUS

DN 114:61705

TI Preparation of 2-(disubstituted amino)acetanilide herbicides

IN Wee, Siok Hui H.

PA ICI Americas, Inc., USA

SO U.S., 13 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| PI | US 4944796 | A | 19900731 | US 1988-270573 | 19881114 |
| | | | | US 1988-270573 | 19881114 |

OS CASREACT 114:61705; MARPAT 114:61705

AB Title compds. I (R = alkyl, Ph; R1 = amino, alkyl, allyl, substituted carbonyl or carbamyl, alkythiothiocarbonyl, mono-haloanilinocarbonylmethylene, alkoxycarbonylmethylene, carboxymethylene; R2 = H, alkyl, Ph; X = halo, haloalkyl; n = 1-3) are prepd. To a CH2Cl2 soln. of 2,5-difluorosarcosineanilide and pyridine was added (F3CCO)2O and the mixt. was stirred for 2 h at room temp. to give I (R = Me; R1 = F3CCO; R2 = H; Xn = 2,5-F2). I (R = Me; R1 = EtSCO; R2 = H; Xn = 2,5-F2) at 4.48 kg/ha pre- and postemergence gave 100% control of Brassica kaber, Abutilon theophrasti, Ipomoea purpurea, and av. broadleaf.

IT 131655-09-9P 131655-13-5P 131655-19-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

RN 131655-09-9 CAPLUS

CN Carbamic acid, [2-[(2,5-difluorophenyl)amino]-2-oxo-1-phenylethyl]methyl-,
 ethyl ester (9CI) (CA INDEX NAME)

RN 131655-13-5 CAPLUS

RN 131655-19-1 CAPLUS

CN Carbamic acid, [2-[(2,5-difluorophenyl)amino]-2-oxo-1-phenylethyl]methyl-,
 methyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 92 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:612692 CAPLUS

DN 113:212692

TI Amino acid p-(dimethylsulfonio)phenyl active ester salts for preparation of peptides and amides

IN Takashita, Katsushige

PA Sanshin Chemical Industry Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|-----------|----------|-----------------|----------|
| | | | | | |
| PI | JP 02117625 | A2 | 19900502 | JP 1988-272756 | 19881027 |
| | JP 08005812 | B4 | 19960124 | | |
| | | | | JP 1988-272756 | 19881027 |

OS MARPAT 113:212692

AB RANBD (R = N-protecting group; A = amino acid or peptide residue; B, D = H, org. radical; or NBD = heterocyclyl) are prepd. by reaction of p-(dimethylsulfonio)phenyl active esters (I) with BNHD in H2O/water-miscible org. solvents. Esters I [R = PhCH2O2C (Z), A = Phe] (0.1) in MeCN was added to 0.1 mol glycine and Et3N is H2O with stirring at room temp. to give 91.4% Z-Phe-Gly-OH, vs. 65.2% with H2O only being

the solvent. Also prepd. were 4 addn. peptides. Other solvents used were EtOH, DMF, N-methylpyrrolidone, and dioxane.

IT 130073-73-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 130073-73-3 CAPLUS

CN Benzoic acid, 4-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]
amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 93 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:455051 CAPLUS

DN 113:55051

TI Preparation of fluorogenic proteinase substrates coupled to a polymer matrix

IN Brynes, Paul J.; Andrade-Gordon, Patricia

PA State University of New York, Albany, USA

SO U.S., 23 pp. Cont.-in-part of U.S. Ser. No. 739,746, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| PI | US 4897444 | A | 19900130 | US 1985-740706 | 19850603 |
| | | | | US 1985-739746 | 19850531 |

AB Fluorogenic proteinase substrates with the fluorescent moiety attached to a polymer matrix for use in the quant. detection of proteinase activity in individual cells are prepd. Immobilization of the fluorescent grop prevents diffusion and allows accurate in situ detn. of specific proteases e.g. of elastase in the study of inflammatory diseases. A series of substrates with a di-, tri-, or tetrapeptide attached to 6-aminoquinoline, 3-aminoquinoline, 4-dimethylaminomethyl-6-aminocoumarin, or 2-dimethylaminomethyl-6-aminonaphthalene as the fluorescent group were prepd. The 6-aminoquinoline compds. were immobilized on polyacrylamide gels using a spacer arm and the gels used as carrier for the growth of human embryonic lung fibroblasts and monocytes. Elastase released from monocytes was detectable by fluorescence microscopy.

IT 90606-02-3P 128140-21-6P

RL: PREP (Preparation)

(prepn. and reactions of in synthesis of fluorogenic proteinase substrates immobilized on polymer matrixes)

RN 90606-02-3 CAPLUS

CN Quinolinium, 1-methyl-6-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, iodide, (S)- (9CI) (CA INDEX NAME)

DT Journal

LA English

AB An elastase-specific fluorogenic substrate, 6-(N-carbobenzoxy-L-alanyl-L-alanyl-L-alanylamido)quinoline, was synthesized and immobilized via the fluorophoric group to an alkylatable deriv. of polyacrylamide microspheres. Upon hydrolysis by elastase, the proteolytic product of the reaction fluoresced with a characteristic greenish-yellow light corresponding to the presence of the 1-alkyl-6-aminoquinolinium ion. This method was applied to detect the elastase activity released from monocytes grown on the microspheres. Because the fluorescent product was covalently attached to the microsphere and could not diffuse away from the site of reaction, it was possible to identify individual cells releasing the proteinase mols. These expts. demonstrated that covalently immobilized fluorogenic substrates can be used for direct visualization and quantitation of proteinase activity from individual cells in culture.

IT 80115-53-3D, reaction products with polyacrylamide

bromoacetamidocaproyl aminoethyl deriv.

RL: BIOL (Biological study)

(elastase of human detn. with)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 96 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:119371 CAPLUS

DN 112:119371

TI Synthesis and antifilarial activity of benzimidazole-2-carbamates carrying an amino acid side chain at the 5(6)-position

AU Divakar, K. J.; Rao, M. K.; Shrivastava, R.; Reddy, A. B.

CS Res. Cent., Hindustan Ciba-Geigy Ltd., Bombay, 400 063, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(3), 252-60 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 112:119371

AB Several benzimidazole-2-carbamates, e.g. I (X = Gly, Ala, Phe, Val, D-Val, Leu, Ile, Glu, Pro), carrying an amino acid side chain at the 5(6)-position have been synthesized and their antifilarial activity evaluated against Litomosoides carinii in Mastomys natalensis. I (X = Val) is the most active compd.

IT 125705-65-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and catalytic hydrogenolysis of)

RN 125705-65-9 CAPLUS

CN Carbamic acid, [2-[[2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl]amino]2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

IT 125705-47-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and catalytic redn. of)

RN 125705-47-7 CAPLUS

CN Carbamic acid, [2-[(4-amino-3-nitrophenyl)amino]-2-oxo-1(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 125705-56-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclocondensation of, with methylbis(carbomethoxy)pseudothiourea)

RN 125705-56-8 CAPLUS

CN Carbamic acid, [2-[(3,4-diaminophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 97 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1990:21270 CAPLUS
- DN 112:21270
- TI Activation of carboxylic acids by pyrocarbonates. Application of di-tert-butyl pyrocarbonate as condensing reagent in the synthesis of 6-quinolylamides of protected amino acids
- AU Pozdnev, V. F.
- CS Inst. Biol. Med. Chem., Moscow, USSR
- SO Bioorganicheskaya Khimiya (1989), 15(4), 471-7 CODEN: BIKHD7; ISSN: 0132-3423
- DT Journal
- LA Russian
- OS CASREACT 112:21270
- AB Protected amino acids were amidated with 6-quinolinamine using di-tert-Bu pyrocarbonate as condensing agent. The quinolyl amides are intermediates in the synthesis of fluorogenic substrates of peptidases.

IT 80115-53-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

- RN 80115-53-3 CAPLUS
- CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 98 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1989:574647 CAPLUS
- DN 111:174647
- TI Amino acids and peptides. XXII. Synthesis of substrates and inhibitors of human leukocyte cathepsin G
- AU Okada, Yoshio; Tsuda, Yuko; Teno, Naoki; Nagamatsu, Yoko; Okamoto, Utako
- CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan
- SO Chemical Pharmaceutical Bulletin (1988), 36(12), 4794-801 CODEN: CPBTAL; ISSN: 0009-2363
- DT Journal
- LA English
- OS CASREACT 111:174647
- AB Suc-Tyr-Leu-Phe-pNA (pNA = p-nitroanilide) is a good substrate for human leukocyte cathepsin G and α-chymotrypsin, but not for human leukocyte elastase (HLE). However, Suc-Tyr-D-Leu-D-Phe-pNA inhibited not only cathepsin G and α-chymotrypsin, but also HLE (Ki values, 1.1, 0.94 and 0.16 mM, resp.). The p-nitroanilide moiety of Suc-Tyr-Leu-Phe-pNA and Suc-Tyr-D-Leu-D-Phe-pNA was substituted with p-benzoylaniline (BZA), p-acetylaniline, 4-benzylpiperidine, and 4-methylpiperidine (Pipe). The relationship between the structure and inhibitory effect on HLE, cathepsin G, and α-chymotrypsin was

studied. Suc-Tyr-Leu-Phe-BZA inhibited HLE, cathepsin G, and α -chymotrypsin with Ki values of 0.027, 0.1 and 0.01 mM, resp.

IT 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent) (deblocking of, with hydrogen bromide)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 99 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

1989:423950 CAPLUS AN

DN 111:23950

TI Amides of amino acids and peptides with 7-amino-4H-3,1-benzoxazin-4-ones as serine protease inhibitors

IN Kokubo, Masayuki; Fujii, Katsuhiko; Oshida, Junichi; Tomimori, Koji; Uejima, Yasuhide

PA Teijin Ltd., Japan

so PCT Int. Appl., 57 pp. CODEN: PIXXD2

DT Patent

LA Japanese

| AN.CN' | apanese
T 1 | | | | | | |
|--------|----------------|---------|---------|----------|-----|-----------------|----------|
| P | ATENT NO |). | KIND | DATE | | APPLICATION NO. | DATE |
| I W | 0 880979 | 0 | A1 | 19881215 | | WO 1988-JP556 | 19880609 |
| | | | JP, KR, | | | | |
| | RW: A | T, BE, | CH, DE, | FR, GB, | IT, | NL, SE | |
| | | | | | | JP 1987-142364 | 19870609 |
| | | | | | | JP 1988-102404 | 19880427 |
| C | A 130955 | 6 | A1 | 19921027 | | CA 1988-568827 | 19880607 |
| | | | | | | JP 1987-142364 | 19870609 |
| | | | | | | JP 1988-102404 | 19880427 |
| A | U 881937 | 77 | Al | 19890104 | | AU 1988-19377 | 19880609 |
| Αì | U 616420 |) | B2 | 19911031 | | | |
| | | | | | | JP 1987-142364 | 19870609 |
| | | | | | | JP 1988-102404 | 19880427 |
| | | | | | | WO 1988-JP556 | 19880609 |
| E | P 317645 | 5 | A1 | 19890531 | | EP 1988-905224 | 19880609 |
| E | P 317645 | ; | B1 | 19930915 | | | |
| | R: A | AT, BE, | CH, DE, | FR, GB, | IT, | LI, NL, SE | |
| | | | | | | JP 1987-142364 | 19870609 |
| | | | | | | JP 1988-102404 | 19880427 |
| J. | P 050003 | 91 | B4 | 19930105 | | JP 1988-504886 | 19880609 |
| | | | | | | JP 1987-142364 | 19870609 |
| | | | | | | JP 1988-102404 | 19880427 |
| | | | | | | WO 1988-JP556 | 19880609 |
| A' | T 94536 | | E | 19931015 | | AT 1988-905224 | 19880609 |
| | | | | | | | |

| | | | | JP | 1987-142364 | 19870609 |
|----|---------|---|----------|----|-------------|----------|
| | | | | JP | 1988-102404 | 19880427 |
| | | | | ΕP | 1988-905224 | 19880609 |
| | | | | WO | 1988-JP556 | 19880609 |
| US | 4980287 | A | 19901225 | US | 1989-340097 | 19890203 |
| | | | | JP | 1987-142364 | 19870609 |
| | | | | JP | 1988-102404 | 19880427 |
| | | | | WO | 1988-JP556 | 19880609 |
| DK | 8900574 | Α | 19890208 | DK | 1989-574 | 19890208 |
| | | | | JΡ | 1987-142364 | 19870609 |
| | | | | JΡ | 1988-102404 | 19880427 |
| | | | | WO | 1988-JP556 | 19880609 |

OS MARPAT 111:23950

AB Title compds. I (R = H, alkyl; A = residue of amino acid or peptide contg. 2 or 3 amino acid residues; X = alkyl, fluoroalkyl, R10, R1NH; R1 = alkyl; Y = amino-protecting group) are prepd. A soln. of N-carbobenzoxy-L-proline and N-methylmorpholine in THF was successively treated with ClCO2CH2CHMe2 and a soln. of 4-amino-N-trifluoroacetylanthranilic acid and N-methylmorpholine in THF to give 4-(N-carbobenzoxy-L-prolyl)amino-2-trifluoroacetylanthranilic acid, which was stirred with DCC in EtOAc to afford I (YA = N-carbobenzoxy-L-prolyl; R = H; X = CF3) (II). II showed an IC50 of 2.2 × 10-6 M against human sputum elastase with selectivity over bovine chymotrypsin of 7.7, vs. 6.2 × 10-6 M and 0.10 selectivity for I (R = YA = H; X = CF3).

IT 121285-18-5P 121285-22-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of benzoxazinone serine protease inhibitors)

RN 121285-18-5 CAPLUS

CN Benzoic acid, 2-methyl-6-[[(1-methylethoxy)carbonyl]amino]-4-[[1-oxo-3phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 121285-22-1 CAPLUS

CN Benzoic acid, 2-(acetylamino)-4-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

IT 121284-98-8P 121285-01-6P 121285-08-3P

121285-09-4P 121285-10-7P 121285-11-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as serine protease inhibitor)

RN 121284-98-8 CAPLUS

CN Carbamic acid, [2-[[2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 121285-01-6 CAPLUS

CN Carbamic acid, [2-[[2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121285-08-3 CAPLUS

CN Carbamic acid, [2-[[5-methyl-2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121285-09-4 CAPLUS

CN Carbamic acid, [2-[[2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121285-10-7 CAPLUS

CN Carbamic acid, [2-[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121285-11-8 CAPLUS

CN Carbamic acid, [2-[(2-methyl-4-oxo-4H-3,1-benzoxazin-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 100 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1989:154822 CAPLUS

DN 110:154822

TI Synthesis and antiviral activity of 6-amino- and 6-dimethylamino-9-(aminoacylamidobenzyl)purines

AU Kelley, James L.; Miller, Carl A.; Selway, John W. T.; Schaeffer, Howard J.

CS Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA

SO European Journal of Medicinal Chemistry (1988), 23(4), 319-23 CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

OS CASREACT 110:154822

AB Title compds. I (R = H, Me; R1 = o-, n-, p-H-Gly-NH, H-Leu-NH, H-Phe-NH) were prepd. from the nitrobenzylpurines. Only I (R = Me, R1 = m-H-Phe-NH) and the intermediate I (R = Me, R1 = m - NH2) had activity against rhinovirus 1B.

IT 119805-62-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenolysis of)

RN 119805-62-8 CAPLUS

CN Carbamic acid, [2-[[4-[(6-amino-9H-purin-9-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT 119805-80-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and virucidal activity of)

RN 119805-80-0 CAPLUS

CN Carbamic acid, [2-[[3-[(6-amino-9H-purin-9-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT 119805-54-8P 119805-59-3P 119805-69-5P

119805-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., hydrogenolysis, and virucidal activity of)

RN 119805-54-8 CAPLUS

Carbamic acid, [2-[[3-[[6-(dimethylamino)-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 119805-59-3 CAPLUS

CN Carbamic acid, [2-[[3-[(6-amino-9H-purin-9-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 119805-69-5 CAPLUS

CN Carbamic acid, [2-[[4-[[6-(dimethylamino)-9H-purin-9-yl]methyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 119805-70-8 CAPLUS

CN Carbamic acid, [2-[[2-[[6-(dimethylamino)-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester

(9CI) (CA INDEX NAME)

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L9 ANSWER 101 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text
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AN 1988:434355 CAPLUS

DN 109:34355

TI β -Naphthylamides of guanidinophenyl amino acids as substrates of aminopeptidases

AU Tsunematsu, Hideaki; Aratani, Hidekazu; Mizusaki, Koichi; Hatanaka, Yoshihiro; Kawata, Shuji; Yamamoto, Magobei; Makisumi, Satoru

CS Fac. Pharm. Sci., Fukuoka Univ., Fukuoka, 814-01, Japan

SO Chemical Pharmaceutical Bulletin (1988), 36(3), 1205-9 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB β -Naphthylamides of p-guanidino-L-phenylalanine (GPA) and p-guanidino-DL-phenylglycine (GPG) were synthesized and tested as substrates of bovine leukocyte aminopeptidase (BL-APase) and porcine liver aminopeptidase B (PL-APase B) in comparison with L-arginine $\beta\text{-naphthylamide (Arg-$NA)}$. BL-APase-catalyzed hydrolysis of GPA- β NA proceeded as fast as that of Arg- β NA, while the rate of hydrolysis of $GPG-\beta NA$ was much slower. The specificity const. (Vmax/Km) for the hydrolysis of GPA- β NA by BL-APase was somewhat larger than that for the hydrolysis of $Arg-\beta NA$. The benzene ring in the side chain of GPA-βNA is considered to contribute to the binding of this substrate to the specificity site of this enzyme, based on a comparison of the Km values for the 2 β -naphthylamide substrates. Substrate inhibition was obsd. with BL-APase in the hydrolysis of GPA-βNA in the substrate concn. range higher than ~ 0.1 mM. Neither GPA-βNA nor GPG-βNA was hydrolyzed by PL-APase B and they inhibited the hydrolysis of $Arg-\beta NA$ by this enzyme. $\ensuremath{\mathsf{GPA-\beta NA}}$ is expected to be a useful substrate in the study of the binding and catalytic specificities of aminopeptidases.

IT 99795-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deprotection of)

RN 99795-08-1 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-(2naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

279

HC1

IT 115087-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 115087-96-2 CAPLUS

CN Carbamic acid, [1-[4-[(aminoiminomethyl)amino]phenyl]-2-(2-naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

L9 ANSWER 102 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1988:94889 CAPLUS
- DN 108:94889
- TI Amino acids and peptides. Part CCI. Papain-catalyzed synthesis of 2-naphthylamides of N-acyl amino acids and dipeptides
- AU Cerovsky, Vaclav; Saks, T.; Josi, Karel
- CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.
- SO Collection of Czechoslovak Chemical Communications (1987), 52(9), 2309-16 CODEN: CCCCAK; ISSN: 0366-547X
- DT Journal
- LA English
- OS CASREACT 108:94889
- AB 2-Naphthylamides I [R = PhCH2O2C (Z), X = Gly, Ala, Phe, Glu, Cys(CH2Ph), Leu; R = Me3CO2C, X = Phe, Tyr] were prepd. by the papain-catalyzed condensation of R-X-OH with 2-naphthylamine. Z-Cys(CH2Ph)-NHR1 [R1 = C6H4R2 (R2 = H, 4-Me, 3-Me, 2-Me, 4-Cl, 4-Br, 4-NHAC, 4-CO2Me, 4-OH), 1-naphthyl, CH2Ph] and Z-Ala-NHR1 = (R1 = Ph, C6H4Me-4, C6H4-4, 1-naphthyl) were prepd. similarly. Dipeptides II (X1-X2 = Gly-Phe, Ser-Tyr) were prepd. by papain-catalyzed peptide coupling reactions.

IT 16876-73-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by papain-catalyzed amidation)

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RN
    16876-73-6 CAPLUS
    Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-,
    phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.
L9
    ANSWER 103 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN
   1988:21895 CAPLUS
DN 108:21895
   Preparation of benzimidazole derivatives as ulcer inhibitors
TI
IN Hirai, Kentaro; Mizushima, Takao
PA
    Shionogi and Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 24 pp.
    CODEN: JKXXAF
DT
    Patent
LA
    Japanese
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
    _____
                          -----
                                         PΙ
    JP 62185078 A2 19870813
                                         JP 1986-26441 19860207
                                                         19860207
                                         JP 1986-26441
    The title compds. (I) [m = 0, 1; n = 1-3; R = H, alkyl, alkanoyl,
    (un) substituted aminoacyl, haloacetyl, etc.; R1 = H, alkoxy,
    alkoxycarbonyl, CF3; R2 = H, alkyl; R3, R4 = H, alkyl, alkoxy,
    alkoxycarbonyl, CF3; X = CH, N], useful as ulcer inhibitors, are prepd. A
    mixt. of benzyl alc. II (R5 = OH) and SOC12 in benzene was refluxed for 1
    h and the resulting II (R5 = C1) stirred with 2-mercaptobenzimidazole in
    aq. EtOH contg. NaOH for 2 h to give 91.8% I (m = 0, n = 1, R1-R4 = H, R = 1
    CO2CH2Ph, X = CH) (III). I (m = 1, n = 1, R1-R4 = H, R = CO2CH2Ph, X = CO2CH2Ph
    CH), obtained by oxidn. of II with m-ClC6H4C(O)OOH, at 3 mg/kg, i.p.
    reduced 68% stomach acid release in rats. Antiulcer tablets (150 mg each)
    were prepd. contg. III 25, lactose 100, wheat starch 15, gelatin 5, and Mg
    stearate 5 mg.
IT 111881-69-7P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and sulfenylation of, by mercaptobenzimidazole derivs.)
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Carbamic acid, [2-[[2-(hydroxymethyl)phenyl]amino]-2-oxo-1-

(phenylmethyl) ethyl] -, phenylmethyl ester, (S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

111881-69-7 CAPLUS

RN

IT 111881-38-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as ulcer inhibitor)

RN 111881-38-0 CAPLUS

CN Carbamic acid, [2-[[2-[(1H-benzimidazol-2-ylthio)methyl]phenyl]amino]-2oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 104 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1987:120192 CAPLUS

DN 106:120192

TI Fluorogenic substrates for chymotrypsin with new fluorescent markers

AU Kokotos, George; Tzougraki, Chrysa

CS Lab. Org. Chem., Univ. Athens, Athens, Greece

SO International Journal of Peptide Protein Research (1986), 28(2), 186-91 CODEN: IJPPC3; ISSN: 0367-8377

DT Journal

LA English

OS CASREACT 106:120192

AB Coumarins I (Glt = glutaryl) and II (R = H, NHAc) and quinolinone III were prepd. as fluorogenic substrates for chymotrypsin. The fluorescence properties of the above compds. and their corresponding free amines were examd. III is a suitable substrate for chymotrypsin detn.; the enzymic release of fluorophore aminoquinolinone IV was measured at λex = 360 nm and λem = 435 nm. The detection limit of chymotrypsin was 10 ng/mL when III was used as the substrate.

IT 97126-28-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenolysis of)

RN 97126-28-8 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 97126-29-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 97126-29-9 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-8-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 105 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:588568 CAPLUS

DN 105:188568

TI A new serine protease which preferentially recognizes p-guanidino-Lphenylalanyl residue in ascitic plasma from Ehrlich ascites tumor-bearing mice

AU Tsunematsu, Hideaki; Mizusaki, Koichi; Hantanaka, Yoshihiro; Nishi, Akihiro; Makisumi, Satora; Okamoto, Koji; Tsunematsu, Yoshihiro

CS Fac. Pharm. Sci., Fukuoka Univ., Japan

SO Ensho (1986), 6(2), 148-52 CODEN: ENSHEE; ISSN: 0389-4290

DT Journal

LA Japanese

An answ enzyme which hydrolyzes anilide substrates of p-guanidino-L-phenylalanine in preference to those of arginine was found in the ascitic plasma from Ehrlich ascites tumor-bearing mice. The activity of this enzyme on Nα-benzyloxycarbonyl-p-guanidino-L-phenylalanine p-nitroanilide was strongly inhibited by diisopropylfluorophosphate and phenylmethanesulfonyl fluoride but not by sulfhydryl-reactive reagents and metal chelating agents. Peptide substrates contg. p-guanidino-L-phenylalanine were hydrolyzed by this enzyme much faster than those contg. arginine. Apparently, this enzyme is a different type of serine protease than trypsin and thrombin. This enzyme was also found in the human gastric and colon cancer cells and their surrounding ascitic plasmas.

IT 95603-03-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(hydrolysis of, by serine protease of blood plasma of Ehrlich ascites tumor-bearing host)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 106 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:420760 CAPLUS

DN 105:20760

TI Enzyme assay by chemiluminescent (CL) leaving group

AU Branchini, Bruce R.; Salituro, Gino M.

CS Biomed. Res. Inst., Univ. Wisconsin-Parkside, Kenosha, WI, USA

SO Biolumin. Chemilumin.: Instrum. Appl. (1985), Volume 2, 25-39. Editor(s): Van Dyke, Knox. Publisher: CRC, Boca Raton, Fla. CODEN: 54MPAS

DT Conference

LA English

AB The synthesis and immobilization of synthetic, fluorescent peptide substrate derivs. [protected (tert-butoxylcarbonyl-, benzloxycarbonyl-, and succinyl-) peptide isoluminal derivs.] of serine proteinases (chymotrypsin, thrombin, and trypsin) and the kinetic properties and selectivity of these substrates in enzyme fluorescent assays are systematically compared.

IT 101970-13-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 101970-13-2 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[(1,2,3,4-tetrahydro-1,4-dioxo-6-phthalazinyl)amino]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 107 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:221198 CAPLUS

DN 104:221198

TI Inhibition of α -chymotrypsin by Suc-L-Tyr-D-Leu-D-Phe-pNA, a stereoisomer of a specific substrate

AU Okada, Yoshio; Tsuda, Yuko; Teno, Naoki; Nagamatsu, Yoko; Okamoto, Utako; Nishi, Norio

CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan

SO Chemical Pharmaceutical Bulletin (1985), 33(12), 5301-9 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB Stereoisomers of specific chromogenic substrates for various enzymes were synthesized by a conventional soln. method. Among them,
Suc-L-Tyr-D-Leu-D-Phe-p-nitroanilide (where Suc is succinyl) was found to be an effective and specific inhibitor of chymotrypsin. However,
Suc-L-Tyr-D-Leu-D-Phe-4-methylpiperidine did not show any inhibitory effect on chymotrypsin. The role of the p-nitroanilide moiety of the above stereoisomer was investigated, and it was found that the p-nitroanilide moiety participated in binding with some part of the enzyme, resulting in the manifestation of the inhibitory activity.

IT 14235-15-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 108 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:207114 CAPLUS

DN 104:207114

TI Possible antimalarial agents: syntheses of 6-methoxy-8-substituted-aminoquinolines

AU Bhat, Balkrishen; Bhaduri, A. P.

CS Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, 226 001, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(4), 419-23 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 104:207114

AB Syntheses of 8-(2-amino-2-alkyl- or aryl-ethylamino)-6-methoxyquinolines, 8-[2-(β -amino- β -alkyl- or arylethylamino)-2-methylethylamino]-6-

methoxyquinolines e.g. I (X = H2) 8-(2-hydroxy-3-substituted-phenoxypropylamino)-6-methoxyquinolines, e.g. II and 8-[N-(2-hydroxy-3-phenoxypropyl)-N-methylamino]-6-methoxyquinoline are described. Thus, 8-amino-6-methoxyquinoline was treated with (o-nitrophenyl)oxirane to give 64% II.

IT 102096-28-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

- RN 102096-28-6 CAPLUS
- CN Carbamic acid, [2-[(6-methoxy-8-quinoliny1)amino]-2-oxo-1-(phenylmethy1)ethy1]-, phenylmethy1 ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 109 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1986:64676 CAPLUS
- DN 104:64676
- TI Interactions of derivatives of guanidinophenylalanine and guanidinophenylglycine with Streptomyces griseus trypsin
- AU Hatanaka, Yoshihiro; Tsunematsu, Hideaki; Mizusaki, Koichi; Makisumi, Satoru
- CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
- SO Biochimica et Biophysica Acta (1985), 832(3), 274-9 CODEN: BBACAQ; ISSN: 0006-3002
- DT Journal
- LA English
- AB The rates of hydrolysis of ester, amide, and anilide substrates contg. p-guanidino-L-phenylalanine (GPA) by S. griseus trypsin (I) were compared with those of arginine (Arg)-contg. substrates. The specificity const. (kcat/Km, where kcat is the catalytic const.) for the hydrolysis of GPA substrates by I was 2- to 3-fold lower than that for arginine substrates. The kcat and Km values for the hydrolysis of Na-benzoyl-p-guanidino-L-phenylalanine Et ester (Bz-GPA-OEt) by I were the same order of magnitude as those of $N\alpha$ -benzoyl-L-arginine Et ester (Bz-Arg-OEt), although both values for the former when hydrolyzed by bovine trypsin (II) were higher by 1 order of magnitude than those for the latter. The specificity const. for the hydrolysis of Bz-GPA-OEt by I was much higher than that for $N\alpha$ -benzoyl-p-guanidino-L-phenylglycine Et ester (Bz-GPG-OEt) . As with the kinetic behavior of II, low values in Km and kcat were obsd. for the hydrolysis of amide and anilide substrates of GPA by I compared with those of Arg-contg. substrates. The rates of hydrolysis of GPA and Arg-contg. substrates by I were approx. 2- to 62-fold higher than those obtained by II. Substrate activation was obsd. with I in the hydrolysis of Bz-GPA-OEt as well as Bz-Arq-OEt, whereas substrate inhibition was obsd. with 3 kinds of No-protected anilide substrates of GPA and Arg. In contrast, no activation by the amide substrate of GPA could be detected with this enzyme.

IT 95603-03-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Streptomyces griseus and bovine trypsins, kinetics
of)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 110 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:47762 CAPLUS

DN 104:47762

- TI A new β -naphthylamide substrate of p-guanidino-L-phenylalanine for trypsin and related enzymes
- AU Tsunematsu, Hideaki; Ando, Kumi; Hatanaka, Yoshihiro; Mizusaki, Koichi; Isobe, Ryuichi; Makisumi, Satoru
- CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
- SO Journal of Biochemistry (Tokyo, Japan) (1985), 98(6), 1597-602 CODEN: JOBIAO; ISSN: 0021-924X
- DT Journal
- LA English
- AΒ $N\alpha$ -Benzyloxycarbonyl-p-guanidino-L-phenylalanine β -naphthylamide (I) was synthesized and the susceptibility of this compd. to trypsin and related enzymes was compared with that of $N\alpha\text{-benzyloxycarbonyl-L-arginine }\beta\text{-naphthylamide (II).}$ Both I and II were rapidly and almost completely hydrolyzed by trypsin and Pronase. II was hydrolyzed slowly by thrombin, whereas I was not susceptible to hydrolysis by this enzyme. The rate of hydrolysis of I by papain was slower than that of II. Neither I nor II was hydrolyzed by chymotrypsin. The specificity const. (kcat/Km, where kcat is the catalytic const.) for the hydrolysis of I by trypsin was somewhat larger than that for the hydrolysis of II. Contributions of the benzene ring in the side-chain of I good binding of this substrate to the enzyme specificity site and to the poor fit of the scissile bond in the substrate mol. to the active serine residue are presumed from comparison of the individual kinetic parameters (Km and kcat) for I and II. I was ascertained to be a useful substrate in the study of the binding and catalytic specificities of various trypsin-like enzymes.

IT 99795-08-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction kinetics with trypsin)

RN 99795-08-1 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-(2naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

L9 ANSWER 111 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1985:542327 CAPLUS
- DN 103:142327
- TI Peptide synthesis, I. A new carboxamide synthesis
- AU Gante, Joachim; Kahlenberg, Harald; Lauterbach, Guenter; Weitzel, Reinhard
- CS Pharmaforsch., E. Merck Darmstadt, Darmstadt, D-6100, Fed. Rep. Ger.
- SO Chemiker-Zeitung (1985), 109(4), 155-6
- CODEN: CMKZAT; ISSN: 0009-2894
- DT Journal
- LA German
- OS CASREACT 103:142327
- AB Ureas I (R = Bz, R1 = H, R2 = Ph, CH2Ph, CH2CO2H; R = BzNHCH2CO, PhCH2O2C-Phe, R1 = H, R2 = CH2CO2Et; R = BzNHCH2CO, Me3CO2C-Leu, NR1R2 = Pro-OCMe3) were heated at 90-110° to give the corresponding carboxamides RCONR1R2 in 65-80% yields with elimination of benzimidazolone II. I were prepd. by std. methods.

IT 98379-03-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and rearrangement-elimination reaction of)

- RN 98379-03-4 CAPLUS
- CN Glycine, N-[[[2-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl] amino]phenyl]amino]carbonyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 112 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:542321 CAPLUS

DN 103:142321

TI Condensation of amino acids with meso-(2-aminophenyl)porphyrins

AU Lecas, Alexandra; Renko, Zafiarisoa; Rose, Eric

CS Lab. Synth. Org. Organomet., Paris, 75230, Fr.

SO Tetrahedron Letters (1985), 26(8), 1019-22 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA French

OS CASREACT 103:142321

AB Porphyrin I (R = H) was condensed with protected amino acids ZNHCHR1CO2H (Z = PhCH2O2C; R1 = CH2Ph, Me) and BocNHCHMeCO2H (II, Boc = Me3CO2C) by ClCO2CH2CHMe2 to give I (R = ZNHCHR1CO and BocNHCHMeCO), whereas the condensation of porphyrin III (R2 = H) with II gave III (R2 = BocNHCHMeCO). I [R = H2NCH(CH2Ph)CO] (IV) and III [R2 = H2NCH(CH2Ph)CO] (V) were prepd. by Z- or Boc-deblocking of the corresponding protected derivs. IV was acylated with ClCO(CH2)8COCl to give bridged porphyrin VI. Analogously, V was acylated with ClCO(CH2)8COCl to give the corresponding bridged porphyrin.

IT 98229-29-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenolysis of)

RN 98229-29-9 CAPLUS

CN Carbamic acid, [(2,3,7,8,12,13,17,18-octamethyl-21H,23H-porphine-5,15-diyl)bis[2,1,3-benzenetriylbis[imino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]]tetrakis-, tetrakis(phenylmethyl) ester, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L9 ANSWER 113 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:505280 CAPLUS

DN 103:105280

TI Activation of carboxylic acids by pyrocarbonates. Application of dialkyl pyrocarbonates as condensing reagents in synthesis of arylamides of protected amino acids

AU Pozdnev, V. F.

CS Inst. Biol. Med. Chem., Moscow, USSR

SO Bioorganicheskaya Khimiya (1985), 11(5), 583-9 CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

AB Pyrocarbonates (RO2C)20 (R = Me3C, EtCHMe, Me2CH, Et) were used as reagents for the acylation of 2-naphthylamine, 4-methylcoumarin-7-amine, 4-aminoazobenzene, and 4-nitroaniline with N-acylated amino acids.

IT 16876-73-6P 75957-51-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 75957-51-6 CAPLUS

CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 114 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:484003 CAPLUS

DN 103:84003

TI A new serine protease which preferentially recognizes p-guanidino-Lphenylalanyl residue in ascitic plasma from Ehrlich ascites tumor-bearing mice

AU Tsunematsu, Hideaki; Mizusaki, Koichi; Makisumi, Satoru; Okamoto, Koji; Tsunematsu, Yoshihiro

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Biochemical and Biophysical Research Communications (1985), 128(3), 1233-8 CODEN: BBRCA9; ISSN: 0006-291X

DT Journal

LA English

AB A new enzyme which hydrolyzes anilide substrates of p-guanidino-L-phenylalanine in preference to those of arginine was found in the ascitic plasma from Ehrlich ascites tumor-bearing mice. The activity of this enzyme on Nα-benzyloxycarbonyl-p-guanidino-L-phenylalanine p-nitroanilide (I) was strongly inhibited by diisopropyl fluorophosphate and phenylmethanesulfonyl fluoride, but not by SH-reactive reagents and metal-chelating agents. Peptide substrates contg. p-guanidino-L-phenylalanine were hydrolyzed by this enzyme much faster than those contg. arginine. This enzyme is apparently a different type of serine protease from trypsin and thrombin. It was also found in the human gastric and colon cancer cells and their surrounding ascitic plasmas.

IT 95603-03-5

RL: BIOL (Biological study)

(guanidinophenylalanine-specific serine proteinase of ascitic fluid of Ehrlich ascites carcinoma specificity for)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 115 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:467281 CAPLUS

DN 103:67281

- TI Amino acid and peptide derivatives of dimethyl 5-aminoisophthalate as fluorogenic substrates for proteinases
- AU Baggett, N.; Blake, N.; Boukouvalas, J.; Samra, A. K.; Gray, C. J.
- CS Dep. Chem., Univ. Birmingham, Birmingham, B15 2TT, UK
- SO Enzyme and Microbial Technology (1985), 7(6), 300-5 CODEN: EMTED2; ISSN: 0141-0229

DT Journal

LA English

AB A no. of amino acid and peptide derivs. of the fluorophore, di-Me 5-aminoisophthalate were synthesized, characterized, and tested as substrates for the plant cysteine proteinases papain, ficin, and bromelain. In every case, replacement of alanine by citrulline in the position adjacent to the di-Me 5-aminoisophthalate resulted in a higher rate of hydrolysis. The partly deprotected dipeptide deriv. di-Me phenylalanylcitrulline-5-aminoisophthalate was hydrolyzed most rapidly of all the compds. tested, and on this basis may provide a useful substrate for the detection and quant. assay of these enzymes.

IT 97508-15-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with cysteine proteinases)

RN 97508-15-1 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-

[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, dimethyl ester, (S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 116 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:433819 CAPLUS

DN 103:33819

TI New fluorogenic substrates for chymotrypsin

AU Kokotos, George; Tzougraki, Chryssa; Photaki, Iphigenia

CS Lab. Org. Chem., Univ. Athens, Athens, 106 80, Greece

SO Pept., Proc. Eur. Pept. Symp., 18th (1984), 489-92. Editor(s): Ragnarsson, Ulf. Publisher: Almqvist Wiksell, Stockholm, Swed. CODEN: 53PWAN

DT Conference

LA English

AB The fluorescence properties of synthetic chymotrypsin fluorogenic substrates and their free amines are reported. The substrates are



glutarylphenylalanyl-NHRx, where the RxNH groups are 3-aminocoumarin, 6-aminocoumarin, 3-acetamido-6-aminocoumarin, 3-acetamido-8-aminocoumarin, and 7-amino-4-methyl-2-quinolinone (AMQ). AMQ and its corresponding substrate are the most fluorescent of the compds. examd., with distinctly different emission max. and intensities. The relative fluorescence of AMQ (at 435 nm) is ~1000-fold greater than that of an equimolar substrate soln. (at 360 nm). Thus, sensitive fluorometric assays of the enzyme are obtained with AMQ-contg. substrates.

IT 97126-28-8 97126-29-9

RL: PRP (Properties)

(fluorescence of, chymotrypsin detn. in relation to)

RN 97126-28-8 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97126-29-9 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-8-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 117 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:167161 CAPLUS

DN 102:167161

TI Synthesis of some amides of α -amino acids

AU Kwapiszewski, Wincenty; Borkowski, Leszek; Koziej, Piotr; Pirianowicz, Elzbieta; Uzieblo, Adam

CS Inst. Drug Sci., Sch. Med., Warsaw, 02-097, Pol.

SO Acta Poloniae Pharmaceutica (1984), 41(4), 411-23 CODEN: APPHAX; ISSN: 0001-6837

DT Journal

LA Polish

AB PhCH2O2C-X-R (R = 1-pyrrolidinyl, piperidino, morpholino, 2,6-xylidino; X = amino acid residue, e.g., Gly, Ala, DL-Ala, Met, Phe) were prepd. in

L9 ANSWER 118 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

. 4 P ...

AN 1985:145203 CAPLUS

DN 102:145203

TI Kinetics of hydrolysis of amide and anilide substrates of p-guanidino-L-phenylalanine by bovine and porcine trypsins

AU Tsunematsu, Hideaki; Nishimura, Hiroaki; Mizusaki, Koichi; Makisumi, Satoru

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Journal of Biochemistry (Tokyo, Japan) (1985), 97(2), 617-23 CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

The rates of hydrolysis of Na-benzoyl-p-guanidino-Lphenylalaninamide (BZ-GPA-NH2) and Nα-substituted p-nitroanilides (pNA) of GPA [(benzyloxycarbonyl) (Z)-GPA-pNA, benzoyl (Bz)-GPA-pNA, and acetyl (Ac)-GPA-pNA] by bovine and porcine trypsins were compared with those of arginine (Arg) substrates. The amide type substrates of GPA were hydrolyzed as fast as those of Arg by the 2 enzymes with much the same kcat/Km values, though significant differences were found between the kcat and Km values of GPA derivs. and those of Arg derivs. The kinetic behavior of porcine trypsin toward GPA substrates was almost the same as that of the bovine enzyme. The ratio of the kcat value for Bz-GPA-OEt to that for Bz-GPA-NH2 was much larger than that for the ester to amide substrates of Arg, suggesting that the conformational change of the active site of trypsin induced by a benzene ring in the side chain of Bz-GPA-OEt specifically increases the velocity of the deacylation process of the ester substrate. Remarkably low values of both kcat and Km were found for the tryptic hydrolysis of Z-GPA-pNA and Ac-GPA-pNA, as well as on that of Bz-GPA-pNA. Z-GPA-pNA is the best substrate for the 2 trypsins among the 3 Na-substituted anilide substrates of GPA. Substrate activation was obsd. with bovine trypsin in the hydrolysis of the 3 anilide substrates of GPA in a substrate concn. range >5.0 x 10-4M, but it was found with the porcine enzyme only in the hydrolysis of Z-GPA-pNA. In contrast, no activation by the amide substrate of GPA could be detected with either enzyme.

IT 86879-08-5

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with hydrogen bromide)

RN 86879-08-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-

nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

IT 95603-03-5

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with trypsin, kinetics of)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 119 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:486284 CAPLUS

DN 101:86284

TI Synthesis and kinetic studies of protease substrates containing the 1-methyl-6-aminoquinolinium ion as a fluorogenic leaving group

AU Andrade-Gordon, Patricia; Gordon, David; Brynes, Paul J.; Wu, Cheng Wen

CS Dep. Pharmacol. Sci., State Univ. New York, Stony Brook, NY, 11794, USA

SO Journal of Medicinal Chemistry (1984), 27(9), 1166-70 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Several sensitive substrates for porcine pancreatic elastase, chymotrypsin, and trypsin were prepd. that utilize the permanently charged fluorogenic cation 1-methyl-6-aminoquinoline (MAQ+) as the leaving group.

Kinetic rates for the hydrolysis of substrates were detd. fluorimetrically and compared with analogs having 6-aminoquinoline (6-AQ) as an uncharged leaving group. Substrates contg. the quaternized leaving group generally have a higher kcat/Km ratio. An exception to this trend was noted with a trypsin substrate, Bz-DL-Arg-MAQ+, where Bz is benzoyl. During the course of this investigation, several significant advantages of the MAQ+ ion as a fluorogenic leaving group in protease substrates were found: (1) its appearance can be measured fluorometrically by using wavelengths of light that result in its maximal fluorescence, whereas under these conditions, the unhydrolyzed substrate is essentially nonfluorescent; (2) it confers a high degree of water soly. to hydrophobic peptides, thereby eliminating the need for org. cosolvents to dissolve substrates; and (3) quaternized substrates can be prepd. readily and in good yield from the corresponding 6-(peptidylamido)quinolines. These pos. charged synthetic fluorogenic substrates are, therefore, useful probes for investigating the steric and electronic properties of the active-site environment of proteolytic enzymes.

IT 90606-02-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction kinetics with trypsin)

RN 90606-02-3 CAPLUS

CN Quinolinium, 1-methyl-6-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino
]propyl]amino]-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

I-

IT 80115-53-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (quaternization of, with Me iodide)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-,
phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 120 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:175283 CAPLUS

DN 100:175283

TI Arylamides of $N\alpha$ -substituted amino acids and peptides

IN Pozdnev, V. F.

PA Institute of Biological and Medical Chemistry, Academy of Medical Sciences, U.S.S.R., USSR

SO U.S.S.R.

From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1983, (40), 91. CODEN: URXXAF

DT Patent

LA Russian

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| | ~~~~~~~~~~~~~ | | ~~~~~~~ | | |
| ΡI | SU 1051062 | A1 | 19831030 | SU 1982-3439328 | 19820517 |
| | | | | SU 1982-3439328 | 19820517 |

OS CASREACT 100:175283

AB Title compds. RXNHR1 (R = PhCH2O2C, Me3CO2C; X = Phe, Pro, Gly-Pro; R1 = 4-methyl-7-coumaryl, 2-naphthyl, 4-PhN:NC6H4) were prepd. by treating RXOH with R1NH2 in an aprotic org. solvent in the presence of a tertiary amine and a dialkyl pyrocarbonate as condensing agent.

IT 16876-73-6P 89732-76-3P 89732-77-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 89732-76-3 CAPLUS

CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 89732-77-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 121 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:68707 CAPLUS

DN 100:68707

TI A synthetic approach to peptides of o- and p-aminobenzoic acids

AU Stewart, Frederick H. C.

CS Div. Protein Chem., CSIRO, Parkville, 3052, Australia

SO Australian Journal of Chemistry (1983), 36(8), 1629-38 CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

AB Active esters of o- and p-aminobenzoic acids served as coupling components in peptide syntheses without concomitant protection of the relatively inert arom. amino group. The products were then teated directly with benzyloxycarbonyl amino acid sym. anhydrides to form higher peptide derivs. An analog of leucine-enkephalin, with the glycylglycyl segment replaced by a p-aminobenzoyl residue, was prepd. by this route.

IT 88744-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 88744-54-1 CAPLUS

CN L-Leucine, N-[N-[4-[[1-oxo-2-[[(phenylmethoxy)carbonyl]amino]-3-[4-(phenylmethoxy)phenyl]propyl]amino]benzoyl]-L-phenylalanyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

PAGE 1-B

-- CH 2-Ph

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ANSWER 122 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
   1983:558867 CAPLUS
    99:158867
TI Cyclohexyl- and phenyl-substituted enkephalins
IN Mazur, Robert Henry; Tyner, David Anson; Hallinan, Eleanor Ann
PA
    Searle, G. D., and Co., USA
   Eur. Pat. Appl., 37 pp.
SO
     CODEN: EPXXDW
DT
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     -----
PΙ
     EP 81838
                      A1
                           19830622
                                         EP 1982-111547 19821213
                     B1 19880427
     EP 81838
        R: BE, CH, DE, FR, GB, IT, LI, NL, SE
                                         US 1981-330614 19811214
     US 4407746
                     Α
                           19831004
                                         US 1981-330614
                                                          19811214
     DK 8205537
                      Α
                           19830615
                                         DK 1982-5537
                                                          19821213
                                         US 1981-330614 19811214
    NO 8204187
                                         NO 1982-4187
                      Α
                           19830615
                                                          19821213
                                         US 1981-330614 19811214
     ZA 8209134
                      A
                           19840229
                                         ZA 1982-9134
                                                          19821213
                                         US 1981-330614 19811214
    AU 554580
                      B2
                           19860828
                                         AU 1982-91426
                                                          19821213
    AU 8291426
                      A1
                           19830623
                                         US 1981-330614 19811214
    JP 58109460
                      A2
                           19830629
                                         JP 1982-219111 19821214
    JP 03059920
                      B4
                           19910912
                                         US 1981-330614 19811214
    ES 518189
                      A1
                           19840516
                                         ES 1982-518189 19821214
                                         US 1981-330614
                                                          19811214
OS
    CASREACT 99:158867
    Enkephalin analogs I [R = H, C1-6 \text{ alkyl}; R1, R2 = H, C1-6 \text{ alkyl}; R3 = C1-6
    alkyl, C2-6 alkylthioalkyl, C2-6 alkylsulfinylalkyl, C2-6 alkoxyalkyl; R4
    = substituted Ph or cyclohexyl; X = NHC(:CHPh)CO, NR6CHR7CO; R6 = H, C1-6
    alkyl; R7 = cyclohexylmethyl, CH2Ph, CH2C6H4NO2-p) were prepd. as
    analgesics (no data). Thus, m-H2NC6H4CO2H was esterified with MeOH/SOC12
    to give m-H2NC6H4CO2Me.HCl, which was condensed with Z-Phe-OH (Z =
    PhCH2O2C) by ClCO2CH2CHMe2 in CH2Cl2 contg. N-methylmorpholine (II) to
    give Z-Phe-NHC6H4CO2Me-m. The latter was Z-deblocked by HBr/HOAc to give
    H-Phe-NHC6H4CO2Me-m.HBr, which was condensed with Boc-Tyr-D-Met-Gly-OH
     (Boc = Me3CO2C) by ClCO2CH2CHMe2 in CH2Cl2/DMF contg. II to give
    Boc-Tyr-D-Met-Gly-Phe-NHC6H4CO2Me-m, which was Boc-deblocked by
    HCl/dioxane to give H-Tyr-D-Met-Gly-Phe-NHC6H4CO2Me-m.HCl.
IT 87360-25-6P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
       (prepn. and deblocking of)
RN
    87360-25-6 CAPLUS
    Benzoic acid, 3-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]
```

amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 123 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1983:539903 CAPLUS
- DN 99:139903
- TI Some new piperazino derivatives as antiparkinson and anticonvulsant agents
- AU Agarwal, Jagdish C.; Nath, Chandishwar; Sharma, Manju; Gupta, Gyan P.; Bhargava, Krishna P.; Shanker, Kripa
- CS Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India
- SO Archiv der Pharmazie (Weinheim, Germany) (1983), 316(8), 690-4 CODEN: ARPMAS; ISSN: 0365-6233
- DT Journal
- LA English
- AB The anticonvulsant and antiparkinson piperazines I (R = H, 2-Me, 4-Me; R1 = H, PhCH2, 4-HOC6H4CH2) were prepd. by amidating the aminophenylpiperazines II with HO2CCHRINHCO2CH2Ph in the presence of dicyclohexylcarbodiimide. I (R = H, R1 = PhCH2) completely abolished reserpine induced rigidity and protected against maximal elec. seizures by

IT 87119-82-2P 87119-83-3P 87119-84-4P

87119-85-5P 87119-86-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and anticonvulsant and antiparkinson activity of)

- RN 87119-82-2 CAPLUS
- CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(4-phenyl-1-piperazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

- RN 87119-83-3 CAPLUS
- CN Carbamic acid, [2-[[4-[4-(4-methylphenyl)-1-piperazinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 87119-84-4 CAPLUS

CN Carbamic acid, [2-[[4-[4-(2-methylphenyl)-1-piperazinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 87119-85-5 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[[4-(4-phenyl-1piperazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX
NAME)

RN 87119-86-6 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[[4-[4-(4-methylphenyl)-1piperazinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA
INDEX NAME)

L9 ANSWER 124 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1983:515562 CAPLUS
- DN 99:115562
- TI Hypoglycemic compounds. Sulfanilylurea derivatives containing amino acids and dipeptides. I
- AU Vicentini, C. B.; Guarneri, M.; Sarto, G.
- CS Ist. Chim. Farm. Tossicol., Univ. Ferrara, Ferrara, Italy
- SO Farmaco, Edizione Scientifica (1983), 38(8), 595-602 CODEN: FRPSAX; ISSN: 0430-0920
- DT Journal
- LA English
- AB The 17 sulfanilylurea derivs. R-NH-C6H4-SO2-NH-CO-NH-C6H11 (R = H or free or benzyloxycarbonyl-blocked Gly, Ala, Val, Leu, Phe, Gly-Gly, Leu-Ala, or Leu-Leu) were prepd. and screened for hypoglycemic activity in rats. Derivs. with blocked amino acids showed appreciably lower activity than those having free amino acids. Ala-substituted compds. appeared more active than those contg. the other amino acid residues. Metabolic studies with 1 of the derivs. showed that it was metabolized to the amino acid-free parent compd., suggesting that the activity of the compds. is primarily assocd. with the sulfanilylamide fragment, while the amino acid moiety may modulate bioavailability.

IT 86933-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and hypoglycemic activity of)

RN 86933-13-3 CAPLUS

CN Carbamic acid, [2-[[4-[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]a mino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

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L9
     ANSWER 125 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN
     1983:501488 CAPLUS
     99:101488
DN
ТT
     Kinetics of hydrolysis of Nα-benzoyl-p-guanidino-L-phenylalanine
     p-nitroanilide by trypsin
ΑU
    Tsunematsu, Hideaki; Imamura, Takayuki; Makisumi, Satoru
CS
    Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
    Journal of Biochemistry (Tokyo, Japan) (1983), 94(1), 123-8
     CODEN: JOBIAO; ISSN: 0021-924X
DT
    Journal
LA
    English
AB
    A chromogenic trypsin substrate, N\alpha-benzoyl-p-guanidino-L-
     phenylalanine p-nitroanilide (I), was synthesized. I was a good substrate
     for bovine trypsin (Km = 1.56 \times 10^{-5} M, kcat = 0.081 s-1, at pH 8.2)
     and was hydrolyzed as fast as No-benzoyl-L-arginine p-nitroanilide
     (II) with much the same kcat/Km values. However, the values were 2 orders
     of magnitude smaller than those for the ester substrates,
     Nα-benzoyl-p-guanidino-L-phenylalanine Et ester and
     Nα-benzoyl-L-arginine Et ester. Substrate activation behavior was
     obsd. on tryptic hydrolysis of I in a substrate concn. range greater than
     \sim 5.0 \times 10-4 \text{ M} in analogy with the trypsin-II system.
IT 86879-08-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and deprotection and benzoylation of)
RN
     86879-08-5 CAPLUS
CN
     Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-
     nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride,
     (S) - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

HC1

L9 ANSWER 126 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text 1983:488219 CAPLUS AN DN 99:88219 TI Pyridazinone derivatives Katakami, Tsutomu; Fukazawa, Nobuyuki; Iizuka, Hajime; Nishina, Takashi; Kamiya, Joji; Tanaka, Yasuhito; Nakano, Takuo PA Mitsui Toatsu Chemicals, Inc., Japan so PCT Int. Appl., 47 pp. CODEN: PIXXD2 Patent DT

| LA | Jar | panese |
|------|-----|--------|
| FAN. | CNT | 1 |

| FAIN. | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------|---------------------|------|----------|------------------|----------|
| PI | WO 8301447
W: US | A1 | 19830428 | WO 1982-JP415 | 19821020 |
| | RW: DE, FR, | . GB | | | |
| | | | | JP 1981-166437 | |
| | | | | JP 1981-166438 | 19811020 |
| | TD 50060060 | | 10000101 | JP 1981-209937 | 19811228 |
| | | A2 | 19830426 | JP 1981-166437 | 19811020 |
| | JP 60058234 | B4 | 19851219 | TD 1001 155400 | |
| | JP 58069868 | A2 | 19830426 | JP 1981-166438 | 19811020 |
| | JP 05026780 | B4 | 19930419 | TD 4004 00000 | |
| | JP 58113179 | A2 | 19830705 | JP 1981-209937 | 19811228 |
| | JP 03053303 | B4 | 19910814 | WD 1000 000101 | |
| | EP 107735 | A1 | 19840509 | EP 1982-903181 | 19821020 |
| | EP 107735 | B1 | 19881019 | | |
| | R: DE, FR, | GB | | | |
| | | | | JP 1981-166437 | 19811020 |
| | | | | JP 1981-166438 | 19811020 |
| | | | | JP 1981-209937 | 19811228 |
| | US 4639451 | A | 19870127 | US 1983-504039 | 19830603 |
| | | | | JP 1981-166437 | 19811020 |
| | | | | JP 1981-166438 | 19811020 |
| | | | | JP 1981-209937 | 19811228 |
| | | | | WO 1982-JP415 | 19821020 |
| | US 4965263 | A | 19901023 | US 1989-310505 | 19890214 |
| | | | | JP 1981-166437 | 19811020 |
| | | | | JP 1981-166438 | 19811020 |
| | | | | JP 1981-209937 | 19811228 |
| | | | | US 1983-504039 | 19830603 |
| | | | | US 1986-913687 . | 19860925 |
| | | | | | |

OS CASREACT 99:88219

The title compds. I [R = (substituted) aryl, etc; R1, R2 = H, alkyl] were prepd. by acylation of the appropriate (aminophenyl)pyridazinones with RCO2H or their reactive derivs. Thus, stirring a mixt. of 1.2 g salicylic chloride, 1.0 g 6-(p-aminophenyl)-5-methyl-4,5-dihydro-3(2H)-pyridazinone, and 10 mL benzene at 50° for 6 h gave 750 mg I (R = o-HOC6H4, RI = Me, R2 = H). I at 4 mg/kg had antihypertensive and blood platelet aggregation-inhibiting activities comparable to those of hydralazine in rats.

IT 86800-39-7P 86800-47-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and pharmacol. activities of)

RN 86800-39-7 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$0 \qquad \qquad \begin{array}{c} \text{HN} \qquad \qquad \\ \text{NH} \qquad \qquad \\ \text{NH} \qquad \qquad \\ \text{C} \qquad \text{CH} \qquad \\ \text{CH} \qquad \\ \text{C} \qquad \\ \text{Ph} \qquad \\ \end{array}$$

RN 86800-47-7 CAPLUS .

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 127 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:215960 CAPLUS

DN 98:215960

TI Synthesis of chromogenic substrates specific for human spleen fibrinolytic proteinase (SFP) and human leukocyte elastase (LE)

AU Okada, Yoshio; Tsuda, Yuko; Hirata, Akio; Nagamatsu, Yoko; Okamoto, Utako

CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan

SO Chemical Pharmaceutical Bulletin (1982), 30(11), 4060-8 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

Various peptide anilides [e.g., succinyl tripeptide p-nitroanilides Suc-Tyr-Leu-X-NHC6H4NO2-p (I; Suc = succinyl; X = Val, Ile, Ala, Leu, etc.)] were prepd. by conventional soln. methods with the object of obtaining specific substrates for human fibrinolytic proteinase (SFP) and human leukocyte elastase (LE) and for comparing the substrate specificity of SFP with that of LE. I (X = Ala), among the various other I, exhibited the highest kcat/Km values for hydrolysis by SFP and LE, however, the tetrapeptide Suc-Ala-Tyr-Leu-Val-NHC6H4NO2-p [kcat/Km values (M-1s-1) for hydrolysis by SFP and LE: 84000 and 48000, resp.] was the preferred chromogenic substrate for SFP and LE because of its high soly. in the buffer and its moderate kcat/Km values. The substrate specificity of SFP was found to be similar to that of LE.

IT 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent) (deprotection of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

```
Full Text
    1982:406792 CAPLUS
DN
    97:6792
    Peptide substrates for determination of protease activity
TI
   Simonsson, Leif Roger; Arielly, Salo; Aurell, Leif Erik; Claeson, Karl
    Goran
PA
    Kabivitrum AB, Swed.
SO
    Eur. Pat. Appl., 35 pp.
    CODEN: EPXXDW
DT
    Patent
    English
LA
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
    -------
                                         -------
PΙ
    EP 46742
                     A1 19820303
                                         EP 1981-850139 19810824
    EP 46742
                     B1 19840627
        R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
                                         SE 1980-5940
                                                         19800825
    WO 8200641
                     A1 19820304
                                         WO 1981-SE235
                                                         19810824
        W: JP, SU
                                         SE 1980-5940
                                                         19800825
                                         JP 1981-502904 19810824
    JP 57501234
                      T2
                           19820715
                                         SE 1980-5940
                                                         19800825
                                         WO 1981-SE235
                                                         19810824
    AT 8130
                                         AT 1981-850139 19810824
                      E
                           19840715
                                         SE 1980-5940
                                                         19800825
                                         EP 1981-850139 19810824
    SU 1233806
                      A3
                           19860523
                                         SU 1982-3496751 19820923
                                         SE 1980-5940
                                                         19800825
                                         WO 1981-SE235
                                                         19810824
    US 4748116
                           19880531
                                         US 1987-53569 19870521
                                         SE 1980-5940
                                                         19800825
                                         US 1981-294127 19810819
AB
    Luminol and isoluminol peptide amide derivs. I and II, resp., [R = H or
    acyl; X = Val, Ile, Ala, Gly, null; X1 = Pro, Phe, Gly, Val, pyroGlu, Leu,
    Glu(pip) (pip = piperidino), Ala, Glu, Glu(OMe), Arg, Ile, Tyr, null; X2 = -
    Phe, Pro, Leu, Ser, Gly, Val, Ala, null; X3 = Arg, Lys, Tyr, Phe, Ala,
    Val, Pro] were prepd. as luminescent substrates for detg. protease
    activity. Thus, Boc-Lys(Z)-OH (Boc = Me3CO2C, Z = CO2CH2Ph) was amidated
    with 4-amino-N-methylphthalimide (4-ANMP) by PCl3 to give
    Boc-Lys(Z)-4-ANMP, which was elongated to Boc-Val-Leu-Lys(Z)-4-ANMP (III)
    by conventional peptide coupling methods in soln. III was Z-deblocked to
    give Boc-Val-Leu-Lys-4-ANMP, which was deblocked by hydrazinolysis to give
    isoluminol amide IV. IV was used for protease activity detns.
IT 77303-13-0P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and partial deblocking-peptide coupling reaction of)
RN
    77303-13-0 CAPLUS
CN
    Carbamic acid, [2-[(2,3-dihydro-2-methyl-1,3-dioxo-1H-isoindol-5-yl)amino]-
    2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX
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L9 ANSWER 129 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1982:16409 CAPLUS

DN 96:16409

TI 6-Aminoquinoline as a fluorogenic leaving group in peptide cleavage reactions: a new fluorogenic substrate for chymotrypsin

AU Brynes, Paul J.; Bevilacqua, Paula; Green, Adam

CS Dep. Pharmacol. Sci., State Univ. New York, Stony Brook, NY, 11794, USA

SO Analytical Biochemistry (1981), 116(2), 408-13 CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB A fluorogenic substrate capable of measuring the amidolytic activity of chymotrypsin and based on the enzyme-catalyzed release of a highly fluorescent arom. amine, 6-aminoquinoline, was prepd. The substrate, 6-(N-glutaryl-L-phenylalanylamido)quinoline (I), reacted with chymotrypsin at pH 8.0 and 25°, had Km and kcat values of 1.77 mM and 1.4 × 10-1 s-1, resp. The aminoquinoline is a unique leaving group in that its appearance can be measured fluorometrically at its excitation and emission max., whereas, under these conditions, fluorescence assocd. with unhydrolyzed substrate is negligible.

IT 80115-53-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 130 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1981:438128 CAPLUS

DN 95:38128

TI Chromogenic compounds and their use as enzymic substrates

IN Karges, Hermann Erich; Heber, Helmut; Uhmann, Rainer; Teetz, Volker;

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Geiger, Rolf
     Behringwerke A.-G., Fed. Rep. Ger.
PA
SO
     Eur. Pat. Appl., 38 pp.
     CODEN: EPXXDW
DТ
    Patent
LA
    German
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
     рT
    EP 25190
                    A2 19810318
                                       EP 1980-105113 19800828
     EP 25190
                     A3 19810527
     EP 25190
                     B1 19840613
        R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
                                        DE 1979-2936543 19790910
     DE 2936543
                     A1 19810409
                                        DE 1979-2936543 19790910
     AT 7900
                     E
                                        AT 1980-105113 19800828
                          19840615
                                        DE 1979-2936543 19790910
                                         EP 1980-105113 19800828
    ES 494750
                     A1
                          19810816
                                         ES 1980-494750
                                                         19800904
                                        DE 1979-2936543 19790910
    DK 8003828
                     Α
                          19810311
                                         DK 1980-3828
                                                         19800909
                                        DE 1979-2936543 19790910
    ZA 8005560
                     A
                                        ZA 1980-5560
                          19810826
                                                         19800909
                                        DE 1979-2936543 19790910
    JP 56055361
                     A2 19810515
                                        JP 1980-127077
                                                         19800910
                                        DE 1979-2936543 19790910
    US 4457866
                     A
                          19840703
                                        US 1982-435610 19821019
                                         DE 1979-2936543 19790910
                                         US 1980-185007 19800908
AB
    The prepn. and use of substrates of the general formula, W-P-B-X-NH-R,
    where W = H, acyl, benzene, or toluene residues, P = an amino acid residue
    or a di- or hexapeptide whose side chains can be substituted, B =
    arginine, lysine, phenylalanine, tyrosine, or homoarginine, X = 1 or 2
    L-amino acids with substituted or unsubstituted side chains, and R = an
    arom. hydrocarbon residue, are described. Thus, N-carbobenzoxy-L-valine
    p-nitroanilide (Z-Val-pNA) was synthesized from Z-Val-OH and
    p-nitroaniline and reacted with HBr in acetic acid to give Z-Val-pNA.HBr,
    which was reacted with Boc-Arg-OH.HCl (Boc = tert-butyloxycarbonyl)
    followed by deprotection to yield H-Arg-Val-pNA.2 HCl. The latter was
    reacted with Z-Val-OTcp (Tcp = 2,4,5-trichlorophenyl) to give
    2-Val-Arg-Val-pNA.HCl, which is suitable as a substrate for kallikrein
    detn. The prepn. of substrates for blood coagulation factor X, thrombin
    or thrombin inhibitor, plasmin or plasmin inhibitor, and prothrombin detn.
    is also described.
IT 19647-71-3P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
       (prepn. and reaction with hydrogen bromide)
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Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-

Absolute stereochemistry.

19647-71-3 CAPLUS

, phenylmethyl ester (9CI) (CA INDEX NAME)

RN

L9 ANSWER 131 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1981:169967 CAPLUS

DN 94:169967

TI Sensitive enzyme assays based on the production of chemiluminescent leaving groups

AU Branchini, Bruce R.; Hermes, Jeffrey D.; Salituro, Francesco G.; Post, Nancy J.; Claeson, Goeran

CS Dep. Chem., Univ. Wisconsin, Kenosha, WI, 53141, USA

SO Analytical Biochemistry (1981), 111(1), 87-96 CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB A new type of synthetic peptide substrate for amidase assay has been devised. The substrates are luminogenic, with potential for extremely high sensitivity, and are here exemplified by tert-butyloxycarbonyl- and benzyloxycarbonyl-Ala-Ala-Phe-isoluminolamide. The synthetic substrates were designed to release isoluminol when hydrolyzed by enzyme; isoluminol prodn. was detd. by measuring its chemiluminescence. Kinetic consts. of the luminogenic substrates were measured with chymotrypsin and levels of the enzyme as low as 50 ng were detd. conveniently. A comparison of similar luminogenic, chromogenic, and fluorogenic substrates is presented.

IT 77303-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with hydrogen bromide)

RN 77303-13-0 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-2-methyl-1,3-dioxo-1H-isoindol-5-yl)amino]2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L9 ANSWER 132 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1981:16040 CAPLUS

DN 94:16040

- TI A convenient synthesis of benzyloxycarbonyl -L-amino acid 4-methylcoumaryl-7-amides
- AU Khammungkhune, S.; Sigler, G.
- CS Bio-Org. Dep., Calbiochem-Behring Corp., La Jolla, CA, 92037, USA
- SO Synthesis (1980), (8), 614-15 CODEN: SYNTBF; ISSN: 0039-7881
- DT Journal
- LA English
- AB 7-Amino-4-methylcoumarin was treated with HP(0) (OEt)2 in the presence of Et3N/P2O5 to give activated phosphoramide I, which was treated with Z-X-OH [Z = PhCH2O2C, X = Arg, Ala, Phe, Glu(OCH2Ph)] to give the corresponding title compds. II.

IT 75957-51-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

- RN 75957-51-6 CAPLUS
- CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

- L9 ANSWER 133 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
- Full Text
- AN 1980:408561 CAPLUS
- DN 93:8561
- TI Preparation of polymerizable derivatives of N-(4-aminobenzenesulfonyl)-N'-butylurea
- AU Obereigner, B.; Buresova, M.; Vrana, A.; Kopecek, J.
- CS Inst. Macromol. Chem., Czech. Acad. Sci., Prague, 162 06, Czech.
- SO Journal of Polymer Science, Polymer Symposia (1979), 66(Med. Polym.: Chem. Probl.), 41-52
 CODEN: JPYCAQ; ISSN: 0360-8905
- DT Journal
- LA English
- AB Polymerizable derivs. of the antidiabetic N-(4-aminobenzenesulfonyl)-N'-butylurea (I) [339-43-5] were polymd. with N-(2-hydroxypropyl)methacrylamide. Tests with rats confirmed that I was effective as an antidiabetic when linked to a polymeric carrier by a strong covalent bond.
- IT 73900-87-5P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (prepn. and polymn. of, with (hydroxypropyl)methacrylamide)
- RN 73900-87-5 CAPLUS
- CN 2-Propenoic acid, 2-methyl-, 2-[[[2-[[4-[[(butylamino)carbonyl]amino]sul fonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]oxy]ethyl ester, monosodium salt, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

IT 73909-77-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 73909-77-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[[[2-[[4-[[[(butylamino)carbonyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]oxy]ethylester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 134 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1980:128781 CAPLUS

DN 92:128781

TI The formation of 2-benzyloxyoxazol-5(4H)-ones from benzyloxycarbonylamino acids

AU Jones, John H.; Witty, Michael J.

CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1979), (12), 3203-6 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

AB Cyclodehydration of benzyloxycarbonylamino acids by successive treatment with SOC12 (or COC12) and Et3N gave 2-benzyloxyoxazol-5(4H)-ones, and not N-benzyloxycarbonylaziridinones as reported by M. Miyoshi (1973). E.g., treatment of PhCH2O2CNHCHRCO2H (R = PhCH2, CHMe2) with SOC12 followed by Et3N gave 43-60% oxazolones I (R as before). I, which are the first 2-alkoxyoxazol-5(4H)-ones to be described, are more easily attacked by nucleophiles at position 5 and less easily ionized at position 4 than the

phenylmethyl ester (9CI) (CA INDEX NAME)

RN 73087-21-5 CAPLUS

CN Carbamic acid, [2-[(4-bromophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 135 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1979:439798 CAPLUS

DN 91:39798

TI Syntheses of two kinds of carbon-14-labeled 4-(N-benzoyl-L-tyrosyl)aminobenzoic acids

AU Yoshino, H.; Tsuchiya, Y.; Sato, T.; Kinoshita, K.; Uchiyama, M.

CS Eisai Res. Lab., Eisai Co., Ltd., Tokyo, Japan

SO Journal of Labelled Compounds and Radiopharmaceuticals (1978), 15(Suppl. Vol.), 1-6
CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

AB Bz-Tyr-NHC6H414CO2H-p was prepd. (radiochem. yield 75.4%, sp. activity 79.5 μCi/mg) by coupling Bz-Tyr-OH with p-H2NC6H414CO2H by ClCO2Et in THF contg. p-MeC6H4SO3H. Ph14CO-Tyr-NHC6H4CO2H-p was prepd. (radiochem. yield 22.5%, sp. activity 23.4 μCi/mg) by coupling Z-Tyr-OH (Z = PhCH2O2C) with p-H2NC6H4CO2H by ClCO2Et, Z-deblocking the resulting amide by hydrogenolysis, and acylating the resulting H-Tyr-NHC6H4CO2H-p with Ph14CO2H by N,N'-carbonyldiimidazole.

IT 70753-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenolysis of)

RN 70753-78-5 CAPLUS

CN Benzoic acid, 4-[[3-(4-hydroxyphenyl)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 136 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:136926 CAPLUS

DN 88:136926

- TI Synthesis of amino acid derivatives of benzocaine. II. Preparation of N-(aminoacyl) benzocaines
- AU Kwapiszewski, Wincenty; Kolwas, Jan
- CS Dep. Pharm. Chem., Sch. Med., Warsaw, Pol.
- SO Acta Poloniae Pharmaceutica (1977), 34(3), 257-60 CODEN: APPHAX; ISSN: 0001-6837
- DT Journal
- LA English
- AB H-X-NHC6H4CO2Et-p [I; X = Gly, DL-Ala, DL-Val, DL-Leu, Leu, DL-Phe, Glu(OMe)] were prepd. by deblocking PhCH2O2C-X-NHC6H4CO2Et-p with HBr/HOAc. I (X = DL-Val, DL-Leu, Leu) were isolated as free bases, whereas the other I derivs. were characterized as free bases and HCl and HBr salts. I are potential local anesthetics.

IT 65321-54-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deblocking of)

RN 65321-54-2 CAPLUS

CN Benzoic acid, 4-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl] amino]-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 137 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text

AN 1978:105282 CAPLUS

- DN 88:105282
- TI Synthesis of some $7-\alpha$ -carboxyethyl-1,3-dihydro-(2H)-1,4-benzodiazepin-2-ones
- AU Zinic, M.; Kolbah, D.; Blazevic, N.; Kajfez, F.; Sunjic, V.
- CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia
- SO Journal of Heterocyclic Chemistry (1977), 14(7), 1225-30 CODEN: JHTCAD; ISSN: 0022-152X
- DT Journal
- LA English
- AB Benzodiazepinones I (R = H, Me, Me2CH, Ph) were prepd. from 2-amino-5-(α -carboxyethyl)benzophenone (II) or from its benzyl ester. An optimized route to II starting from 2-nitro-5-chlorobenzophenone was described. II was deaminated into racemic α -(3-benzoylphenyl)propionic acid.
- IT 65642-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

- RN 65642-73-1 CAPLUS
- CN Benzeneacetic acid, 3-benzoyl- α -methyl-4- [[phenyl[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 138 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1978:38131 CAPLUS
- DN 88:38131
- ${\tt TI}$ Synthesis of amino acid derivatives of benzocaine. I. Preparation of N-(carbobenzoxyaminoacyl)-benzocaines
- AU Kwapiszewski, Wincenty; Kolwas, Jan
- CS Dep. Pharm. Chem., Sch. Med., Warsaw, Pol.
- SO Acta Poloniae Pharmaceutica (1977), 34(2), 167-70 CODEN: APPHAX; ISSN: 0001-6837
- DT Journal
- LA English
- AB Eight PhCH2O2CNHCHRCONHC6H4CO2Et-p (R = H, Me, Me2CH, Me2CHCH2, PhCH2, etc.), with potential local anesthetic properties, were prepd. by acylation of benzocaine with N-(carbobenzoxy) DL- or L-amino acids by the carbodiimide or the mixed anhydride method.

IT 65321-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

- RN 65321-54-2 CAPLUS
- CN Benzoic acid, 4-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]
 amino]-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 139 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:23352 CAPLUS

DN 88:23352

TI Synthesis of acyclic and cyclic anthranilicacid-phenylalanine peptides

AU El Azzouny, Aida; Winter, K.; Framm, J.; Richter, H.; Luckner, M.

CS Sekt. Pharm., Martin-Luther-Univ., Halle/Saale, Ger. Dem. Rep.

SO Pharmazie (1977), 32(6), 318-23 CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

DL-H2NCH(CO2H)CH2C6H4R-p (R = H, Cl, OCH2Ph) were acylated with o-(O2N)C6H4COCl to give DL-o-(O2N)C6H4CONHCH(CO2H)CH2C6H4R-p which were N-methylated, treated with NaOH, and hydrogenated over Raney-Ni to give DL-o-(H2N)C6H4CONMeCH(CO2Na)CH2C6H4Rl-p (DL-I; Rl = H, Cl, OH). The latter were cyclized to give the corresponding benzodiazepines (RS)-II. Enantiomers of phenylalanine gave D- and L-I (Rl = H) which were cyclized to the resp. (R)- and (S)-II (Rl = H).

IT 65002-46-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

RN 65002-46-2 CAPLUS

CN Benzoic acid, 2-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]
 amino]- (9CI) (CA INDEX NAME)

L9 ANSWER 140 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:22735 CAPLUS

DN 88:22735

TI A new synthesis of ampicillin and related investigations

AU Kajfez, F.; Kovac, T.; Mihalic, M.; Belin, B.; Sunjic, V.

CS Dep. Biomed. Biochem. Res., CRC, San Giovanni Natisone, Italy

Journal of Heterocyclic Chemistry (1976), 13(3), 561-6 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB Quaternization of S-PhCHBrCONHCHMePr with hexamine proceeded with ~80% inversion of configuration. Similarly quaternization of I (R = SiMe3, Rl = Br, S configuration) with hexamine and subsequent hydrolysis gave ampicillin I (R = H, Rl = NH2, R configuration) some other model reactions were investigated.

IT 60656-59-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 60656-59-9 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 141 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

ull Text

AN 1977:39312 CAPLUS

DN 86:39312

TI New chromophore substrates of α -chymotrypsin

AU D'yachenko, E. D.; Volkova, L. I.; Kozlov, L. V.; Antonov, V. K.

CS M. M. Shemyakin Inst. Bioorg. Chem., Moscow, USSR

SO Bioorganicheskaya Khimiya (1976), 2(12), 1665-71 CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

AB The following derivs. of N-acetyl-L-p-nitrophenylalanine were synthesized and tested as substrates for chymotrypsin: the Me, Et, and p-nitrophenyl esters as well as the amide, methylamide, hydrazide, p-nitroanilide, glycinamide, and L-alaninamide. The molar extinction of these substrates and their hydrolysis products at 310 nm was obtained. Kinetic consts. of hydrolysis by chymotrypsin at pH 7, 25° were also detd. Almost all of the substances tested were good substrates except for the methylamide, which was not hydrolyzed.

IT 61595-50-4P 61595-53-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 61595-50-4 CAPLUS

CN Carbamic acid, [1-[(4-nitrophenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

RN 61595-53-7 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-1-[(4-nitrophenyl)methyl]-2oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 142 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1976:588359 CAPLUS

DN 85:188359

- TI p-Nitroanilides of 3-carboxypropionyl-peptides. Their cleavage by elastase, trypsin, and chymotrypsin
- AU Kasafirek, Evzen; Fric, Premysl; Slaby, Jan; Malis, Frantisek
- CS Res. Inst. Pharm. Biochem., Prague, Czech.
- SO European Journal of Biochemistry (1976), 69(1), 1-13 CODEN: EJBCAI; ISSN: 0014-2956
- DT Journal
- LA English
- Fourteen 3-carboxypropionyltripeptide-p-nitroanilides of the general formula 3-carboxypropionyl-alanyl-alanyl-Y-p-nitroanilide (Y = glycine, norvaline, S-methylcysteine, valine, norleucine, S-ethylcysteine, methionine, leucine, isoleucine, phenylalanine, tyrosine, S-benzylcysteine, $C\alpha$ -phenylglycine, and proline) were synthesized and their cleavage by elastase, trypsin, and chymotrypsin (Km, kcat and kcat/Km) was detd. The significance of amino acid residues in the position of Y was evaluated 1st with respect to their structure (topog.), and 2nd with respect to their free energy (thermodynamically). The alanine residue substrate was cleaved best by elastase, the phenylalanine substrate by chymotrypsin. Trypsin cleaved 2 substrates only, those contg. a phenylalanine and a tyrosine residue. The optimum length of the elastolytic substrates was studied in a series of N-3-carboxypropionyl-(Ala) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionyl-(Gly) n-p-nitroanilides (n = 1, 2, 3, 4, 5), N-3-carboxypropionynitroanilides (n = 1, 2, 3), and in p-nitroanilides of fatty acids with 2-7 C atoms. Elastase cleaved tri-, tetra-, and pentapeptides of alanine. P-nitroanilides of the glycine series, as well as p-nitroanilides of fatty acids were not cleaved. 3-Carboxypropionyl-tetraalanine-p-nitroanilide is the most suitable substrate so far found for elastase cleavage; it is not cleaved by trypsin or chymotrypsin. The optimum distance between Y and

the terminal anionic CO2H was 1.8 nm in elastolytic substrates.

IT 61043-23-0P 61043-24-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 61043-23-0 CAPLUS

Absolute stereochemistry.

RN 61043-24-1 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-phenylethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 143 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1976:478150 CAPLUS

DN 85:78150

TI Cinnoline derivatives

IN Preston, John; Reeve, Austin J.

PA Imperial Chemical Industries Ltd., UK

SO Ger. Offen., 37 pp.

CODEN: GWXXBX

DT Patent

LA German FAN.CNT 1

APPLICATION NO. DATE PATENT NO. KIND DATE _____ -----DE 2550179 A1 19760513 DE 1975-2550179 19751107 GB 1974-48205 19741107 ZA 7506710 A 19761027 ZA 1975-6710 19751024 GB 1974-48205 19741107 IN 141110 Α 19770122 IN 1975-CA2074 19751028 GB 1974-48205 19741107 US 4045439 US 1975-626531 19751028 Α 19770830 GB 1974-48205 19741107

| AU | 7586101 | A1 | 19770505 | ΑU | 1975-86101 | 19751029 |
|----|----------|----|----------|----|-------------|----------|
| | | | | GB | 1974-48205 | 19741107 |
| BE | 835165 | A1 | 19760430 | BE | 1975-161513 | 19751031 |
| | | | | GB | 1974-48205 | 19741107 |
| NL | 7512958 | A | 19760511 | NL | 1975-12958 | 19751105 |
| | | | | GB | 1974-48205 | 19741107 |
| FI | 7503113 | A | 19760508 | FΙ | 1975-3113 | 19751106 |
| | | | | GB | 1974-48205 | 19741107 |
| NO | 7503718 | Α | 19760510 | NO | 1975-3718 | 19751106 |
| | | | | GB | 1974-48205 | 19741107 |
| SE | 7512447 | A | 19760510 | SE | 1975-12447 | 19751106 |
| | | | | GB | 1974-48205 | 19741107 |
| FR | 2290209 | A1 | 19760604 | FR | 1975-34004 | 19751106 |
| FR | 2290209 | B1 | 19780922 | | | |
| | | | | GB | 1974-48205 | 19741107 |
| DD | 123339 | C | 19761212 | DD | 1975-189301 | 19751106 |
| | | | | GB | 1974-48205 | 19741107 |
| DK | 7505007 | A | 19760508 | DK | 1975-5007 | 19751107 |
| | | | | GB | 1974-48205 | 19741107 |
| JP | 51070778 | A2 | 19760618 | JР | 1975-133920 | 19751107 |
| | | | | GB | 1974-48205 | 19741107 |
| SE | 7807225 | A | 19780626 | SE | 1978-7225 | 19780626 |
| | | | | GB | 1974-48205 | 19741107 |

AB Antianaphylactic (no data) cinnolines I (R = Et, H; R1 = NH2, substituted amino, Ac, cyano, CO2H, furyl, pyridyl, thienyl, C6H4CO2Et, SMe) were prepd. Thus I (R = H, R1 = NO2) was esterified and reduced to I (R = Et, R1 = NH2).

IT 60112-89-2P 60112-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzylation of)

RN 60112-89-2 CAPLUS

CN 3-Cinnolinecarboxylic acid, 4-hydroxy-6-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 60112-92-7 CAPLUS

L9 ANSWER 144 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1975:479562 CAPLUS
- DN 83:79562
- TI Anomalous nucleosides and related compounds. XXIV. Amino acid derivatives of benzotriazole
- AU Rengevich, E. E.; Chernetskii, V. P.
- CS Inst. Mol. Biol. Genet., Kiev, USSR
- SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1975), 41(4), 411-13 CODEN: UKZHAU; ISSN: 0041-6045
- DT Journal
- LA Russian
- AB Dicyclohexylcarbodiimide condensation of ROH (R = Z-Gly, Z-Val, Z-Leu, Z-Phe, Z-Gly-Gly; Z = PhCH2O2C) with 4-aminobenzotriazole (I) gave II, which were deprotected by HBr-HOAc to give II.2HBr (R = Gly, Val, Phe). Condensation of ClCH2CO2H with I gave II (R = CH2CO2H).
- IT 56446-11-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

- RN 56446-11-8 CAPLUS
- CN Carbamic acid, [2-(1H-benzotriazol-4-ylamino)-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L9 ANSWER 145 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1975:140510 CAPLUS
- DN 82:140510
- TI Acyl compound
- IN Fujimoto, Yasuo; Nakamizo, Yoshihiro
- PA Kyowa Hakko Kogyo Co., Ltd.
- SO Jpn. Tokkyo Koho, 4 pp.
 - CODEN: JAXXAD
- DT Patent
- LA Japanese

FAN CNT 2

| 1.771 | CNIZ | | | | |
|-------|-------------|------|----------|-----------------|----------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| PI | JP 49019244 | B4 | 19740516 | JP 1969-51061 | 19690630 |
| | GB 1305259 | A | 19730131 | GB 1970-30923 | 19700625 |
| | | | | JP 1969-51061 | 19690630 |

| | | | • | | | | | | |
|------|--|-----------|----------------|--|--|--|--|--|--|
| | US 3867424 | Α | 19750218 | US 1970-49918 19700625 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | DE 2031826 | A | 19710121 | DE 1970-2031826 19700626 | | | | | |
| | DE 2031826 | B2 | 19730222 | | | | | | |
| | DE 2031826 | C3 | 19730927 | | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | FR 2051359 | A5 | 19710402 | FR 1970-23723 19700626 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | CH 531478 | A | 19721215 | CH 1970-531478 19700626 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | US 3963728 | Α | 19760615 | US 1974-498125 19740816 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | | | | US 1970-49918 19700625 | | | | | |
| | US 29369 | E | 19770823 | US 1976-658039 19760213 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | | | | US 1970-49918 19700625 | | | | | |
| | US 4043992 | Α | 19770823 | US 1976-673149 19760402 | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | | | | US 1970-49918 19700625 | | | | | |
| | | | | US 1974-498125 19740816 | | | | | |
| PATE | NT FAMILY INFORMA | TION: | | | | | | | |
| FAN | 1971:75692 | | | | | | | | |
| | PATENT NO. | KIND | DATE | APPLICATION NO. DATE | | | | | |
| | | | | and the time the time and the time the time time time the time time time time time time time tim | | | | | |
| ΡI | DE 2031826 | A | 19710121 | DE 1970-2031826 19700626 | | | | | |
| | DE 2031826 | B2 | 19730222 | | | | | | |
| | DE 2031826 | C3 | 19730927 | | | | | | |
| | | | | JP 1969-51061 19690630 | | | | | |
| | JP 49019244 | B4 | 19740516 | JP 1969-51061 19690630 | | | | | |
| AB | | | | with 4-02NC6H4NH2 in AcNMe2 contg. | | | | | |
| | 2-hydroxypyridin | e K sa | alt to give 73 | % PhCH2O2CPhe-NHC6H4NO2-4. | | | | | |
| IT 1 | 9647-71-3P | | | | | | | | |
| | RL: SPN (Synthet | ic pre | paration); PF | REP (Preparation) | | | | | |
| | (prepn. of) | | | | | | | | |
| RN | 19647-71-3 CAPL | US | | | | | | | |
| CN | Carbamic acid, [| (1S) - 2 | -[(4-nitrophe | enyl)amino]-2-oxo-1-(phenylmethyl)ethyl]- | | | | | |
| | whomelmobiled achieve (COT) (CO TYPEN) | | | | | | | | |

Absolute stereochemistry.

, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 146 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text AN1975:108156 CAPLUS DN 82:108156 TI Kinetic studies of carboxypeptidase Y. I. Kinetic parameters for the hydrolysis of synthetic substrates ΑU Hayashi, Rikimaru; Bai, Yasuo; Hata, Tadao Res. Inst. Food Sci., Kyoto Univ., Uji, Japan so Journal of Biochemistry (Tokyo, Japan) (1975), 77(1), 69-79 CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

AB Kinetic parameters for carboxypeptidase Y [EC 3.4.12.1], characterized as a nonspecific enzyme, are given for the hydrolysis of a series of acylated peptides, acylated amino acid esters, and amides. The enzyme released C-terminal proline and β -alanine at an appreciable rate, as well as neutral amino acids with arom. and aliphatic side chains at a very high speed. The rates of hydrolysis of ester and amide substrates were compatible with those produced by chymotrypsin. Stereospecificity was also demonstrated by the failure of the enzyme to hydrolyze peptide, ester, amide, and anilide substrates contg. a D-amino acid. The effects of pH, solvents, and salt concns. on the kinetic parameters of hydrolysis of peptide and ester substrates are also described.

IT 14235-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl}, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 147 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1974:516866 CAPLUS

DN 81:116866

TI Evaluating bile sufficiency

IN Imondi, Anthony R.

PA Rohm and Haas Co.

SO U.S., 9 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

| LAM | . CNI Z | | | | |
|-----|------------|------------|----------|-----------------|----------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| PI | US 3806592 | Α | 19740423 | US 1970-99714 | 19701218 |
| | CA 984750 | A 1 | 19760302 | CA 1971-126966 | 19711105 |
| | | | | US 1970-99714 | 19701218 |
| | GB 1382240 | Α | 19750129 | GB 1971-57958 | 19711214 |
| | | | | US 1970-99714 | 19701218 |
| | NL 7117216 | Α | 19720620 | NL 1971-17216 | 19711215 |
| | | | | US 1970-99714 | 19701218 |
| | BE 776873 | A1 | 19720619 | BE 1971-111824 | 19711217 |
| | | | | US 1970-99714 | 19701218 |
| | FR 2118178 | A5 | 19720728 | FR 1971-45587 | 19711217 |
| | FR 2118178 | B1 | 19750801 | | |
| | | | | US 1970-99714 | 19701218 |

PATENT FAMILY INFORMATION:

FAN 1973:102006

| | PATENT NO. | KIND | DATE | APPLICATION | NO. DATE |
|----|------------|-----------|----------|--------------|-------------|
| | | | | | |
| PI | FR 2118178 | A5 | 19720728 | FR 1971-4558 | 37 19711217 |
| | FR 2118178 | B1 | 19750801 | | |
| | | | | US 1970-9971 | 19701218 |
| | US 3806592 | A | 19740423 | US 1970-9971 | 14 19701218 |
| | | _ | . | | |

AB A peptide of the formula Q-NHQ'-Q" where Q is an amine blocking group, NHQ'CO is an amino acid linkage, and Q" is an analyzable group, for instance, sodium N-benzoyl-L-phenylalanyl-p-aminobenzoate, is orally administered at a dosage of 5-10 mg/kg. A fluorescein dialkyl ester such as fluorescein dilaurate is also administered at a dosage of 5-10 mg/kg. All urine is collected for 6 hr and analyzed for peptide residue and ester. The detn. of a normal amt. of peptide residue and an unusually small amt. of ester (<2% of the administered dose) indicates significant bile insufficiency.

IT 38219-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 148 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1974:60215 CAPLUS

DN 80:60215

TI [[(Acylamino)acyl]amino]benzoic acids by direct acylation

IN LaRoche deBenneville, Peter; Godfrey, William J.; Sims, Homer J.

PA Rohm and Haas Co.

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PAT | TENT NO. | KIND | DATE | AP | PLICATION NO. | DATE |
|----|-----|----------|------|----------|----|---------------|----------|
| | | | | | | | |
| PΙ | DE | 2324439 | A1 | 19731206 | DE | 1973-2324439 | 19730515 |
| | | | | | US | 1972-256551 | 19720524 |
| | US | 3804821 | A | 19740416 | US | 1972-256551 | 19720524 |
| | CA | 993446 | A1 | 19760720 | CA | 1972-159275 | 19721218 |
| | | | | | US | 1972-256551 | 19720524 |
| | JP | 49026242 | A2 | 19740308 | JP | 1973-4067 | 19721229 |
| | | | | | US | 1972-256551 | 19720524 |
| | FR | 2185615 | A1 | 19740104 | FR | 1973-4475 | 19730208 |
| | | | | | US | 1972-256551 | 19720524 |
| | | | | | | | |

| BE 795418 | A1 | 19730814 | BE | 1973-127637 | 19730214 |
|------------|----|----------|----|-------------|----------|
| | | | US | 1972-256551 | 19720524 |
| GB 1434217 | A | 19760505 | GB | 1973-22690 | 19730511 |
| | | | US | 1972-256551 | 19720524 |
| NL 7307232 | A | 19731127 | NL | 1973-7232 | 19730523 |
| | | | US | 1972-256551 | 19720524 |

About 20 R2[CONHCHR1CONHC6H4-n(CO2H)Rn-x,y]z [x = 2, 3, or 4; z = 1 or 2; in case of x = 4: y-Rn = H, 2-iodo, 3-OH, 2-Me, or 2,6-Me2; R1 = CH2Ph, CH2C6H4OH-4, CH2C6H4OBz-4, CH2CH2SMe, CH2CHMe2, or 3-indolylmethyl; R2 = Ph, Me, Et, Pr, EtO, or (CH2)4] and 4-BzNHCH(CH2-Ph)CONHC6H4CONHCH2CO2H, useful for the diagnosis of pancreatic enzyme-insufficiency, were prepd. by reaction of the amino acids with R2[CONHCHR1CO2CO2Et]z in the presence of 4-MeC6H4SO3H (I) or HCl. Thus, L-BzNHCH(CH2Ph)CO2H was treated with N-methylmorpholine and ClCO2Et in THF for 12 min at - 15°, followed by reaction with 4-H2NC6H4CO2H in THF in the presence of I for 2 hr at 5° to give 82.5% L-BzNHCH(CH2Ph)CONHC6H4CO2H-4.

IT 38219-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 149 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text

AN 1973:526466 CAPLUS

DN 79:126466

TI Chiral 1,4-benzodiazepines. V. Synthesis and properties of 1,4-benzodiazepin-2-ones containing α -amino acids as a part of the 1,4-diazepine ring

AU Sunjic, V.; Kajfez, F.; Stromar, I.; Blazevic, N.; Kolbah, D.

CS Cia Ric. Chim. S.A., Chiasso, Switz.

SO Journal of Heterocyclic Chemistry (1973), 10(4), 591-9 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB Chiral 1,4-benzodiazepin-2-ones (I) were prepd. from N-protected α -amino acids and 2-amino-5-chlorobenzophenone. The intermediates II were isolated and identified.

IT 50691-89-9 50691-90-2 50691-92-4

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization of, chiral benzodiazepinones from)

RN 50691-89-9 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 50691-90-2 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 50691-92-4 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 150 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:432292 CAPLUS

DN 79:32292

 ${\tt TI}$ Peptide synthesis via N-acylated aziridinone. II. Reaction of N-acylated aziridinone and its use in peptide synthesis

AU Miyoshi, Muneji

CS Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, Japan

SO Bulletin of the Chemical Society of Japan (1973), 46(5), 1489-96 CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

LA English

AB Optically active N-acylated aziridinone, which was synthesized by the dehydration of the corresponding L-acylamino acid, was treated with various nucleophiles, such as alc., amine, and water. The ring fission of the aziridinone took place exclusively at the carbonyl-nitrogen bond to give L-acylamino acid derivs. The reaction was used successfully in the peptide synthesis, using an amino acid ester as a nucleophile. Retention of the optical activity was obsd. throughout the reaction.

IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 151 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:428890 CAPLUS

DN 79:28890

TI Demonstration of the acyl-enzyme mechanism for the hydrolysis of peptides and anilides by chymotrypsin

AU Fastrez, Jacques; Fersht, Alan R.

CS Lab. Mol. Biol., Med. Res. Counc., Cambridge, UK

SO Biochemistry (1973), 12(11), 2025-34 CODEN: BICHAW; ISSN: 0006-2960

DT Journal

LA English

The acyl-enzyme mechanism for chymotrypsin was tested by detg. the product ratios on the hydrolysis of substrates in the presence of added acceptor nucleophiles which compete effectively with H2O in the reaction. The product analysis was facilitated by the use of new substrates which could be separated easily from the products by ionophoresis. Direct detn. of the ratio of AcPhe (N-acetyl-L-phenylalanine) to AcPhe-AlaNH2 produced on the hydrolysis of AcPhe-OMe and AcPhe anilides in the presence of AlaNH2 showed that 1M AlaNH2 is 44 times more reactive than 55M H2O for both substrates. The decrease in Vmax/KM for the hydrolysis of AcPhe-AlaNH2 in the presence of AlaNH2 is also accounted for by AlaNH2 being 44 times more reactive. This suggests a common intermediate in the hydrolysis of ester, anilide, and peptide substrates. The ratio keat/KM for the hydrolysis of

AcPhe-AlaNH2 was calcd. using the above partition ratio, the values of the formation constants of AcPhe-OMe and AcPhe-AlaNH2, the relative reactivities of MeOH and H2O towards the acyl-enzyme derived from ester substrates, and the free energy of hydrolysis of AcPhe-OMe. This agrees well with the directly measured value. This is proof of the acyl-enzyme mechanism in peptide hydrolysis. The failure of previous attempts to demonstrate a common intermediate was discussed.

IT 42361-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 42361-32-0 CAPLUS

CN Carbamic acid, [2-[[4-(dimethylamino)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 152 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:132248 CAPLUS

DN 78:132248

TI Tyrosyl transfer ribonucleic acid synthetase from Escherichia coli B. Analysis of tyrosine and adenosine 5'-triphosphate binding sites

AU Santi, Daniel V.; Pena, Van A.

CS Dep. Pharm. Chem., Univ. California, San Francisco, CA, USA

SO Journal of Medicinal Chemistry (1973), 16(3), 273-80 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

Structural and stereochem. requirements for substrate binding to tyrosyl-tRNA synthetase [9023-45-4] from Escherichia coli B were investigated using analogs of L-tyrosine and ATP. The 2 major binding loci for L-tyrosine (I) [60-18-4] were the phenol and amine moieties. The phenolic hydroxyl was bound as its neutral form and did not act as a H bond acceptor. It was the primary site of recognition and its omission resulted in at least a 10,000-fold loss in binding. The amino group of the substrate bound as its protonated form to an area of the enzyme probably best represented as anionic. The carboxylate moiety was not a contact point and could be substituted by disparate groups with little effect on binding. Adjacent to the carboxylate binding site were a hydrophobic region and a group capable of interaction with negatively charged substituents. The stereospecificity of the enzyme was not exact and D enantiomers complexed with only small losses in affinity, attributable to the energy required for rotation about the $C\alpha - C\beta$ bond of D-tyrosine and its analogs or an analogous conformational change of the enzyme. Binding of ATP (II) [56-65-5] required interactions of the intact triphosphate moiety. Analogs not possessing this moiety bond as weak, noncompetitive inhibitors and could interact as dimers at a site remote from that which bond ATP.

IT 40829-63-8P

RL: PREP (Preparation)

(prepn. of)

RN 40829-63-8 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester, (S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 153 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN L9

Full Text

AN 1973:102006 CAPLUS

DN 78:102006

Peptidic composition for evaluating biliary secretion of animal organisms TI

IN Imondi, Anthony Rocco

PA Rohm and Haas Co.

SO Fr. Demande, 26 pp.

CODEN: FRXXBL

DT Patent

French LA

FAN.CNT 2

| | PATENT NO. | | DATE | APPLICATION NO. | DATE |
|------|-------------------|------------|----------------------|-----------------|----------|
| PI | | A5
B1 | 19720728
19750801 | FR 1971-45587 | 19711217 |
| | | | | US 1970-99714 | 19701218 |
| | US 3806592 | Α | 19740423 | US 1970-99714 | 19701218 |
| PATE | ENT FAMILY INFORM | : NOITA | | • | |
| FAN | 1974:516866 | | | | |
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| ΡI | US 3806592 | Α | | US 1970-99714 | 19701218 |
| | CA 984750 | A1 | 19760302 | CA 1971-126966 | 19711105 |
| | | | | US 1970-99714 | 19701218 |
| | GB 1382240 | Α | 19750129 | GB 1971-57958 | 19711214 |
| | | | | US 1970-99714 | 19701218 |
| | NL 7117216 | Α | 19720620 | NL 1971-17216 | 19711215 |
| | | | | US 1970-99714 | 19701218 |
| | BE 776873 | A1 | 19720619 | BE 1971-111824 | 19711217 |
| | | | | US 1970-99714 | 19701218 |
| | FR 2118178 | A 5 | 19720728 | FR 1971-45587 | 19711217 |
| | FR 2118178 | B1 | 19750801 | | |
| | | | | US 1970-99714 | 19701218 |
| | | | | | |

AΒ Compns. for evaluating animal biliary secretions by urine anal. contain a peptide, preferably, N-benzoyl-L-phenylalanyl-(I), N-benzoyl-L-tyrosyl-(II), N-acetyl-L-tyrosyl-, N-propionyl-L-tyrosyl-, and N-butyryl-L-tyrosyl-p-aminobenzoic acid together with fluorescein dihexanoate (III) or dilaurate. Fluorescein and PAB are detd. in the urine passed during 5-6 hr after oral dosing. Treatment of I Et ester in Me2SO with tert-BuOK in Me2SO at room-temp. for 5 hr gave I. In the prepn. of II, L-tyrosine was N-benzoylated in THF under reflux, and the N-benzoyl-L-tyrosine formed treated by the mixed anhydride procedure (ClCO2Et + N-methylmorpholine) with PAB in THF contg. a little

p-toluenesulfonic acid. Other related compds. and examples of the assay procedure are given. E.g., tablets contained 500 mg I and 500 mg III.

IT 38219-63-5

RL: BIOL (Biological study)

(pharmaceutical, for biliary secretion detn.)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 154 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:23820 CAPLUS

DN 78:23820

- TI Synthetic peptides in the diagnosis of exocrine pancreatic insufficiency in animals
- AU Imondi, A. R.; Stradley, R. P.; Wolgemuth, R.
- CS Div. Biomed. Res., Warren-Teed Pharm., Inc., Columbus, OH, USA
- SO Gut (1972), 13(9), 726-31 CODEN: GUTTAK; ISSN: 0017-5749

DT Journal

LA English

AB A new approach in the diagnosis of exocrine pancreatic insufficiency is described which involves the oral administration of a chymotrypsin-labile peptide which contains an aromatic amino acid, a carboxy terminal p-aminobenzoic acid [150-13-0] tracer group, and an N-terminal blocking group. In the small bowel in the presence of chymotrypsin, the p-aminobenzoic acid is split from the peptide, absorbed, and the amt. of p-aminobenzoate (as total arom. amines) in the urine over 6 hr is used as an index of exocrine pancreatic function. The method was shown to be reliable in detecting surgically induced pancreatic insufficiency in rats, swine, and dogs.

IT 38219-63-5

RL: BIOL (Biological study)

(in pancreatic insufficiency diagnosis, aminobenzoic acid formation in relation to)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 155 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:11391 CAPLUS

DN 78:11391

TI New substrates for a pancreatic exocrine function test

AU DeBenneville, Peter L.; Godfrey, William J.; Sims, Homer J.; Imondi, Anthony R.

CS Res. Lab., Rohm and Haas Co., Spring House, PA, USA

SO Journal of Medicinal Chemistry (1972), 15(11), 1098-1100 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Peptide derivs. of aminobenzoic acids may be useful for crit. measurement of pancreatic exocrine function. These compds., given orally to rats, were split specifically by the pancreatic enzyme chymotrypsin [9004-07-3] to yield aminobenzoic acids, which could be recovered unchanged in the urine. The compds. consisted of the central amino acid residue (e.g. L-tyrosine, L-phenylalanine, or L-tryptophan) attached to its carboxyl group to the aminobenzoic acid and through its amino group to a protective acyl group; a typical representative was 4-(N-benzoyl-Ltyrosyl)aminobenzoic acid (I) [37106-97-1]. The compds. were prepd. from the appropriate acylamino acids and aminobenzoic acids by the mixed carbonic anhydride method in the presence of p-toluenesulfonic acid. Good in vivo recoveries were obtained from compds. which were relatively rapidly hydrolyzed by chymotrypsin in vitro; however, the soly. of the compds. in intestinal fluid influenced in vivo results. In animals with ligation of the common bile duct to exclude pancreatic enzymes, the hydrolysis of the compds. obsd. was generally <1/3 of that in sham-operated rats, confirming the specificity of the compds. as substrates of chymotrypsin.

IT 38219-63-5

RL: BIOL (Biological study)

(as chymotrypsin substrate, for pancreatic function test)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 156 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1972:514888 CAPLUS

DN 77:114888

TI Peptides for determining enzyme sufficiency or insufficiency in the pancreas of animals

IN DeBenneville, Peter LaRoche; Greenberger, Norton Herald

PA Rohm and Haas Co.

SO Ger. Offen., 52 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| PAN. | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------|------|----------|-----------------|----------|
| PI | DE 2156835 | A | 19720525 | DE 1971-2156835 | 19711116 |
| | DE 2156835 | B2 | 19731220 | | |
| | DE 2156835 | C3 | 19740718 | | |
| | | | | US 1970-91173 | 19701119 |
| | | | | US 1970-91176 | 19701119 |
| | US 3745212 | A | 19730710 | US 1970-91173 | 19701119 |
| | US 3801562 | Α | 19740402 | US 1970-91176 | 19701119 |
| | BE 775377 | A1 | 19720516 | BE 1971-110538 | 19711116 |
| | | | | US 1970-91173 | 19701119 |
| | | | | US 1970-91176 | 19701119 |
| | GB 1380904 | A | 19750115 | GB 1971-53105 | 19711116 |
| | | | | US 1970-91173 | 19701119 |
| | | | | US 1970-91176 | 19701119 |
| | CA 1014551 | A1 | 19770726 | CA 1971-127752 | 19711116 |
| | | | | US 1970-91176 | 19701119 |
| | NL 7115862 | A | 19720524 | NL 1971-15862 | 19711117 |
| | NL 173053 | В | 19830701 | | |
| | NL 173053 | С | 19831201 | | |
| | | | | US 1970-91173 | 19701119 |
| | | | | US 1970-91176 | 19701119 |
| | FR 2115246 | A5 | 19720707 | FR 1971-41365 | 19711118 |
| | FR 2115246 | B1 | 19751226 | | |
| | | | | US 1970-91173 | 19701119 |
| | US 3893992 | A | 19750708 | US 1973-424020 | 19731212 |
| | | | | US 1970-91176 | 19701119 |

AB Peptides useful in the detn. of pancreatic enzyme sufficiency were prepd. Thus, N-methylmorpholine and ClCO2Et were added to L-BzNHCH-(CH2Ph)CO2H in THF at -15°. After 3 min, p-H2NC6H4-CO2Et was added to give L-BzNHCH(CH2Ph)CONHC6H4-CO2Et-p (I). I reacted with KOCMe3 in Me2SO at room temp. for 5 hr, and the resulting product was treated with 1N HCl to give L-BzNHCH(CH2Ph)CONHC6H4CO2H-p. Several similar peptides were prepd. The peptides were used in in vitro and in vivo testing of pancreatic enzyme sufficiency. Pharmaceutical formulations were given.

IT 38219-63-5P

Absolute stereochemistry.

L9 ANSWER 157 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1972:113513 CAPLUS

DN 76:113513

- TI Preparation of new 3-sulfamoyl-4-chloroaniline derivatives with expected diuretic action
- AU Parauszewski, Ryszard; Kwapiszewski, Wincenty; Slomka, Dobromila; Szyszko, Barbara
- CS Akad. Med., Warsaw, Pol.
- SO Farmacja Polska (1971), 27(12), 961-5 CODEN: FAPOA4; ISSN: 0014-8261
- DT Journal
- LA Polish
- The title compds. I (R = amino acid acyl group) (II) were prepd. Thus, 5 mmoles I (R = H) HCl salt was reacted with NEt3, N-carbobenzoxy (Z) amino acids, and N,N'-dicyclohexylcarbodiimide 5 mmoles in 70 ml dioxane at room temp. 18 hr to give 21-58% I (R = N-Z amino acid acyl group) (III). III (1 g) was hydrogenated in 70 ml MeOH over 0.5 g Pd black to give 45-72% I [R = Gly, L-Glu (α , γ -bis compd.), L-Tyr, L-Leu, L-Val, L-Asp (α , β -bis compd.)].

IT 35726-70-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 35726-70-6 CAPLUS

CN Carbamic acid, [2-[[3-(aminosulfonyl)-4-chlorophenyl]amino]-1-[(4hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

L9 ANSWER 158 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1971:498805 CAPLUS

DN 75:98805

TI Peptides with terminal tyrosyl and phenylalanyl groups

AU Skorcz, Joseph A.

CS Lakeside Lab., Colgate-Palmolive Co., Milwaukee, WI, USA

SO Journal of Medicinal Chemistry (1971), 14(8), 775-6 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Three tripeptides and a pentapeptide contg. both N-terminal L-tyrosyl and C-terminal L-phenylalanyl groups, were prepd. for general cardiovascular evaluation in dogs. Only 1-L-tyrosylamino-1-cyclopentyl-L-phenylalanine acetate at 1 mg/kg, i.v., caused a marked, transient decrease in blood pressure, but did not inhibit angiotensin-induced contractions of the isolated rat uterus at concns. up to 10 µg/ml.

IT 33374-86-6P 33492-42-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 33374-86-6 CAPLUS

CN Alanine, N-[m-[L-α-(carboxyamino)-p-hydroxyhydrocinnamamido]benzoyl]3-phenyl-, N-benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 33492-42-1 CAPLUS

CN Alanine, N-[m-[L- α -(carboxyamino)-p-hydroxyhydrocinnamamido]benzoyl]- 3-phenyl-, N-benzyl methyl ester, benzyl carbonate (ester), L- (8CI) (CA INDEX NAME)

L9 ANSWER 159 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1971:75692 CAPLUS

DN 74:75692

TI Hydroxy- and mercaptopyridine salts catalysts in N-acylations with esters

IN Fujimoto, Yasuo; Nakamizo, Nobuhiro

PA Kyowa Fermentation Industry Co., Ltd.

SO Ger. Offen., 15 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|---------|----------|-----------------|----------|
| ΡΙ | DE 2031826 | A | 19710121 | DE 1970-2031826 | 19700626 |
| | DE 2031826 | B2 | 19730222 | | |
| | DE 2031826 | C3 | 19730927 | | • |
| | | | | JP 1969-51061 | 19690630 |
| | JP 49019244 | B4 | 19740516 | JP 1969-51061 | |
| PATE | NT FAMILY INFOR | MATION: | | | |
| AN | 1975:140510 | | | | |
| | | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| PI | JP 49019244 | B4 | 19740516 | JP 1969-51061 | 19690630 |
| | GB 1305259 | A | 19730131 | GB 1970-30923 | 19700625 |
| | • | | | JP 1969-51061 | 19690630 |
| | US 3867424 | A | 19750218 | US 1970-49918 | 19700625 |
| | | | | JP 1969-51061 | 19690630 |
| | DE 2031826 | A | 19710121 | DE 1970-2031826 | 19700626 |
| | DE 2031826 | B2 | 19730222 | | |
| | DE 2031826 | C3 | 19730927 | | |
| | | | | JP 1969-51061 | 19690630 |
| | FR 2051359 | A5 | 19710402 | FR 1970-23723 | 19700626 |
| | | | | JP 1969-51061 | 19690630 |
| | CH 531478 | A | 19721215 | CH 1970-531478 | 19700626 |
| | | | | JP 1969-51061 | 19690630 |
| | US 3963728 | A | 19760615 | US 1974-498125 | 19740816 |
| | | | | JP 1969-51061 | 19690630 |
| | | | | US 1970-49918 | 19700625 |
| | US 29369 | E | 19770823 | US 1976-658039 | 19760213 |
| | | | | JP 1969-51061 | 19690630 |
| | | | | US 1970-49918 | 19700625 |
| | US 4043992 | A | 19770823 | US 1976-673149 | 19760402 |
| | | | | JP 1969-51061 | 19690630 |
| | | | | US 1970-49918 | 19700625 |
| | | | | US 1974-498125 | 19740816 |

AB Na, K, Li, NEt4, or Ca salts of the pyridines I [X = O or S, R = H or Me, R1 = H or NO2, R2 = H or Me, (R1R2 =)CH:-CHCH:CH, XH in 2- or 3-position] were used as catalysts in N-acylations with esters. Thus, MeNHCO2Ph was

refluxed 5 hr with PhNH2 and the NEt4 salt of I (X = O, R = R2 = H, R1 = NO2, XH in 2-position) to give 91% MeNHCONHPh. I were similarly used in the prepns. of peptides, amides, N-acylated piperidines, hydroxamic acids, or N-protected amino acids.

IT 30923-23-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 30923-23-0 CAPLUS

CN Carbamic acid, [α -methyl- α -[(p-nitrophenyl)carbamoyl]benzyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 160 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1970:456022 CAPLUS

DN 73:56022

TI 2-(1-Hydantoinyl)propionic acids

AU Fontanella, Luigi

CS Lab. Ric., "Lepetit" S.p.A., Milan, Italy

SO Farmaco, Edizione Scientifica (1970), 25(7), 542-61 CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA Italian

The synthesis of esters of 2-(1-hydantoinyl)propionic acids is described. These esters were hydrolyzed to the corresponding carboxylic acids, from which, through their acyl chlorides, substituted amides or dimethylaminoethyl esters, were obtained. By mild redn. with LiAlH4, 3,5-disubstituted 1-(1-hydroxy-2-propyl)-4-imidazolin-2-ones were obtained, and acylated with anhydrides or acyl chlorides.

1-(1-Acetoxy-2-propyl)-3-phenyl-5-methyl-4-imidazolin-2-one was hydrogenated to the corresponding imidazolidin-2-one. By mild redn. of the esters (Ia,b) with Ca(BH4)2, trisubstituted ureas and imidazolinones were obtained.

IT 28017-38-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 28017-38-1 CAPLUS

CN Alanine, N-carboxy-N- $[\alpha-(phenylcarbamoyl)benzyl]-$, diethyl ester, DL-(8CI) (CA INDEX NAME)

L9 ANSWER 161 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1969:457012 CAPLUS

DN 71:57012

TI Rate-determining step in pepsin-catalyzed reactions, and evidence against an acyl-enzyme intermediate

AU Cornish-Bowden, A. J.; Greenwell, P.; Knowles, Jeremy R.

CS Univ. Oxford, Oxford, UK

SO Biochemical Journal (1969), 113(2), 369-75 CODEN: BIJOAK; ISSN: 0264-6021

DT Journal

LA English

AB To delineate further the pathway of pepsin-catalyzed reactions, three types of expts. were performed: (a) the enzyme-catalyzed hydrolysis of a no. of di- and tripeptide substrates was studied with a view to observing the rate-detg. breakdown of a common intermediate; (b) the interaction of pepsin with several possible substrates for which burst kinetics might be expected was investigated; (c) attempts were made to trap a possible acyl-enzyme intermediate with MeOH-14C in reactions with N-acetyl-L-phenylalanyl-L-phenylalanylglycine and with N-acetyl-L-phenylalanine under conditions where extensive hydrolysis or 18O exchange is known to occur. It was concluded that intermediates in pepsin-catalyzed reactions (aside from the Michaelis complex) occur subsequently to the rate-detg. transition state, and that an acyl-enzyme intermediate, if such is formed, cannot be trapped with MeOH-14C in these systems.

IT 19647-71-3P 24788-07-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 24788-07-6 CAPLUS

CN Carbamic acid, $[\alpha-[(p-methoxyphenyl)carbamoyl]phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)$

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1.9
    ANSWER 162 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN
     1969:29292 CAPLUS
DN
    70:29292
TI
    p-Nitranilides of amino acids
IN
    Kasafirek, Evzen; Rudinger, Josef
so
     Czech., 3 pp.
     CODEN: CZXXA9
рΤ
    Patent
LA
    Czech
FAN CNT 1
     PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
     _______
                                          _____
PΙ
    CS 126411
                          19680315
                                          CS
                                                          19660315
    The NH2 groups of amino acids are protected with a benzyloxycarbonyl (\mathbf{Z})
    or tosyl (Tos) group and the compd. treated with the condensation product
    of 2 moles p-O2NC6H4NH2 and 1 mole PCl3 (phosphorazo compd.). The
    protective groups are then split off with HBr in AcOH. Thus, a soln. of
    2.75 g. p-O2NC6H4NH2 in 40 ml. pyridine is treated at -20° with 0.9
    ml. PCl3, the mixt. kept 30 min. at -20° and 30 min. at room temp.,
    the protected amino acid (20 milli-moles) is added and the mixt. boiled 3
    hrs. to yield the following protected p-nitranilides (I) (protected amino
    acid, % yield, and m.p. given): Z-glycine, 72, 176-8°; Z-L-proline,
    67, 161-4°; Z-L-leucine, 55, 144-7°; Z-S-benzyl-L-cysteine,
    64, 142-6°; Z-L-phenylalanine, -, 185-91°; Tos-L-leucine,
    58, 182-9°; Tosglycine, 50, 181-8°. To remove the
    protective groups, a soln. of 0.15 mole I in 100 ml. AcOH is treated with
    100 ml. 35% HBr soln. in AcOH, and the mixt. kept 1 hr. to give the
    following p-nitranilides (amino acid, % yield, and m.p. given): glycine,
    69, 169-71°; L-phenylalanine (II), 71, 156-7°; L-leucine,
    50, 90-1°; Gly-L-Phe, 80, 174-7°. The p-nitranilide of
    acetyl-L-phenylalanine, obtained in 88% yield by treating II with Ac20 in
    pyridine at 5°, gave crystals, m. 243-6°. A soln. of 2.1 g.
    Z-glycine in 25 ml. CHCl3 and 1.4 ml. N-ethylpiperidine was stirred 10
    min. at 5° with 1.4 ml. sec-BuO2CCl and a soln. of 2.85 q. II in 30
    ml. CHCl3 and 10 ml. tetrahydrofuran added to yield 3.7 g. Z-Gly-L-Phe, m.
    198-202°.
IT 19647-71-3P
    RL: SPN (Synthetic preparation); PREP (Preparation)
       (prepn. of)
RN
    19647-71-3 CAPLUS
    Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-
CN
     , phenylmethyl ester (9CI) (CA INDEX NAME)
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L9
     ANSWER 163 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AΝ
     1969:29255 CAPLUS
     70:29255
DN
ΤI
     Optical rotatory dispersion curves of N-(N-oxido-2-pyridyl)amino
     compounds. IV. Amino amides
ΑU
     Tortorella, Vincenzo; Bettoni, Giancarlo
     Univ. Roma, Rome, Italy
so
     Gazzetta Chimica Italiana (1968), 98(3), 324-30
     CODEN: GCITA9; ISSN: 0016-5603
DT
     Journal
     Italian
LA
     The Cotton effect observed in O.R.D. curves in MeOH of pyridyl N-oxide
     amine derivs. (I) is due to an inherently dissymmetric chromophore arising
     from the interaction of aromatic and pyridine N-oxide groups. Intramol. H
     bonding leads to rigid structures energetically favored by the
     conformations II and III. When only one of the substituted R groups on
     the asym. C is a chromophoric group, R1 substitution gives pos. Cotton
     effect for II and no effect on III while R2 substitution gave a neg.
     effect for III and no effect for II. When both R1 and R2 are chromophoric
     substituents both conformations contribute to the Cotton effect in
     opposite directions due to opposing spatial relation with respect to the
     pyridine N-oxide nucleus. As the substituted R1 group changes in order of
     increasing absorption peak \lambda with const. R2 from carboxyl to amide,
     cyclohexylamide, benzylamide, anilide, p-toluide, p-naphthylamide, the
     Cotton effect becomes more pos. Similarly with const. R1 as the R2 group
     changes from Me and iso-Pr to benzyl and Ph (increasing absorption peak of
     chromophore), the more neg. the Cotton effect. When an aromatic ring is
     present in one of the R groups that is not directly linked to the asym. C
     atom, the effect of both substituents in R1 on the width of the O.R.D.
     curves is additive for any const. R2 series. All the compds. were prepd.
     from aminobenzyloxycarbonyl acids or amino amides in the presence of
     EtO2CC1. The PhCH2O2C(2) group was removed by catalytic redn. or by
     treatment with HBr/HOAc. The following compds. were prepd. (m.p. given):
     Z-L-Val-NHCH2Ph, 170-2°; L-Val-NHCH2Ph (IV).-HBr, 162-4°;
     Z-L-Val-NHC6H4Me-p, 191-2°; L-Val-NHC6H4-Me-p (V) 54-6°;
     Z-L-Leu-NHC10H7-\beta·H2O, 153-6°; Z-L-Leu-NHCH2Ph,
     161-2°; L-Phe-NHCH2Ph (VI), 68-9°; Z-L-Phe-NHC6H4Me-p,
     170-1°; L-Phe-NHC6H4Me-p (VII), 86-7°; Z-D-PheNHCH2CONHC6H11
     (C6H11-cyclohexyl), 200-1°; D-PheNHCH2CONHC6H11 (VIII),
     92-3°; Z-D-PheNHCH2-CONHPh, 193-4°; D-PheNHCH2CONHC10H7-
     \beta (IX) HBr.0.5-H2O, 226° (decompn.). The following
     pyridyl N-oxide derivs. were prepd. (corresponding amide and m.p.
     given): IV, 141-2°; V 220° (decompn.) L-Leu-NHC10H7-\beta,
     206° (decompn.); L-Phe-NH2, 187-8°; VI, 170-1°;
     L-Phe-NHPh, 211° (decompn.); VII, 202° (decompn.); VIII,
     204° (decompn.); D-PheNHCH2-CONHPh, 221-2° (decompn.); IX,
     228° (decompn.).
IT 20998-88-3P 20998-91-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
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RN 20998-88-3 CAPLUS

CN Carbamic acid, [α-(p-tolylcarbamoyl)phenethyl]-, benzyl ester, L-(8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 20998-91-8 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 164 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:487424 CAPLUS

DN 69:87424

TI Synthesis of optically pure P-nitroanilides of amino acids

AU Ramenskii, E. V.; Botvinik, M. M.; Beisembaeva, R. U.

CS Mosk. Gos. Univ. im. Lomonosova, Moscow, USSR

SO Khimiya Prirodnykh Soedinenii (1968), 4(1), 23-7 CODEN: KPSUAR; ISSN: 0023-1150

DT Journal

LA Russian

In this abstr. Z = PhCH2O2C, PNA = NHC6H4NO2-p, dicyclohexylcarbodiimide = DCC, and THF = tetrahydrofuran. The title compds. were synthesized thus: By combining 7.24 g. of p-H2NC6H4NO2 (I) and 4.02 g. of DCC in 100 ml. abs. THF contg. 2.7 g. L-Z-Phe 38% L-Z-Phe-PNA (II) was formed, m. 158.5-9.5° (80% alc.), $[\alpha]436$ 141°, (c 0.94, acetone). Treatment of 0.57 g. of II with 5 ml. 30% HBr-HOAc removed the Z-group. The HBr salt was then treated with 0.4 ml. 6.72N NH4OH, yielding 0.20 g. of the corresponding base L-Phe-PNA (III), m. 156.5- $7.5^{\circ}, \alpha]436$ -314° (c 0.79, acetone). Acetylation of III was done by dissolving 0.45 g. III in 1 ml. boiling HOAc, cooling to $40\,^{\circ}\text{,}$ adding 0.25 ml. Ac2O and boiling 2 min. to give 0.44 g. of yellow-colored L-Ac-Phe-PNA (IV), m. 252-3°, [α]500 117° (c 0.5, acetone), $[\alpha]436$ 216° (c 0.5, acetone). L-Ac-Leu-PNA, m. $192-4^{\circ}$, $[\alpha] 546$ -10.8° (c 0.46, acetone) was obtained as in IV. D-Ac-Phe-NHNH2 (V), m. 166-8°, $[\alpha]$ 20D -22° (c 1.2, EtOH), was converted to N'-acetyl-D-phenylalanyl-3,5-dimethylpyrazole (VI). Thus, 0.22 g. of Vsuspended in 5 ml. abs. alc. was added to 0.2 ml. freshly distd. Ac2CH2 to give 0.215 g. VI, m. 147.5-9.0°, (C6H6-petroleum ether),

Absolute stereochemistry.

, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 165 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text 1968:78612 CAPLUS AN DN 68:78612 Potential antiviral agents. Carbobenzoxy di- and tripeptides active against measles and herpes viruses Nicolaides, Ernest D.; De Wald, Horace A.; Westland, Roger D.; Lipnik, ΑU Marilyn; Posler, Jeanette CS Parke, Davis and Co., Ann Arbor, MI, USA so Journal of Medicinal Chemistry (1967), 11(1), 74-9 CODEN: JMCMAR; ISSN: 0022-2623 DT Journal LA English A large no. of carbobenzoxy dipeptides, several tripeptides, and a no. of alkyl, cycloalkyl, aryl, and heterocyclic amide derivs. of carbobenzoxy-L-and D-phenylalanine were synthesized. Many of the peptides were active against measles and herpes viruses. IT 16876-71-4P 17462-13-4P 17462-19-0P 17462-21-4P 17462-24-7P 17462-27-0P 17462-29-2P 17462-30-5P 17572-38-2P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 16876-71-4 CAPLUS

Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,

phenylmethyl ester, (R) - (9CI) (CA INDEX NAME)

RN 17462-13-4 CAPLUS

CN Carbamic acid, [α -[(m-sulfamoylphenyl)carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 17462-19-0 CAPLUS

CN Carbamic acid, [α -[(α , α , α -trifluoro-m-tolyl)carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 17462-21-4 CAPLUS

CN Carbamic acid, [α -(1H-indazol-5-ylcarbamoyl)phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 17462-24-7 CAPLUS

CN Acetic acid, [[p-[α-(carboxyamino)hydrocinnamamido]phenyl]thio]-,
N-benzyl ester, D- (8CI) (CA INDEX NAME)

RN 17462-27-0 CAPLUS

CN Carbamic acid, [α -(8-quinolylcarbamoyl)phenethyl]-, benzyl ester, D-(8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 17462-29-2 CAPLUS

CN Hippuric acid, p-[α -(carboxyamino)hydrocinnamamido]-, p-benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 17462-30-5 CAPLUS

CN Carbamic acid, [α -(carbazol-3-ylcarbamoyl)phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

RN 17572-38-2 CAPLUS

CN Carbamic acid, [α -[(p-cyanophenyl)carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 166 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:69314 CAPLUS

DN 68:69314

TI Polypeptides. VI. Variations of the terminal amidePolypeptides. VI. Variations of the terminal amide position in the C-terminal tetrapeptide amide sequence of the gastrins

AU Gregory, Harold; Laird, Alan H.; Morley, John S.; Smith, John Munro

CS Imp. Chem. Ind. Ltd. Pharm. Div., Macclesfield, UK

SO Journal of the Chemical Society [Section] C: Organic (1968), (5), 522-31 CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

AB The synthesis is described of analogs of L-tryptophyl-L-methionyl-L-aspartyl-L-phenylalanine amide (the C-terminal sequence of the gastrins) and(or) its N-benzyloxycarbonyl or N-tert-butoxycarbonyl derivs. wherein the terminal amide group has undergone replacement by H, carboxy, hydroxymethyl, glycyl amide, L-phenylalanyl amide, or various mono- and disubstituted amide groups.

IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 167 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:30014 CAPLUS

DN 68:30014

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ΤI
     Use of 2-halopyridine N-oxides in peptide chemistry. I. Use of
     2-fluoropyridine N-oxide in gradual degradations
AU :
     Tortorella, Vincenzo; Tarzia, Giorgio
     Univ. Rome, Rome, Italy
CS
     Gazzetta Chimica Italiana (1967), 97(10), 1479-86
     CODEN: GCITA9; ISSN: 0016-5603
DT
     Journal
LA
    Italian
AB
     I, where n is >0 and R1 is an alkyl group, II, where Ar is an aryl group,
     and III, where R1 and R2 are alkyl groups, are prepd. from
     2-fluoropyridine N-oxide (IV) and peptides and amino acids. Thus,
     N-benzyloxycarbonyl-amino acids are treated with amines in the presence of
     ClCO2Et, as the PhCH2O2C group is removed by catalytic redn. or by
     treatment with HBr in HOAc, to give the following compds. [m.p. and
     \texttt{[\alpha]D given]: benzyloxycarbonyl-}\beta\text{-alanine }N\text{-cyclohexylamide,}
     157-8° (CH2Cl2-hexane), -; β-alanine N-cyclohexylamide-HBr,
     193-4° (EtOH-Et2O), -; benzyloxycarbonyl-DL-β-aminobutyric
     acid N-cyclohexylamide, 184-5° (CH2Cl2-hexane), -;
     DL-β-aminobutyric acid N-cyclohexylamide-HOAc, 134-5°
     (hexane-CHCl3), -; benzyloxycarbonyl-y-aminobutyric acid
     N-cyclohexylamide[sic], 124-5° (CH2Cl2-hexane), -;
     γ-aminobutyric acid N-cyclohexylamide-HBr, 143-4°
     (EtOH-Et20), -; benzyloxycarbonyl-D-phenylalanine anilide, 167-8°
     (MeOH), -; D-phenylalanine anilide, 74-5° (EtOH-water), -19°
     (EtOH); benzyloxycarbonyl-L-phenylalanine 2-naphthylamide, 172-3^{\circ}
     (CH2Cl2-hexane), -; benzyloxycarbonyl-L-valine anilide, 182-4°
     (CH2Cl2-hexane), -27.5°; Z-Sar-L-Phe-NHCyc (Z = PhCH2OCO, Cyc =
     cyclohexyl), 162-4° (CH2Cl2-hexane), -13°; Sar-L-Phe-NHCyc.
     125-6° (CH2Cl2-hexane), -11°; Z-L-Pro-L-Phe-NHCyc,
     177-8° (CH2Cl2-hexane), -81°; L-Pro-L-Phe-NHCyc,
     133-4° (CH2Cl2-hexane), -54°. IV is treated with the
     peptide amides and amino acid amides according to Tertorella to give the
     following I (amide reactant and m.p. I given): \beta\text{-alanine}
     N-cyclohexylamide, 125-8° (Me2CO); DL-\beta-aminobutyric acid
     N-cyclohexylamide, 135-6° (164-5°); γ-aminobutyric
     acid N-cyclohexylamide, 149-50° (Me2CO); the following II (amide
     reactant, m.p. and [\alpha]D given): D-phenylalanine anilide.
     211-13° (MeOH), -; L-phenylalanine 2-naphthylamide, 212-13°
     (MeOH-Et2O), +73°; L-valine anilide, 230° (decompn.)
     (MeOH-Et20), 126°; and the following III (amide reactant, m.p. and
     [α]D given): Sar-L-Phe-NHCyc, 136-7° (Me2CO-hexane),
     -90°; L-Pro-L-Phe-NHCyc.0.5H2O, 170° (decompn.)
     (MeOH-water), -115° (EtOH). Mixts. of I and 8 ml. 99% HCO2H are
     refluxed 3 hrs. and the I remain intact. II treated with 99% F3CCO2H gave
     the N-(2-pyridyl)amino acids; III are treated with 99% HCO2H to give
     N-(2-pyridyl)amino acids.
IT 16876-71-4P 16876-73-6P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
    16876-71-4 CAPLUS
     Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
     phenylmethyl ester, (R) - (9CI) (CA INDEX NAME)
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RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 168 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1967:482392 CAPLUS

DN 67:82392

TI Diagnostic and therapeutic amide derivatives

IN Morley, John S.

PA Imperial Chemical Industries Ltd.

SO Brit., 18 pp. CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE -------------ΡI GB 1063728 19670330 GB 19650412 cf. preceding abstr. Polypeptide derivs. are prepd. having the formula (I), $(X = H \text{ or acyl}; m = 0-3; n = 1-4; Y = H, alkylthio, or aralkylthio;}$ R1 = R2 = H, NH2, alkyl, aryl, or joined to form a heterocycle). Acylated I affect gastric and pancreatic secretion, gastric and intestinal tone and motility, and pepsin output in mammals, and are, therefore, useful as diagnostic agents and in the treatment of gastric or duodenal ulcers or paralytic ileus. Thus, 4.53 parts L-norleucyl-L-aspartyl-Lphenylalaninamide acetate (m. 223-5°), in 20 parts water was added to 50 parts HCONMe2 contg. 2.02 parts Et3N at 0-10°, 5.32 parts N-tert-butoxycarbonyl-L-tryptophan 2,4,5-trichlorophenyl ester added, the mixt. stirred at 0-5° 2 days and at 20-22° 1 day, and the mixt. added to ice water 200, concd. HCl 0.74, and HOAc 2.4 parts to give a solid residue of N-tert-butoxycarbonyl-L-tryptophanyl-L-norleucyl-Laspartyl-L-phenylalaninamide (II), m. 217-18° (decompn.). II (2.5 parts) was added to 10 parts 80% aq. F3CCO2H, the mixt. stirred 1 hr. at 15-20°, and 50 parts Et2O added to give L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide trifluroacetate (III), m. 205-6 $^{\circ}$ with effervescence. II (4.6 parts) in 30 parts hot HOAc was added to 20 parts 1.75N HCl in HOAc at 20-5° with external cooling, the mixt. maintained at 20-5° 1.5 hrs., and 30 parts Et2O added to give

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L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide-HCl (IV), m.
     235° (decompn.). IV 3.08, HCONMe2 50, water 25, and Et3N 1.01
     parts was stirred at 20-2° 10 min., the soln. cooled to 0°,
     1.72 parts N-acetyl-\beta-alanine 2,4,5-trichlorophenyl ester (m.
     88-90°) added, the mixt. stirred at 0-5° 3 days, at
     40-5° 5 min., and added to ice water 400, concd. HCl 0.74, and
     EtOAc 100 parts to give N-acetyl-β-alanyl-L-tryptophanyl-L-norleucyl-
     L-aspartyl-L-phenylalaninamide, m. 240-2° with effervescence.
     [TABLE OMITTED] Similarly prepd. were N-benzyloxycarbonylglycyl-L-
     tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide, m.
     228-30°, from III and N-benzyloxycarbonylglycine
     2,4,5-trichlorophenyl ester and the corresponding N-tert-butoxycarbonyl-
     \beta-alanyl-L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalanineamide,
     m. 217-19° with effervescence, from IV and N-tert-butoxycarbonyl-
     \beta-alanine. Also prepd. were the following N-hydrocarbyloxycarbonyl-L-
     (acyl group 1)-L-(acyl group 2)-L-aspartyl-L-phenylalaninamides (V)
     usually from L-(acyl group 2)-L-aspartyl-L-phenylalaninamide acetate (VI)
     and N-hydrocarbyloxycarbonyl-L-(acyl group 1) 2,4,5-trichlorophenyl ester
     (VII) (see table).
IT 15366-12-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
     15366-12-8 CAPLUS
     Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
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Absolute stereochemistry.

phenylmethyl ester (9CI) (CA INDEX NAME)

RN

CN

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ANSWER 169 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
ΑN
     1967:36734 CAPLUS
DN
     66:36734
TI
     Amino acid-(phenylazo)-phenyl derivatives. X. The phytotoxic effect of
     amino acid-4-(phenylazo)-phenylamides
     Barth, Alfred; Schwenk, Paul
ΑIJ
     Inst. Naturwiss. Bernburg, Germany
CS
     Acta Chimica Academiae Scientiarum Hungaricae (1966), 49(4), 405-16
     CODEN: ACASA2; ISSN: 0001-5407
DT
     Journal
LA
     German
     cf. CA 65, 15723b. Amino acid-4-(phenylazo)phenylamides exhibited
     phytotoxic effects against Sinapis alba. Glycine-4-
     (phenylazo)phenylamide, DL-valine-4-(phenylazo)phenylamide,
     \beta-alanine-4-(phenylazo)phenylamide, sarcosine-4-(phenylazo)-
     phenylamide, and \alpha-aminoisobutyrate-4-(phenylazo)phenylamide
     possessed strong activity, while DL-norleucine-4-(phenylazo)-phenylamide,
     DL-alanine-4-(phenylazo)phenylamide, DL-leucine-4-(phenylazo)phenylamide,
     and DL-phenylalanine-4-(phenylazo) phenylamide were less active herbicides
     against S. alba. The introduction of electron-withdrawing substituents
     into the (phenylazo) phenyl component decreased the herbicide efficiency,
     suggesting that H bonding may be involved. Differences in herbicide
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activity between the D- and L-stereoisomers of these compds. were observed; for instance, D-phenylalanine-4-4(phenylazo)phenylamide was active, whereas L-phenylalanine-4-(phenylazo)phenylamide was not active. 15 references.

IT 14378-84-8P 14538-98-8P 14539-00-5P

14539-01-6P 89732-77-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 14378-84-8 CAPLUS

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1-

(phenylmethyl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 14538-98-8 CAPLUS

CN Carbamic acid, $[\alpha-[p-(phenylazo)phenyl]carbamoyl]phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)$

Absolute stereochemistry.

Double bond geometry unknown.

RN 14539-00-5 CAPLUS

CN Carbamic acid, $[\alpha-[[p-[(p-chlorophenyl)azo]phenyl]carbamoyl]phenethy l]-, benzyl ester, D- (8CI) (CA INDEX NAME)$

Absolute stereochemistry.

Double bond geometry unknown.

RN 14539-01-6 CAPLUS

CN Carbamic acid, $[\alpha-[[p-[(p-nitrophenyl)azo]phenyl]carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)$

Absolute stereochemistry.

Double bond geometry unknown.

RN 89732-77-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 170 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1967:29048 CAPLUS

DN 66:29048

TI Synthesis of p-nitroanilides of N-acylated amino acids

AU Botvinik, M. M.; Ramenskii, E. V.

SO Vestnik Moskovskogo Universiteta, Seriya 2: Khimiya (1966), 21(5), 127-30 CODEN: VMUKA5; ISSN: 0579-9384

DT Journal

LA Russian

AB To prepare the title compds. the carbobenzoxylated deriv. and p-nitroaniline (I) were first combined using dicyclohexylcarbodiimide (II) to form the amide bond. The Z-group (Z = carbobenzoxy) was removed with

HBr-HOAc and the amino group reacylated with Ac2O. Thus, 7.24 g. D-Z-Phe, m. 88-9°, $[\alpha]$ 20 D -4.5° (c 5, HOAc) and 2.7 g. I was treated with 4.02 g. II in 100 ml. tetrahydrofuran. After 20 hrs. the urea was removed and the filtrate concd. in vacuo to give 3.12 g. D-Z-Phe-NHC6H4NO2-p (III), m. 158-9° (80% alc.), [α]436 -154° (c 0.94, Me2CO). Similarly obtained was DL-Z-Phe-NHC6H4NO2-p (IV), m. 208-9°. After treatment of IV with HBr-HOAc to remove the Z-group, the resulting anilide HBr salt was treated with NH40H to give the free base Phe-NHC6H4NO2-p (V), m. 128.5-9.5°. Similarly, from III was obtained D-Phe-NHC6H4NO2-p (VI), m. 156.5-7.5°, $[\alpha]436$ 315.8° (c 0.79, Me2CO). Treating VI in HOAc with Ac2O gave 86% D-Ac-Phe-NHC6H4NO2, m. 251-2°, $[\alpha]436$ -242.6° (c 1, Me2CO). Alternately, reaction of 0.17 g. of 1-(carbobenzoxy(glycyl)-3,5dimethylpyrazole with 0.12 g. I for 5 hrs. at 120-30° gave 89% Z-Gly-NHC6H4NO2-p, m. 170-2°. An attempt to prepare 1-(N-benzoyl-L-tyrosyl)-3,5-dimethylpyrazole from Bz-Tyr-NHNH2 and acetylacetone in abs. alc. gave, by analysis, the hydrazide of N-benzoyl-L-tyrosylacetylacetone, m. 149-50°.

IT 14235-15-5P 14235-16-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl], phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14235-16-6 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 171 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1963:422024 CAPLUS

DN 59:22024

OREF 59:4029b-c

TI Synthesis of N, N-bis(2-chloroethyl)-DL-phenylalanine hydrochloride AU Le, William W.; Ton, George L.; Martine, Abelardo P.; Weinstei, Boris;

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Schelstraet, Marc G. M.; Bake, B. R.; Goodma, Leon
CS
     Stanford Res. Inst., Menlo Park, CA
SO
     Journal of Medicinal Chemistry (1963), 6(4), 439-42
     CODEN: JMCMAR; ISSN: 0022-2623
DТ
     Journal
T.A
     Unavailable
     The conversion of Me DL-phenylalaninate (I) to the \alpha-mustard (II) of
AB
     DL-phenylalanine is described. Reaction of I with ethylene oxide gave
     3-benzyl-4(2-hydroxyethyl)morpholin-2-one. This reacted with ammonia to
     give 2-[bis(2-hydroxyethyl)amino]-3-phenylpropionamide. Chlorination
     followed by acid hydrolysis gave II. Neither II nor the morpholine
     mustard (III) exhibited significant antitumor activity against Walker 256
     Sarcoma, Sarcoma 180, Adenocarcinoma 755, and Leukemia L-1210.
     2-[Bis(2-chloroethyl)]amino3-phenylpropionamide was inactive against
     Walker 256 Sarcoma.
IT 6941-04-4, Carbamic acid, [\alpha-[(m-
     nitrophenyl)carbamoyl]phenethyl]-, benzyl ester
        (prepn. of)
RN
     6941-04-4 CAPLUS
CN
     Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
     phenylmethyl ester (9CI) (CA INDEX NAME)
L9
     ANSWER 172 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
     1962:423423 CAPLUS
DN
     57:23423
OREF 57:4749g-i,4750g-h
     Amino acid \beta-naphthylamides for determining activity of proteolytic
     enzymes
     Nesvadba, Hans
AII
CS
     Univ. Vienna
     Monatshefte fuer Chemie (1962), 93, 386-96
     CODEN: MOCMB7; ISSN: 0026-9247
DT
     Journal
LA
     Unavailable
     \beta\textsc{-Naphthylamine} (I) derivs. of amino acids are prepd, by coupling,
     for example, 1.13 g. carbobenzoxy-L-valine, 0.64 g. I, and 0.92 g.
     dicyclohexylcarbodiimide in 9 ml. tetrahydrofuran overnight in an
     icechamber; the dicyclohexylcarbodiimide complex dissolved in EtOAc.
     washed with N HCl, H2O, 4% NaHCO3, H2O, dried over MgSO4, then dried in
     vacuo gave carbobenzoxy-L-valine naphthylamide, which was hydrolyzed with
     25% HBr/HOAc 1 hr. at room temp., concd. in vacuo, and pptd. with ether to
     give L-valine naphthylamide. Where Cbo = carbobenzoxy, NA =
     naphthylamide, the following derivs. wereprepd.; Cbo-L-valine-NA, m.
     213-13.5°; L-valine-NA, m. 122-3°, [\alpha] 23D
     35.0° (c 1, MeOH); Cbo-L-isoleucine-NA, m. 202-4°;
     L-isoleueine-NA.HBr, m. 212-13° [\alpha] 23D 70.0 (c I, H2O);
     Cbo-L-serine-NA, m. 185-6°; L-serine-NA, m. 151.5-2.5°,
     [\alpha] 23D -2.0° (c 1, MeOH); Cbo-L-proline-NA, m. 131-3°;
     L-proline-NA.HBr, m. 238-40°, [\alpha]21D -23.0° (c 1,
    MeOH); Cbo-L-hydroxyproline-NA, m. 172-3°; L-hydroxyproline-NA, m.
     178.5-80°, [\alpha]24D -34.0° (c 1, MeOH);
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Cbo-L-histidine-NA, m. 174°; L-histidine-NA, m. 178-80°,

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[α] 22D 38.0° (c 1, MeOH); Nα-Cbo-O-benzyl-L-tryosine-
     NA, m. 181-3°; L-tryosine-NA, m. 194-6° [α] 22D
     -152.0° (c 1, glacial HOAc); Cbo-L-tryptophan-NA, m. 181-3°
     L-tryptophan-NA, m. 145-6° [\alpha] 23D 76.0° (c 1, MeOH); Cbo-L-phenylalanine-NA, m. 173-4°; L-phenyl-alanine-NA, m.
     128-9°, [\alpha] 23D 86.0° (c 1, MeOH); Cbo-L-asparagine-
     \beta-benzyl ester-\alpha-NA, m. 171-2°; L-asparagine-\beta-NA,
     m. 234-5^{\circ}, [\alpha] 28D 42.3^{\circ} (c 1, 95% HOAc);
     Cbo-L-asparagine-\alpha-benzylester-\beta-NA, m. 180-1°;
     L-asparagine \beta-NA, m. 252-4°, [\alpha] 28D 1.4° (c 0.5,
     95% HOAc); Cbo-L-glutamic acid-γ-benzyl ester-γ-NA, m.
     181-3°; L-glutamic acid-\alpha-NA, m. 184-6°, [\alpha] 28D
     65.7° (c 1,95% HOAc); Cbo-Lglutamic acid-α-benzyl
     ester-\alpha-NA, m. 150-1°; L-glutamic acid-\gamma-NA, m.
     207°, [\alpha]28D 0.5° (c 1, 95% HOAc); di-Cbo-L-lysine-NA,
     m. 151.5-3.5°; L-lysine-NA carbonate, m. 104-5°,
     [\alpha]19D 89.0° (c 1, N HCl); L-lysine-NA dipicrate, m.
     238-9° (decompn.); di-Cbo-L-ornithine-NA, m. 176-8°;
     L-ornithine-NA carbonate monohydrate, m. 115-17°, [\alpha] 21D
     82.8° (c 1, N HCl); L-ornithine-NA dipicrate, m. 226-8°
     (decompn.); tri-Cbo-L-arginine-NA, m. 192-4°; L-arginine NA
     carbonate hemihydrate, m. 150-8° [α] 21D 61.4° (c 1,
     95% HOAc).
IT 96068-67-6, Carbamic acid, [\alpha - (2 -
     naphthylcarbamoyl)phenethyl]-, benzyl ester 96980-38-0, Carbamic
     acid, [p-(benzyloxy)-\alpha-(2-naphthylcarbamoyl)phenethyl]-, benzyl
     ester
         (prepn. of)
RN
     96068-67-6 CAPLUS
CN
     Carbamic acid, [\alpha-(2-naphthylcarbamoyl)phenethyl]-, benzyl ester
     (7CI) (CA INDEX NAME)
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RN 96980-38-0 CAPLUS CN Carbamic acid, [p-(benzyloxy)- α -(2-naphthylcarbamoyl)phenethyl]-, benzyl ester (7CI) (CA INDEX NAME)

L9 ANSWER 173 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1962:404021 CAPLUS

DN 57:4021

OREF 57:830a-i,831a-h

TI 1,3-Dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides

AU Bell, Stanley C.; Sulkowski, Theodore S.; Gochman, Carl; Childress, Scott

J.

CS Wyeth Labs., Inc., Radnor, PA, USA

SO Journal of Organic Chemistry (1962), 27, 562-6 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

Alc. NaOH converted 6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide AB (I) into 7-chloro-5-phenyl-1,3-dihydro-2H-1,4-benzo-diazepin-2-one 4-oxide (II). 7-Chloro-5-phenyl-1,3-dihy-dro-2H-1,4-benzodtazepin-2-one (III) was prepd. by redn. of II and by several alternate routes. A no. of analogs were made. The following methods were employed. Method A. I (1.5 g.) added to 2 g. NaOH in 30 ml. 85% alc., the mixt. stirred 0.5 hr., dild. with 30 ml. H2O, and acidified gave 1 g.II, m. 238-9°. Method A also afforded the product prepd. from $2-(\alpha-bromoethyl)-6-chloro-4$ phenylquinazoline 3-oxide. Alc. was used as the solvent. In addn. a 22% yield of 7-chloro-2-ethoxy-3-methyl-5-phenyl-3H- 1,4-benzodiazepine 4-oxide, m. 156-7°, was isolated. Method B. III (1 g.) and 1 ml. 40% AcO2H in 25 ml. AcOH kept 24 hrs. at room temp., dild. with 200 ml. H2O, neutralized, and crystd. gave 0.5 g. II. Method C. 2-Amino-5-chlorobenzophenone (23 g.) in 100 ml. CHCl3 treated at room temp. with 8.5 ml. ClCH2COCl in 50 ml. CHCl3, after 1 hr. the solvent removed, and the residue crystd. gave 24 g. 2-chloroacetamido-5chlorobenzophenone (IV), m. 119-21°. IV (5 g.) added to 125 ml. alc. satd. with NH3 and contg. a trace of NaI, the mixt. stirred 2 days, evapd., the solid extd. with dil. HCl, and neutralized gave 1.2 g. III, m. 214-16°; MeI salt m. 250-1°. III.MeI (3 g.) in 300 ml. H20 treated dropwise with NaBH4 in H2O and the ppt. recrystd. gave 1.8 g. 7-chloro-4-methyl-5-phenyl-1,3,4,5-tetrahydro-2H- 1,4-benzo-diazepin-2one, m. 206-8°. Method D. The compd. (2.5 g.) in 120 ml. 80% alc. and 2 ml. 6N HCl shaken with H in the presence of 1 g. 5% Pd-C, the filtrate evapd., MeCN added, the salt sepd., and treated with Na2CO3 gave 1.3 g. 5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (V), m. 170-80° (C6H6). V was isolated by catalytic redn. of II. When a third mole of H was added, satn. of the double bond occurred to give 50% 5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzodiazepin-2-one, m. 145-6°. Method E. α -Carbo-benzoxamidophenylacetyl chloride (from 10 g. lpha-carbo-benzoxamidophenylacetic acid and 7.9 g. PCl5 in 200 ml. Et20) left overnight with 8 g. 2-amino-5-chlorobenzophe-none gave 9.8 g. product, m. 137°. This product (8 g.) in 25 ml. AcOH contq. 30% HBr left 1 hr., the product dissolved in 100 ml. 75% ag. MeOH, neutralized, and poured on ice gave a solid, presumably 2-(a-aminophenylacetamido)-5-chlorobenzophenone, which was refluxed in PhMe over-night to give 90% 7-chloro-3,5-diphenyl-1,3-dihydro-2H-1,4benzodiazepin-2-one, m. 270° (decompn.) (PhMe). 2-(α-Carbobenzoxamidoacetamido)-5-chlorobenzophenone (VI), m. 115-16° (alc.), was prepd. as in the above example and used in method E to give III. Method F. 1-Aminocyclo-pentanecarboxylic acid (12.9 g.), 40 g. PCl5, and 300 ml. CCl4 shaken 18 hrs., the solid filtered off, washed, and dried gave 18.3 g. acid chloride-HCl, m. above 300°. This product in 20 g. 2-amino-5-chlorobenzophenone in 400 ml. CCl4 shaken overnight, the mixt. evapd., and the residue extd. with hot PhMe gave 13.5 g. 7-chloro-5-phenylspiro(3H-1,4-benzodiazepin-3,1'cyclopentan)-2(1H)-one, m. 238-40° (alc.). When 2-amino-5-chlorobenzophenone and glycyl chloride-HCl were used in method F, a 15% yield of 3-amino-6-chloro-4-phenyl-2(1H)-quinoline (VII) was obtained, m. 239-41° (alc.). VII (6 g.), 30 ml. 95% alc., and 6 ml. H2SO4 heated on the steam bath to give a clear soln., cooled to 5° , 4 g. NaNO2 in 10 ml. H2O added, the mixt. stirred 20 min., 1 g. Cu powder added, the mixt. heated to reflux, poured onto ice, made basic, and the solid crystd. gave 2.1 g. 6-chloro-4-phenyl-2(1H)-quinoline (VIII), m. 262°(alc.). Di-Et malonate (10 g.) and 11.6 g. 2-amino-5-chlorobenzo-phenone heated 1 hr. at 150-60°, cooled,

triturated with hexane, and the product crystd. gave 9.5 g. 3-carbethoxy-6-chlorn-4-phenyl-2(1H)-quinolone (IX), m. 235° (alc.). IX (8 g.), 150 ml. 20% NaOH, and 30 ml. alc. refluxed 1 hr., cooled, and acidified gave 7 g. 3-carboxy-5-chloro-4-phenyl-2(1H)quinolone (X), m. 305°. X (1.5 g.) refluxed 1 hr. in 50 ml. Dowtherm, dild., and chilled gave 1.1 g. VIII. Method G. II (50.8 g.) and 8.1 g. NaOH in 1.5 1. H2O and 300 ml. alc. treated with 17.5 ml. Me2SO4 gave after 1 hr. 36.5 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide (XI), m. 179-80° (alc.). Method H. PCl3 (10 ml.) in 10 ml. C6H6 slowly added to 12.5 g. XI in 50 ml. CHCl3 and 150 ml. C6H6, the mixt. refluxed 20 min., treated with 3 ml. alc. and 10 ml. C6H6, the ppt. sepd., stirred in 300 ml. H2O contg. 3 ml. HCl, and the product recrystd. gave 8.7 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro2H-1,4benzodiazepin-2-one, m. 122-4°(cyclohexane). II (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH warmed 10 min., the Na salt, m. 220-2°, collected, dissolved in H2O, acidified, and recrystd. gave 1 g. $N-(2-amino-5-chloro-\alpha-phenylbenzylidene)$ glycine N-oxide, m. 150-1° (decompn.) (MeCN). N-(2-Methylamino-5-chloro- α phenylbenzylidene) glycine Noxide was similarly prepd., m. 150-1° (decompn.). The 2 preceding compds. could be recyclized by heating 5 min. in 3N aq. alc. HCl. III (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH refluxed 10 min. and the 1.5 g. Na salt (XII) of N-(2amino-5-chloro- α phenylbenzylidene)glycine acidified gave 2-amino-5-chlorobenzophenone and glycine. XII (3 g.) treated with 0.5 g. NaBH4 in 15 ml, H2O and the mixt. after 15 min. cautiously acidified gave 2.5 g. N-(2-amino-5chloro- α phenylbenzyl)glycine, m. 192-4°. 2-Aminoacetophenone oxime (4.6 g.) in 50 ml. AcOH treated overnight with 5 ml. ClCH2COCl gave 4.6 g. 2-chloromethyl-4-methylquinazoline 3-oxide, m. 169-70°. p-Chlorobenzoyl chloride (100 g.) added to 45 g. p-bromoaniline, the mixt. heated to 180°, 35 g. fused ZnCl2 added in 15 min., the mixt. heated a further 1.5 hrs., cooled, mixed into 300 ml. alc., heated 4 days in a mixt. of 250 ml. H2SO4, 250 ml. H2O, and 300 ml. alc., the unhydrolyzed material removed, and the filtrate dild. with H2O gave 14 g. 2-amino-5-bromo-4'-chlorobenzophenone, m. 122-4°; oxime (XIII) m. 175-7°(C6H6). XIII (12 g.) in 100 ml. AcOH treated with 5.8 ml. ClCH2COCl and HCl passed in gave 6.6 g. 6-bromo-2-chloromethyl-4-(pchlorophenyl)quinazoline 3-oxide, m. 180-1°. The following intermediates were prepd. as described in method C for 2 -chloroacetamido-5-chlorobenzophenone: 2-chloroacetamido-5-chloro-4'methoxybenzophenone, m. 138-40°(alc.); 2-chloroacetamido-5chlorophenyl cyclohexyl ketone, m. 116-18° (alc.); 2-(α -bromopropionamido)-5-chlorobenzophenone, m. 113-14° (MeOH). 6-Chloro-2-chloromethyl4-phenylquinazoline (3 g.) slowly added to 2 g. NaOH in 45 ml. alc., the mixt. stirred 1 hr., heated 0.5 hr. at 60°, cooled, kept overnight at room temp., treated with H2O, and crystd. gave 1.6 g. 6-chloro-2-ethoxymethyl-4-phenylquinazoline, m. 94-6° (MeCN). 2-Benzamido-4'-chloroacetanilide (2 g.) and 50 ml. polyphosphoric acid heated 1 hr. gave 0.9 g. solid, identified as hippuric acid, but no III was obtained. 2-Amino-5-chlorobenzophenone (23 g.) in 50 ml. C5H5N treated with 21 g. p-MeC6H4SO2Cl gave 36 g. 2'benzoyl-5'-chloro-p-toluenesulfonanilide (XIV), m. 11516°. XIV in dil. NaOH treated with Me2SO4 gave quant. N-methyl-2'-benzoyl-5'-chloro-ptoluenesulfonanilide (XV), m. 150-2°. Crude XV (35 q.) in 100 ml. concd. H2SO4 warmed 0.5 hr. on the steam bath, the soln. cooled, poured into H2O, made basic, and crystd. gave 19 g. 2-methylamino5chlorobenzophenone, m. 94-6°. II (0.5 g.) refluxed 10 min. with 5 ml. SOC12 gave 0.3 g. III. The following 1,3-dihydro-2H-1,4-benzodiazepin-2-ones were prepd. in addn. to the above by the described methods (substituents at 1, 3, 4, 5, and 7 positions, m.p. of product, method, recrystn. solvent, and % yield given): H, H2, -, Me, H, 285-6°, D, alc., 45; H, H2, O, Me, H, 235-6°, A, H2O, 59; H, H2, -, C6H11, C1, 200-2°, C, MeCN, 25; H, H2, O, Ph, H, 250°, A, repptd. from

alkali, 84; H, H2, -, Ph, Me, 204-6°, D, PhMe, 77; H, H2, O, Ph, Me, 234-6°, A, EtOAc, 90; H, H2, -, Ph, Cl, 214-16°, C (D, E, F, H), alc., 27 (C); H, H (Me), -, Ph, Cl, 220-1°, C, alc., 30; H, H2, O, 2-C4H3S, Cl, 255-6°, A, alc., 55; H, H2, -, p-MeOC6H4, Cl, 213-14°, C, alc., 20; H, H2, O, p-ClC6H4, Br, 260-1° (decompn.), A, alc., 67; Et, H2, -, Ph, Cl, 129-31°, H, MeOH, 63; Et, H2, O, Ph, Cl, 211-12°, G, alc., 22; Me2NCH2CH2, H2, O, Ph, Cl, 211-12°, G, alc.-Et2O, 10; H, H(Ph), -, Me, Cl, 245-7°, F, alc., 50; H, Me2, -, Ph, Cl, 209-11°, F, alc., 8. IT 96272-60-5, Carbamic acid, $[\alpha-[(2-benzoy1-4$ chlorophenyl)carbamoyl]benzyl]-, benzyl ester (prepn. of) RN 96272-60-5 CAPLUS $\label{eq:carbamic} \text{Carbamic acid, } [\alpha\text{-}[(2\text{-benzoyl-}4\text{-chlorophenyl})\text{ carbamoyl}]\text{benzyl}]\text{-},$ CN benzyl ester (7CI) (CA INDEX NAME)

L9 ANSWER 174 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN Full Text AN 1959:67497 CAPLUS

DN 53:67497

OREF 53:12203c-h

TI Cytoactive amino acids and peptides. VI. Synthesis of N'-(α -aminoacyl)-N,N-bis(2-chloroethyl)-p-phenylenediamines

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CS Chester Beatty Research Inst., London

SO Journal of the Chemical Society, Abstracts (1959) 97-9 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

A no. of N'-[α -(benzyloxycarbonylamino)-acyl-N,N-bis(2-chloroethyl]p-phenylenediamines and 2 derived α-aminoacyl compds. are described. Tests of the latter on exptl. tumors failed to give promising results. The following prepn. illustrates the general method: C1CO2Et (0.19 ml.) added to 0.28 mg. NEt3 and 418 mg. benzyloxycarbonylglycine in 4 ml. dioxane, the flask stoppered and left 10 min. in ice H2O, and set aside 5 min. at room temp. with 538 mg. N, N-bis(2-chloroethyl)-p-phenylenediamine HCl salt and 0.28 ml. NEt3 in 2 ml. dioxane and 1 ml. H2O, and addn. of H2O pptd. 61% N'-benzyloxycarbonylglycyl-N, N-bis(2-chloroethyl)-pphenylenediamine (I), m. 144-5° (alc.). The following analogs of I. p-PhCH2OCONHCHRCONHC6H4N(CH2CH2C1)2, were similarly prepd. (R. isomer. crystn. solvents, m.p., and % yield given): Me, DL, aq. alc., 126-7°, 44; Me2CHCH2, L, aq. MeOH, 124-5°, 64; MeSCH2CH2, DL- pentanol-ligroine, 94-5°, 53; PhCH2, DL (II), alc., 146-7°, 72. In the prepn. of the Me2CHCH2 deriv. CHCl3 and isobutyl chloroformate were used in place of dioxane and ClCO2Et. The above benzyloxycarbonyl derivs. were best deacylated by the action of HCl in HCO2H or by HBr in AcOH. Only the glycine and the DL-phenylalanine compd. gave cryst. nondeliquescent salts. The following typical examples are given. I (1.69 g.) in 20 ml. satd. soln. of HCl in 98% HCO2H left 2

days at room temp., the soln. evapd. to dryness in vacuo, and the residual gum treated with 1 ml. H2O, and evapd. gave 72% N'-glycyl-N,N-bis(2chloroethyl)-p-phenylenediamine-HCl (III), m. 250-1° (MeOH). II (650 mg.) in an approx. molar soln. of HBr in 8 ml. AcOH kept 16 hrs. at room temp., and treated with N'-DL-phenylalanyl-N,N-bis(2-chloroethyl)-pphenylenediamine, m. 103-4° (H2O). The following HX.H2NCHRCONHC6H4N(CH2CH2Cl)2-p were similarly prepd. (X, R, isomer, solvent of crystn., m.p., and % yield given): Br, H, -, H2O, 232-4°, 50; Cl, PhCH2, DL, MeOH-Et2O, 112-14°, 76. Hydrogenolysis of the phenylalanine analog of I over PtO2 in MeOH failed, while HCl in AcOH had little effect at room temp. for 48 hrs. Attempts to convert the product into picrates or reineckates were not successful. IT 104095-60-5, Carbamic acid, $\{\alpha - \{ \{p - [bis(2 - a)] \} \} \}$ chloroethyl)amino]phenyl}carbamoyl}phenethyl}-, benzyl ester (prepn. of) 104095-60-5 CAPLUS RN CN Carbamic acid, $[\alpha-[p-[bis(2-chloroethy1)amino]pheny1]carbamoy1]phen$

ethyl]-, benzyl ester (6CI) (CA INDEX NAME)

L9 ANSWER 175 OF 175 CAPLUS COPYRIGHT 2003 ACS ON STN

Full Text
AN 1958:92829 CAPLUS
DN 52:92829
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TI Degradative studies on peptides and proteins. IV. Formation of salts of 2-acylaminothiazol-5-ones by acid-catalyzed degradation of N-acylthiocarbamoylpeptides and their behavior towards nucleophilic reagents

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LA Unavailable

cf. C.A. 51, 3570h. N-(Acylthiocarbamoy1)peptides and their esters gives salts of 2-acylaminothiazol-5-ones. Nucleophilic reagents open the heterocyclic ring to give N-(acylthiocarbamoyl)amino acid derivs. which have been identified by comparison of infrared spectra and mixed m.ps. with samples prepd. by unambiguous routes. The mixed acid anhydride procedure of Vaughan and Osato (C.A. 47, 9918d)gave 57% N-(benzyloxycarbonyl)glycine p-toluidide (I), m. 153-4° (CHCl3-petr. ether), which on treatment with HBr in AcOH (Ben-Ishai, C.A. 49, 3015i) gave glycine p-toluidide-HBr in quant. yield. N-benzyloyxcarbonyl-DL-alanine p-toluidide, m. 153-3.5°, was prepd. via mixed acid anhydride by using ClCO2Et (58%) or tetraethyl pyrophosphite (Anderson, et al., C.A. 48, 2590d) (96%). By the pyrophosphite procedure N-benzyloxycarbonyl-DL-phenylalanine p-toluidide, m. 157°, and N-benzyloxycarbonyl-DL-norleucine p-toluidide (II), m. 149.5-50.5°, were prepd. in theoretical yield. DL-Alanine p-toluidide-HBr, m. 203-4°, and DL-phenylalanine p-toluidide-HBr, m. 210-10.5° (as monohydrate), were prepd. from the respective N-benzyloxycarbonyl compd. Hydrogenation of II in MeOH contg. AcOH over

Pd gave 75% DL-norleucine p-toluidide, m. 63.5-64°. N-Benzyloxycarbonylglycine, benzyl p-aminobenzoate, and tetrapyrophosphite in di-Et H phosphite at 100° 1 hr. gave N-(benzyloxycarbonyl)glycine p-benzyloxycarbonylanilide, m 144-5°, in quant. yield; hydrogenation of 5.8 g. in MeOH and dioxane over Pd gave 2.2 g. glycine p-carboxanilide, m. 240° (decompn.) [King, et al., C.A. 49, 10228h, reported 300° (decompn.), and Tropp, C.A. 22, 4513, 229° (decompn.), for the monohydrate]. 2-Benzamidothiazol-5-one-HCl (III), m. 192-3° (decompn.), λ 2440 (ϵ 17,800) (CH2Cl2), was prepd. from N-(benzoylthiocarbamoyl)glycine (IV) by treatment with PCl3 in Et20-dioxane (Aubert, C.A. 46, 8549g) and by passing dry HCl through a suspension of N-(benzoylthiocarbamoyl)glycylglyc ine Et ester in dry MeNO2 at 0°. 2-Benzamidothiazol-5-one hydrobromide was prepd. as described (loc. cit.), m. 206-7° (decompn.), λ 2460-80 (ϵ 17,100) (CH2Cl2). When 20 mg. III was heated in 4 cc. boiling H2O 5 min. and cooled, 14 mg. IV, $\ensuremath{\text{m}}\xspace.$ 202-3°, was obtained. III (100 mg.) heated in 10 ml. MeOH and the product sepd. by the addn. of Et2O gave Me ester of IV, identical with that prepd. by the method of Douglass and Dains (C.A. 28, 27109), m. 98°; III Et ester, 85%, m. 129°. IV (476 mg.), 198 mg. cyclohexylamine, and 542 mg. tetra-Et pyrophosphite in 2 cc. di-Et H phosphate were kept at 90° 1 hr. On the addn. of H2O 478 mg. cyclohexylamide (V) of IV was pptd., m. 204-5° (EtOH). To 165 mg. cyclohexylamine in 10 ml. CHCl3, 360 mg. III was added, the soln. warmed, shaken with C, filtered, and washed with dil. HCl, H2O, satd. NaHCO3, and H2O, and evapd. to dryness, giving 118 mg. V, m. 203.5-4° (EtOH). III (1.4 g.) and 1.5 g. dry NH4CNS in 25 ml. glacial AcOH heated on a steam bath until soln. was complete and poured into 300 ml. H2O pptd. 0.92 g. 1-benzoylthiocarbamoyl-2-thiohydantoin, m. 189-92° (decompn.) (EtOAc-petr. ether). N-Benzoylthiocarbamoyl-DL-alanine p-toluidide (VI), m. 207-7.5° (EtOH), was obtained from DL-alanine p-toluidide with either benzoyl isothiocyanate in ether (92%) or Me Nbenzoyldithiocarbamate (VII) in EtOH-Et2O (89%). It was also prepd. from 167 mg. 2-benzamido-4-methylthiazol-5-one-HCl (VIII) and 66 mg. p-toluidine in 5 cc. CHCl3. Addn. of light petroleum (b. 40-60°) to the cooled filtered soln. gave 86 mg. VI, m. 207.5° (EtOH). When 300 mg. VI in 9 cc. AcOH satd. with dry HCl was shaken 1 hr. and 7 cc. dry Et2O added, 150 mg. VIII, m. 187-9° (decompn.), was obtained. VII with DL-alanine gave 74% N-benzoylthiocarbamoyl-DL-alanine (IX) which sepd. from EtOH with a mol. of solvent of crystn., m. 144°; after drying 6 hrs. at 120°/0.05 mm. IX, it m. 157°. Soln. of VIII in boiling H2O and cooling also gave 92% IX, m. 155-7°; Et ester, 77%, m. 121°. Me N-(2,4-dichlorobenzoyl)dithiocarbamate (X) (C.A. 51, 4309i) with glycylglycine Et ester in CHCl3 at room temp. during 2 days yielded 77% N-(2,4-dichlorobenzoyl)thiocarbamoylglycylglycine Et ester (XI), m. 206.5-7° (decompn.) (PrOH). XI (1 g.) in 5 cc. F3CCO2H was left at room temp. 4 hrs., poured into 200 cc. dry Et2O, left 15 min. at 0°, filtered, the filtrate evapd. in vacuo to 50 cc., and light petroleum (b. $40-60^{\circ}$) added pptd. after 15 min. at 0° 2-(2,4-dichlorobenzamido)thiazol-5-one trifluoroacetate (XII), which on refluxing with EtOH yielded 45% N-(2,4-dichlorobenzoyl)thiocarbamoylglycin e Et ester (XIII), m. 142.5-3.5°. X with glycine Et ester in CHCl3 also gave 50% XIII, m. 145.5-6.5° (CCl4). X with glycine in 67% aq. dioxane at pH 8.8 and 37° during 5.25 hrs. and isolation in the usual way gave 91% N-(2,4-dichlorobenzoyl)thiocarbamoylglycine, m. 197.5-9° (decompn.) also obtained in 37% yield by refluxing XII in 25% dioxane. Warming XIII and p-aminobenzoic acid in 2:1 CHCl3-dioxane gave 82% N-(2,4-dichlorobenzoyl)thiocarbamoylglycine p-carboxanilide, m. 260-1°. 2-Acetamidothiazol-5-one-HCl was prepd. in 84% yield by treatment of N-acetylthiocarbamoylglycine (XIV) in Et2O-dioxane and by degradation of the p-toluidide of XIV in AcOH satd. with HCl. The

product, m. 178-83° (decompn.), and 176° (decompn.), resp., was very unstable and reverted to XIV over a 3-week period. 2-Acetamidothiazol-5-one trifluoroacetate (XV), m. 105-6°, was prepd. as described for XII from N-acetylthiocarbamoylglycylglycine Et ester (m. 166°, not 112° as previously reported). XV with hot H2O and hot EtOH gave, resp., XIV, m. 200-2°, and its Et ester, m. 105°, with p-toluidine in warm CHCl3 the p-toluidide of XIV, m. 237-9° (decompn.), and with Et p-aminobenzoate in CHCl3 the p-ethoxycarbonylanilide of XIV, m. 226°. The p-toluidide and the p-ethoxycarbonylanilide derivs. were identical with samples prepd. from XIV by the pyrophosphite procedure. XV with p-aminobenzoic acid in dry dioxane at room temp. overnight gave the p-carboxanilide of XIV, m. 260° (decompn.), also prepd. from glycine p-carboxyanilide and Me N-acetyldithiocarbamate (XVI). DL-Alanine p-toluidide and XVI in 4:1 EtOH-Et2O at room temp. yielded 93% N-acetylthiocarbamoyl-DL-alanine p-toluidide, m. 218° (EtOH). Degradation in AcOH satd. with HCl yielded 2-acetamido-4-methylthiazol-5-one-HCl, m. 144-8° (decompn.), also obtained in 76% yield from the reaction of N-acetylthiocarbamoyl-DL-alanine with PC13 in Et2O-dioxane. VII with DL-phenylalanine p-toluidide in CHCl3 at room temp. gave 58% N-benzoylthiocarbamoyl-DL-phenylalanine p-toluidide, m. 176-6.5° (EtOH). DL-Norleucine p-toluidide and VII yielded 85% N-benzoylthiocarbamoyl-DL-norleucine p-toluidide, m. 179-9.5° (EtOH-petr. ether).

IT 20998-88-3, Carbamic acid, ($\alpha\text{-p-tolylcarbamoylphenethyl})\text{-,}$ benzyl ester

(prepn. of)

RN 20998-88-3 CAPLUS

CN Carbamic acid, $[\alpha-(p-tolylcarbamoyl)phenethyl]-$, benzyl ester, L-(8CI) (CA INDEX NAME)

Absolute stereochemistry.

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